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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	NOV 21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS 3	NOV 26	MARPAT enhanced with FSORT command
NEWS 4	NOV 26	CHEMSAFE now available on STN Easy
NEWS 5	NOV 26	Two new SET commands increase convenience of STN searching
NEWS 6	DEC 01	ChemPort single article sales feature unavailable
NEWS 7	DEC 12	GBFULL now offers single source for full-text coverage of complete UK patent families
NEWS 8	DEC 17	Fifty-one pharmaceutical ingredients added to PS
NEWS 9	JAN 06	The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
NEWS 10	JAN 07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS 11	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS 14	FEB 10	COMPENDEX reloaded and enhanced
NEWS 15	FEB 11	WTEXTILES reloaded and enhanced
NEWS 16	FEB 19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
NEWS 17	FEB 19	Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01
NEWS 18	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS 19	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS 20	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS 21	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS 22	FEB 25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS 23	MAR 06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS 24	MAR 11	EPFULL backfile enhanced with additional full-text applications and grants
NEWS 25	MAR 11	ESBIOBASE reloaded and enhanced
NEWS 26	MAR 20	CAS databases on STN enhanced with new super role for nanomaterial substances

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 NEWS 27  MAR 23  CA/CAplus enhanced with more than 250,000 patent
                  equivalents from China
 NEWS 28  MAR 30  IMSPATENTS reloaded and enhanced

 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:17:36 ON 02 APR 2009

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.22       0.22

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DICTIONARY FILE UPDATES:  31 MAR 2009  HIGHEST RN 1130556-28-3

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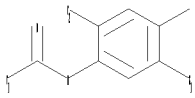
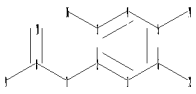




chain nodes :
7 8 9 10 12 14 16
ring nodes :
1 2 3 4 5 6
chain bonds :
2-7 3-16 5-14 6-12 7-8 8-9 8-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
2-7 3-16 6-12 7-8 8-9 8-10
exact bonds :
5-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

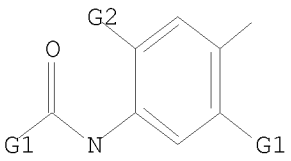
G1:H,CH3,Et,n-Pr

G2:H,CN,X

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
12:CLASS 14:CLASS 16:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,Me,Et,n-Pr

G2 H,CN,X

Structure attributes must be viewed using STN Express query preparation.

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=> s l1 full
FULL SEARCH INITIATED 10:18:12 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  1662971 TO ITERATE

 60.1% PROCESSED  1000000 ITERATIONS                          19574 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.15

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      1662971 TO  1662971
PROJECTED ANSWERS:           32009 TO    33091

L2        19574 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     185.88     186.10

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FILE COVERS 1907 - 2 Apr 2009  VOL 150 ISS 14
FILE LAST UPDATED: 1 Apr 2009  (20090401/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html
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This file contains CAS Registry Numbers for easy and accurate
substance identification.

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=> s l2 and (bromination or cyanide or cyano)
           841 L2
         52260 BROMINATION
         90752 CYANIDE
         88926 CYANO
L3         143 L2 AND (BROMINATION OR CYANIDE OR CYANO)

=> d l3 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 143 ANSWERS - CONTINUE? Y/(N):y
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L3 ANSWER 1 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:296572 CAPLUS
TITLE: Preparation of bis-aromatic compounds as inhibitors of leukotriene C4 synthase (LTC4).
INVENTOR(S): Pelcman, Benjamin; Nilsson, Peter; Katkevics, Martins
PATENT ASSIGNEE(S): Biolipox AB, Swed.
SOURCE: PCT Int. Appl., 123pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009030887	A2	20090312	WO 2008-GB2964	20080903

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2007-935849P P 20070904

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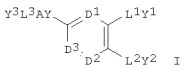
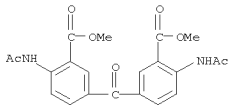


I

AB Title compds. [I; Y = CO, C(:NOR28); R28 = H, alkyl, haloalkyl; D1, D2, D3 = CR1a, CR1b, CR1c, N; A = specified 5-6 membered (substituted) (hetero)aryl; R1a-R1c = halo, cyano, amino, acylamino, N3, NO2, OH, aminocarbonyloxy, etc.; Y1 = COCF3, CH(CF3)OH, C(OH)2CF3, C(CF3)2OH, CO2H, (substituted) alkoxycarbonyl, sulfonylamino, isoxazolyl, triazolyl, pyrazolyl, pyridyl, etc.; Y2, Y3 = (substituted) aryl, heteroaryl, alkyl; L1 = bond, (substituted) (O-, CO-interrupted) alkylene; L2, L3 = bond, S, CO, (substituted) alkylene, etc.; with provisos], were prepared Thus, 2-(3,4-difluorophenylamino)-5-[4-(3,4-difluorophenylamino)-3-carboxyphenylcarbonyl]benzoic acid (multistep preparation given) at 10 μM gave 93% inhibition of LTC4.

IT 1129401-18-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of bis-arom. compds. as inhibitors of leukotriene C4 synthase)

RN 1129401-18-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



L3 ANSWER 2 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:258580 CAPLUS
TITLE: Preparation of substituted pyrazole derivatives as androgen receptor antagonists
INVENTOR(S): Ito, Mitsuhiro; Suzaki, Tomohiko; Yamamoto, Satoshi
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 332pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009028543	A1	20090305	WO 2008-JP65286	20080827

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2007-224910 A 20070830

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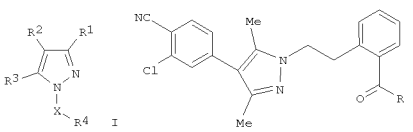
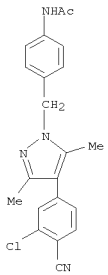


I II

AB There are disclosed compds. represented by the formula [I; R1, R3 = H, group having a carbon, nitrogen, oxygen, or sulfur atom serving as a bonding hand; R2 = Ph having a cyano (which may further have a substituent other than cyano); R4 = optionally substituted cyclic group; X = optionally substituted methylene or CO] or salts thereof. These compds. are antagonists of androgen receptor including normal or mutated androgen receptor and useful for the prevention and/or treatment of hormone-sensitive cancers at the androgen-dependent or androgen-independent stage, in particular prostate cancer. Thus, 2-chloro-4-(3,5-dimethyl-1H-pyrazol-4-yl)benzonitrile was treated with NaH in DMF at room temperature for 20 min, stirred with 4-(bromomethyl)benzoic acid Me ester at room temperature for 3 h to give 4-[[4-(3-chloro-4-cyanophenyl)-3,5-dimethyl-1H-pyrazol-1-yl]methyl]benzoic acid Me ester (II; R = OMe). II (R = OMe) was dissolved in ethano, treated with 1 N aq. NaOH soln., stirred at 50° for 2 h, and acidified with 1 N aq. HCl soln. to give II (R = OH) which was stirred with 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and HOBt ammonium salt in DMF at room temp. for 20 h to give II (R = NH2). II (R = NH2) at 1 μM inhibited the binding of radiolabeled mibolerone to a wild type androgen receptor and a LNCaP-type mutated androgen receptor by 88 and 80%, resp. Pharmaceutical formulations, e.g. a tablet formulation contg. II (R = NH2), were described.

IT 1126773-34-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted pyrazole derivs. as androgen receptor antagonists for prevention and/or treatment of prostate cancer)

RN 1126773-34-9 CAPLUS
CN Acetamide, N-[4-[[4-(3-chloro-4-cyanophenyl)-3,5-dimethyl-1H-pyrazol-1-yl]methyl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

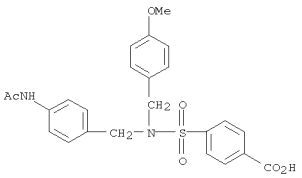
L3 ANSWER 3 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:239293 CAPLUS
DOCUMENT NUMBER: 150:281774
TITLE: Identification of human T2R receptors that respond to bitter compounds that elicit the bitter taste in compositions, and the use thereof in assays to identify compounds that inhibit (block) bitter taste in compositions and use thereof
INVENTOR(S): Li, Xiaodong; Patron, Andrew; Tachdjian, Catherine; Xu, Hong; Li, Qing; Pronin, Alexey; Servant, Guy; Zhang, Lan; Brady, Thomas; Darmohusodo, Vincent; Arellano, Melissa; Selchau, Victor; Ching, Brett Weylan; Karanewsky, Donald S.; Brust, Paul; Ling, Jing; Zhao, Wen; Priest, Chad
PATENT ASSIGNEE(S): Senomyx, Inc., USA
SOURCE: PCT Int. Appl., 407pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009025793	A2	20090226	WO 2008-US9864	20080819
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2007-957129P P 20070821
US 2008-47187P P 20080423

AB Specific human taste receptors (hT2R8 and hT2R14) in the T2R taste receptor family respond to particular bitter compds. present in, e.g., coffee. These receptors may be used in assays to identify specific compds. and compns. that modulate the activation of the receptors and which may be used as additives to modify (or block) T2R-associated bitter taste in, e.g., coffee and coffee-flavored foods, beverages, and pharmaceuticals. Thus, 10 μM 4-(N-benzyl-N-(4-methoxybenzyl)sulfamoyl)benzoic acid (IC50 = 0.22 μM on hT2R14 bitter receptor) reduced the bitterness of instant coffee in taste tests.
IT 1119830-02-2P
RL: BSU (Biological study, unclassified); FFD (Food or feed use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(human T2R taste receptor-based assays for identification of bitterness-blocking compds.)

L3 ANSWER 3 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 1119830-02-2 CAPLUS
CN Benzoic acid, 4-[[[[4-(acetylamino)phenyl]methyl][(4-methoxyphenyl)methyl]amino]sulfonyl]- (CA INDEX NAME)



L3 ANSWER 4 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:176976 CAPLUS
DOCUMENT NUMBER: 150:214160
TITLE: N-arylpyrrolidinone derivatives as androgen receptor modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Hasuoka, Atsushi
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 223pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009020234	A2	20090212	WO 2008-JP64500	20080806
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20090042967	A1	20090212	US 2008-221739	20080806

PRIORITY APPLN. INFO.: JP 2007-205966 A 20070807
JP 2007-299658 A 20071119

OTHER SOURCE(S): MARPAT 150:214160
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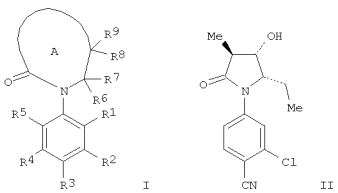
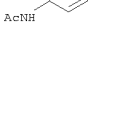


I

II

AB The invention relates to N-arylpyrrolidinone derivs. of formula I and their salts, which are androgen receptor modulators. Compds. of formula I

L3 ANSWER 4 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
wherein R1-R2 and R4-R6 are independently H, halo, a group via a carbon atom, a group via a nitrogen atom, a group via an oxygen atom and a group via a sulfur atom; R3 is an electron-withdrawing group; R7 is (un)substituted alkyl and (un)substituted aralkyl; R8 is H, (un)substituted alkyl, (un)substituted alkenyl and (un)substituted cycloalkyl; R9 is a group via an oxygen atom; Ring A is (un)substituted 5- to 6-membered ring and a spiro motif forming by a 5- to 6-membered ring with C3-6 cycloalkane; and their salts thereof, are claimed. Example compd. II was prepd. by methylation of 2-chloro-4-[(2S,3S)-2-ethyl-3-hydroxy-5-oxopyrrolidin-1-yl]benzonitrile. All the invention compds. were evaluated for their androgen receptor modulating activity. From the assay, it was detd. that II exhibited an inhibition of 99% at 100 nM.
IT 1114547-54-4P, N-(3-Chloro-4-cyano-2-fluorophenyl)acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of N-arylpyrrolidinone derivs. as androgen receptor modulators useful in the treatment of diseases)
RN 1114547-54-4 CAPLUS
CN Acetamide, N-(3-chloro-4-cyano-2-fluorophenyl)- (CA INDEX NAME)

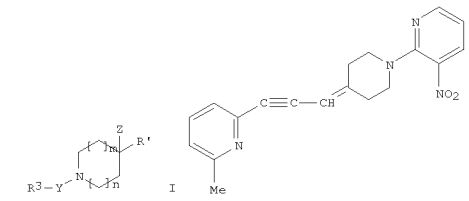


L3 ANSWER 5 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:138895 CAPLUS
DOCUMENT NUMBER: 150:168179
TITLE: Preparation of heterocyclic compounds as mGlu5 antagonists for treating urinary tract disorders, migraine, and gastroesophageal reflux disease
INVENTOR(S): Leonardi, Amedeo; Motta, Gianni; Riva, Carlo; Poggesi, Elena; Graziani, Davide; Longhi, Matteo Marco
PATENT ASSIGNEE(S): Recordati Ireland Limited, Ire.
SOURCE: PCT Int. Appl., 162pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009015897	A1	20090205	WO 2008-EP6351	20080801
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20090042841	A1	20090212	US 2008-185639	20080804
PRIORITY APPLN. INFO.:			US 2007-953677P P	20070802
			US 2008-45175P P	20080415

OTHER SOURCE(S): MARPAT 150:168179
GI

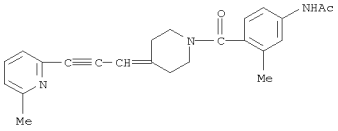
L3 ANSWER 5 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I II

AB Compds. of general formula I are claimed, wherein Z is substituted prop-2-ynylidene, etc.; m is 0-2; n is 0-2; Y is a linking group or is absent; R' is H or OH or is absent; R3 is H, (un)substituted C1-6 alkyl, etc.; and the bond between ring nodes 3 and 4 is optionally a double bond.
I are mGlu5 antagonists useful for the treatment of neuromuscular dysfunction of the lower urinary tract, migraine and gastroesophageal reflux disease in mammals. Synthetic procedures for preparing I are exemplified. Example compound II was prepared in a multistep synthesis, culminating in the reaction of 2-bromo-6-methylpyridine and 1-(3-nitro-2-pyridyl)-4-(prop-2-ynylidene)piperidine (preparation given). In conscious rats II had an MED of 3 mg/kg os in increasing bladder volume capacity.
IT 1107618-42-7P, 1-(4-Acetamido-2-methylbenzoyl)-4-[3-(6-methyl-2-pyridyl)-2-propynylidene]piperidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heterocyclic compds. as mGlu5 antagonists for treating urinary tract disorders, migraine, and gastroesophageal reflux disease)
RN 1107618-42-7 CAPLUS
CN Acetamide, N-[3-methyl-4-[[4-[3-(6-methyl-2-pyridinyl)-2-propyn-1-ylidene]-1-piperidinyl]carbonyl]phenyl]- (CA INDEX NAME)

L3 ANSWER 5 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:55849 CAPLUS
DOCUMENT NUMBER: 150:144295
TITLE: Preparation of tropane derivatives useful as pesticides
INVENTOR(S): Selles, Patrice; Clarke, Eric Daniel; Elliot, Alison Clare; Fawke, Delphine; Hueter, Ottmar Franz; Mueller, Urs; Renold, Peter; Targett, Sarah; Whittingham, William Guy
PATENT ASSIGNEE(S): Syngenta Participations A.-G., Switz.; Syngenta Limited
SOURCE: PCT Int. Appl., 145pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009007115	A1	20090115	WO 2008-EP5633	20080710
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			GB 2007-13602 A	20070712

OTHER SOURCE(S): MARPAT 150:144295
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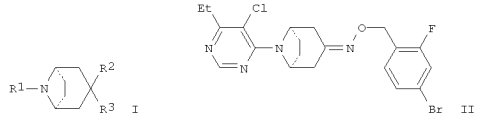
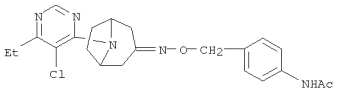


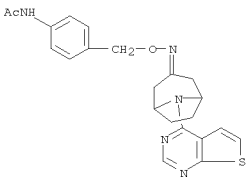
I II

AB The title compds. I [R1 = (un)substituted mono- or bicyclic ring system containing 5-10 ring atoms (at least one of them being N atom); R2, R3 = H, OH, alkyl, etc.; or R2 and R3, together with the carbon atom to which they are attached, form (un)substituted 5-7 membered oxygen containing ring; or R3

L3 ANSWER 6 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
together with R2 form :CHR4 (wherein R4 = cyano, hydroxymethyl, hydroxycarbonyl, etc.), :NO(CH2)nR5 (n = 0-1; R5 = H, alkyl, alkoxy, etc.); R2 and R3 are not simultaneously H], useful as pesticides, were prepd. E.g., a multi-step synthesis of II, starting from tropinone, was given. Exemplified compds. I were tested for their pesticidal, insecticidal and fungicidal properties (data given for representative compds. I).
IT 1101147-39-0P 1101149-01-2P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of tropane derivs. as pesticides)
RN 1101147-39-0 CAPLUS
CN Acetamide, N-[4-[[[[8-(5-chloro-6-ethyl-4-pyrimidinyl)-8-azabicyclo[3.2.1]oct-3-ylidene]amino]oxy]methyl]phenyl]- (CA INDEX NAME)



RN 1101149-01-2 CAPLUS
CN Acetamide, N-[4-[[[(8-thieno[2,3-d]pyrimidin-4-yl-8-azabicyclo[3.2.1]oct-3-ylidene)amino]oxy]methyl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1536783 CAPLUS
DOCUMENT NUMBER: 150:86349
TITLE: Blue phosphorescent iridium complexes and light-emitting devices using them
INVENTOR(S): Knowles, David B.; Lin, Chun; Mackenzie, Peter Borden; Tsai, Jui-Yi; Walters, Robert; Beers, Scott A.; Brown, Cory S.; Yeager, Walter H.; Barron, Edward
PATENT ASSIGNEE(S): Universal Display Corporation, USA
SOURCE: PCT Int. Appl., 206pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008156879	A1	20081224	WO 2008-US56297	20080307
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080297033	A1	20081204	US 2008-44605	20080307
PRIORITY APPLN. INFO.:			US 2007-936643P	P 20070620
			US 2008-44605	A 20080307
			US 2006-772154P	P 20060210
			US 2006-856824P	P 20061103
			US 2006-874190P	P 20061211
			US 2007-704585	A2 20070209

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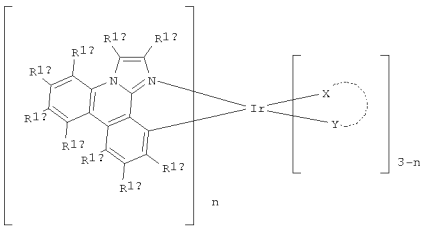
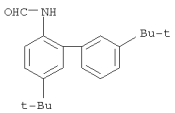


AB Iridium complexes are described by the general formula I (n = 1, 2, or 3; R1a, R1b, R1c, R1d, R1e, R1f, R1g, R1h, and R1i = independently selected hydrocarbyl, heteroatom substituted hydrocarbyl, cyano, fluoro, OR2a, SR2a, NR2aR2b, BR2aR2b, or SiR2aR2bR2c, where R2a-c = independently selected hydrocarbyl or heteroatom substituted hydrocarbyl, and where any two of R1a-i and R2a-c may be linked to form a saturated or unsatd., aromatic or non-aromatic ring; and X-Y = an ancillary ligand). Organic light emitting devices comprising selected complexes are also described.
IT 946147-34-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(phosphorescent iridium complexes and light-emitting devices using them)
RN 946147-34-8 CAPLUS
CN Formamide, N-[3',5-bis(1,1-dimethylethyl)[1,1'-biphenyl]-2-yl]- (CA INDEX NAME)

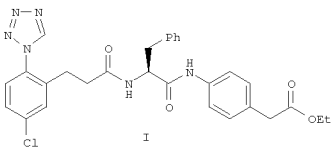


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1533210 CAPLUS
DOCUMENT NUMBER: 150:77952
TITLE: Preparation of dipeptide analogs as coagulation factor inhibitors
INVENTOR(S): Pinto, Donald J. P.; Quan, Mimi L.; Smith, Leon M., II; Orwat, Michael J.; Gilligan, Paul J.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 342pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008157162	A1	20081224	WO 2008-US66506	20080611
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2007-943791P	P 20070613
			US 2008-49516P	P 20080501

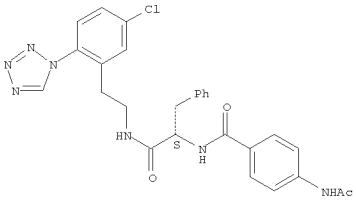
OTHER SOURCE(S): MARPAT 150:77952
GI



AB The invention discloses novel dipeptide analogs A-L-CR3R4CONR1R2 [R1 is H and R2 is -(CH2)0-3-carbocyclyl or -heterocyclyl; or NR1R2 is (un)substituted 2,3-dihydro-2-isoindolyl or 1,2,3,4-tetrahydro-2-isoquinolyl; A is (un)substituted carbocyclyl or heterocyclyl; L is CH2CH2CONH, CH:CHCONH, CH2CONHCH2, NHNHCOCH2, CH2NHCOCH2, C.tplbond.CNHCO, etc.; R3 is haloalkyl, carbamoyl,

L3 ANSWER 8 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
carbamoylmethyl, acyl, etc.; R4 is H, F, alkyl], or stereoisomers, tautomers, pharmaceutically-acceptable salts, or prodrugs, which are inhibitors of factor XIa and/or plasma kallikrein, compns. contg. them, and methods of using them, e.g., for the treatment or prophylaxis of thrombotic diseases. Thus, dipeptide I was prepd. by a multistep sequence using reactants Boc-protected phenylalanine, Et (4-aminophenyl)acetate, Me (dimethoxyphosphoryl)acetate, and 5-chloro-2-tetrazol-1-ylbenzaldehyde, and showed Ki = 139.7 nM for inhibition of factor XIa.
IT 1094106-37-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dipeptide analogs as coagulation factor inhibitors)
RN 1094106-37-2 CAPLUS
CN Benzenepropanamide, α-[[4-(acetylamino)benzoyl]amino]-N-[2-[5-chloro-2-(1H-tetrazol-1-yl)phenyl]ethyl]-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1529247 CAPLUS
DOCUMENT NUMBER: 150:77371
TITLE: Preparation of novel malonic acid sulfonamide derivatives as angiotensin AT2 receptor agonists
INVENTOR(S): Yoshida, Tomohiro; Sakashita, Hiroshi; Numata, Atsushi; Tahara, Saori; Kawasumi, Hisashi
PATENT ASSIGNEE(S): Mitsubishi Tanabe Pharma Corporation, Japan
SOURCE: PCT Int. Appl., 433pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008156142	A1	20081224	WO 2008-JP61248	20080619
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: JP 2007-163099 A 20070620

OTHER SOURCE(S): MARPAT 150:77371
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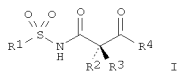
L3 ANSWER 9 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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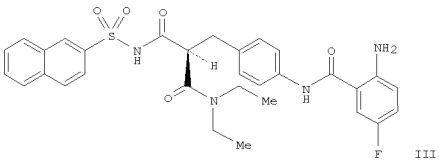
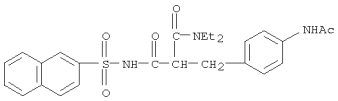


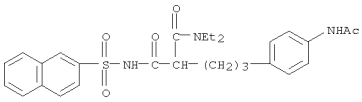
III

AB The title compds. [I; R1 = each (un)substituted C1-8 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-10 cycloalkyl, C3-10 cycloalkyl-C1-6 alkyl, heterocyclyl, aryl, aryl-C1-6 alkyl, aryloxy-C1-6 alkyl, aryl-C2-6 alkenyl, heteroaryl, heteroaryl-C1-6 alkyl, heteroaryloxy-C1-6 alkyl, or heteroaryl-C2-6 alkenyl; one of R2 and R3 = H or halo and the other = halo, each (un)substituted C1-6 alkyl, C1-6 alkoxy, C2-6 alkenyl, or C2-6 alkynyl, (CH2)nCONR5R6, etc. ; R5, R6 = H, C1-6 alkyl, each (un)substituted aryl or heteroaryl; or NR5R6 = (un)substituted cyclic amino; R4 = NR7R8; R7, R8 = H, each (un)substituted C1-6 alkyl, C2-6 alkenyl, aryl, aryl-C1-6 alkyl, heteroaryl, heteroaryl-C1-6 alkyl, C3-10 cycloalkyl, or heterocyclyl; or NR7R8 = (un)substituted cyclic amino] or pharmaceutically acceptable salts thereof or hydrates thereof were prepared These compds. have selective AT2 receptor agonism and have a therapeutic and/or preventive effect on various diseases due to AT2 receptor agonism and are useful as pharmaceuticals for treating and/or preventing diseases associated with the renin-angiotensin-aldosterone (RAAS) system, e.g. metabolic diseases or circulatory diseases such as cerebral infarction, kidney diseases, heart diseases, hypertension, diabetes, and metabolic syndrome. Thus, 2-(4-aminobenzyl)-N,N-diethyl-N'-(2-naphthylsulfonyl)malonamide was condensed with 5-fluoroanthranilic acid using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in the presence of 4-dimethylaminopyridine in DMF at room temperature for 16 h to give 2-[4-[(2-amino-3-methylbenzoyl)amino]benzyl]-N,N-diethyl-N'-(2-naphthylsulfonyl)malonamide (II). Optical resolution of II using (1S,2S)-(+)-2-amino-1-(4-nitrophenyl)-1,3-propanediol gave (2S)-2-[4-[(2-amino-5-fluorobenzoyl)amino]benzyl]-N,N-diethyl-N'-(2-naphthylsulfonyl)malonamide (III). III in vitro showed binding affinity to human recombinant angiotensin AT2 receptor with Ki of 0.9 nM.
IT 1094194-63-4P, 2-[4-(Acetylamino)benzyl]-N,N-diethyl-N'-[(2-naphthyl)sulfonyl]malonamide 1094196-04-9P, 2-[3-(4-Acetylaminophenyl)propyl]-N,N-diethyl-N'-[(2-

L3 ANSWER 9 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
naphthyl)sulfonyl]malonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel malonic acid sulfonamide derivs. as angiotensin AT2 receptor agonists for prevention and/or treatment of metabolic or circulatory diseases)
RN 1094194-63-4 CAPLUS
CN Propanediamide, 2-[[4-(acetylamino)phenyl]methyl]-N1,N1-diethyl-N3-(2-naphthalenylsulfonyl)- (CA INDEX NAME)



RN 1094196-04-9 CAPLUS
CN Propanediamide, 2-[3-[4-(acetylamino)phenyl]propyl]-N1,N1-diethyl-N3-(2-naphthalenylsulfonyl)- (CA INDEX NAME)



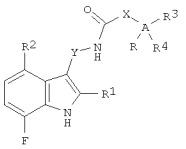
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1508620 CAPLUS
DOCUMENT NUMBER: 150:55991
TITLE: Preparation of indolylethyl arylacrylamides as prostaglandin EP2 receptor modulators.
INVENTOR(S): Buchmann, Bernd.; Braeeuer, Nico.; Koppitz, Marcus.; Peters, Olaf.; Eis, Knut.; Ter Laak, Antonius.; Lindenthal, Bernhard.; Langer, Gernot.; Wintermantel, Tim.
PATENT ASSIGNEE(S): Bayer Schering Pharma Aktiengesellschaft, Germany
SOURCE: Eur. Pat. Appl., 54pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 2003118	A1	20081217	EP 2007-90118	20070613
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
WO 2008152097	A1	20081218	WO 2008-EP57394	20080612
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: EP 2007-90118 A 20070613

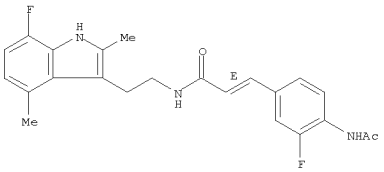
GI



I

L3 ANSWER 10 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
AB Title compds. [I; A = (substituted) heteroaryl, Ph, naphthyl; R = SOpA, SO2NH2, SO2NHMe, CF3, CO2H, CONH2, etc.; A = alkyl, cycloalkyl; p = 0-2; R1 = H, (substituted) alkyl; R2 = H, halo, cyano, SOqMe, alkoxy, (substituted) alkyl; q = 0-2; R3 = H, halo, amino, SOpA, SO2NH2, SO2NHMe, CO2H, CONH2, (substituted) aryl, heteroaryl, cycloalkyl, etc.; R4 = H, halo, amino, SO2PA, SO2NH2, SONHMe, CO2H, CONH2, (substituted) aryl, heteroaryl, cycloalkyl, etc.; R3R4 = OCOS, SCOO, OCH2O, etc.; X = C.tplbond.C, CH:CH; Y = (CH2)n; n = 2,3], were prepared Thus, 2-(7-fluoro-2,4-dimethyl-1H-indol-3-yl)ethylamine hydrochloride, (E)-3-benzo[1,3]dioxol-5-ylacrylic acid, HATU, and diisopropylethylamine were stirred together in DMF for 20 h to give (E)-3-benzo[1,3]dioxol-5-yl-N-[2-(7-fluoro-2,4-dimethyl-1H-indol-3-yl)ethyl]acrylamide. In the cumulus expansion test in vitro, tested I showed antagonistic activity with IC50 = 1.0-2.2 μM.
IT 1092791-08-6P, (E)-3-(4-Acetylamino-3-fluorophenyl)-N-[2-(7-fluoro-2,4-dimethyl-1H-indol-3-yl)ethyl]acrylamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of indolylethyl arylacrylamides as prostaglandin EP2 receptor modulators)
RN 1092791-08-6 CAPLUS
CN 2-Propenamide, 3-[4-(acetylamino)-3-fluorophenyl]-N-[2-(7-fluoro-2,4-dimethyl-1H-indol-3-yl)ethyl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1502999 CAPLUS
DOCUMENT NUMBER: 150:55985
TITLE: Preparation of indolylethyl arylacrylamides as modulators of the prostaglandin EP2 receptor
INVENTOR(S): Buchmann, Bernd; Braeuer, Nico; Koppitz, Marcus; Peters, Olaf; Eis, Knut; Ter Laak, Antonius; Lindenthal, Bernhard; Langer, Gernot; Wintermantel, Tim
PATENT ASSIGNEE(S): Bayer Schering Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 96pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008152097	A1	20081218	WO 2008-EP57394	20080612
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 2003118	A1	20081217	EP 2007-90118	20070613
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

PRIORITY APPLN. INFO.: EP 2007-90118 A 20070613

OTHER SOURCE(S): MARPAT 150:55985
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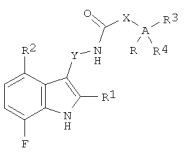
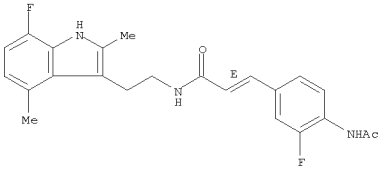


I

AB Title compds. [I; A = (substituted) heteroaryl, Ph, naphthyl; R = SOpA, SO2NH2, SO2NHMe, CF3, CO2H, CONH2, etc.; A = alkyl, cycloalkyl; p = 0-2; R1 = H, (substituted) alkyl; R2 = H, halo, cyano, SOqMe, alkoxy,

L3 ANSWER 11 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(substituted) alkyl; q = 0-2; R3 = H, halo, amino, SOpA, SO2NH2, SO2NHMe, CO2H, CONH2, (substituted) aryl, heteroaryl, cycloalkyl, etc.; R4 = H, halo, amino, SO2PA, SO2NH2, SONHMe, CO2H, CONH2, (substituted) aryl, heteroaryl, cycloalkyl, etc.; R3R4 = OCOS, SCOO, CH2CONH, O(CH2)mO, etc.; m = 1-3; X = C.tplbond.C, CH:CH; Y = (CH2)n; n = 2,3], were prepd. Thus, 2-(7-fluoro-2,4-dimethyl-1H-indol-3-yl)ethylamine hydrochloride, (E)-3-benzo[1,3]dioxol-5-ylacrylic acid, HATU, and diisopropylethylamine were stirred together in DMF to give (E)-3-benzo[1,3]dioxol-5-yl-N-[2-(7-fluoro-2,4-dimethyl-1H-indol-3-yl)ethyl]acrylamide.
(E)-N-[2-(7-fluoro-2,4-dimethyl-1H-indol-3-yl)ethyl]-3-(3-sulfamoylphenyl)acrylamide showed EP2 antagonism with IC50 = 1 + 10-6 M.
IT 1092791-08-6P, (E)-3-(4-Acetylamino-3-fluorophenyl)-N-[2-(7-fluoro-2,4-dimethyl-1H-indol-3-yl)ethyl]acrylamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of indolylethyl arylacrylamides as modulators of the prostaglandin EP2 receptor)
RN 1092791-08-6 CAPLUS
CN 2-Propenamide, 3-[4-(acetylamino)-3-fluorophenyl]-N-[2-(7-fluoro-2,4-dimethyl-1H-indol-3-yl)ethyl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

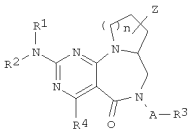


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1477058 CAPLUS
DOCUMENT NUMBER: 150:35412
TITLE: Preparation of pyrimidodiazepinone derivatives having affinity with alpha-2-delta (α2δ) protein
INVENTOR(S): Otsubo, Nobumasa; Tsukumo, Yukihito; Uchida, Kenji; Matsumoto, Yuichi; Iida, Kyoichiro; Takada, Hidenori; Takizawa, Fumitake; Arai, Hitoshi; Okazaki, Shuko; Imaizumi, Takamichi
PATENT ASSIGNEE(S): Kyowa Hakko Kirin Co., Ltd., Japan
SOURCE: PCT Int. Appl., 211pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008149834	A1	20081211	WO 2008-JP60129	20080602
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
PRIORITY APPLN. INFO.:			JP 2007-144731 A	20070531

OTHER SOURCE(S): MARPAT 150:35412
GI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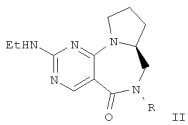
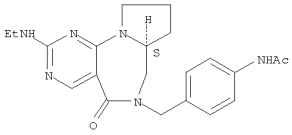

II

AB There are disclosed pyrimidodiazepinone derivs. represented by the general formula [I; n= 1, 2; Z = H, HO, (un)substituted lower alkoxy; R1, R2 = H, (un)substituted lower alkyl; or NR1R2 together represent (un)substituted

L3 ANSWER 12 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
N-contg. heterocyclyl; A = a bond, CO, each (un)substituted phenylene or pyridinediyl, (CH2)m; m = an integer of 1-4; R3 = H, each (un)substituted lower alkoxycarbonyl, lower alkyl, cycloalkyl, heterocyclyl, or aryl, N'-lower alkanoylhydrazinocarbonyl, etc.; R4 = H, halo, each (un)substituted lower alkoxy, NH2, arom. heterocyclyl, lower alkyl, or aryl] or pharmaceutically acceptable salts thereof. These compds. regulate α2δ protein (calcium channel α2δ subunit) and are useful for the prevention and/or treatment of itching (pruritus) or pain. Thus, S-oxidn. of (S)-5-(4-cyanobenzyl)-9-methylthio-1,2,3,3a,4,5-hexahydro-5,8,10,10b-tetraazabenzo[e]azulen-6-one by m-chloroperbenzoic acid in CH2Cl2 at room temp. for 30 min followed by amination with ethylamine in THF at room temp. for 3 h gave (S)-5-(4-cyanobenzyl)-9-ethylamino-1,2,3,3a,4,5-hexahydro-5,8,10,10b-tetraazabenzo[e]azulen-6-one (II; R = 4-cyanobenzyl). 5-[3-(2-Chloropyridin-4-yl)phenyl]-9-ethylamino-1,2,3,3a,4,5-hexahydro-5,8,10,10b-tetraazabenzo[e]azulen-6-one II [R = 3-(2-chloropyridin-4-yl)phenyl] inhibited ≥50% the binding of [3H]-gabapentin to α2δ protein of rat cerebral membrane and at ≤30 mg/kg p.o. significantly increased pain threshold level in male SD rats.
IT 1092113-15-9P, (S)-N-[4-[(9-Ethylamino-6-oxo-2,3,3a,4-tetrahydro-1H,6H-5,8,10,10b-tetraazabenzo[e]azulen-5-yl)methyl]phenyl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidodiazepinone derivs. having affinity with alpha-2-delta (α2δ) protein for prevention and/or treatment of itching or pain)
RN 1092113-15-9 CAPLUS
CN Acetamide, N-[4-[[(7aS)-2-(ethylamino)-7a,8,9,10-tetrahydro-5-oxo-5H-pyrimido[5,4-f]pyrrolo[1,2-a][1,4]diazepin-6(7H)-yl]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1457097 CAPLUS
DOCUMENT NUMBER: 150:43959
TITLE: Blue phosphorescent iridium complexes and light-emitting devices using them
INVENTOR(S): Knowles, David B.; Lin, Chun; Mackenzie, Peter B.; Tsai, Jui-Yi; Walters, Robert W.; Beers, Scott; Brown, Cory S.; Yeager, Walter; Barron, Edward
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 130pp., Cont.-in-part of U.S. Ser. No. 704,585.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080297033	A1	20081204	US 2008-44605	20080307
US 20070190359	A1	20070816	US 2007-704585	20070209
EP 1981898	A2	20081022	EP 2007-750408	20070209
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
WO 2008156879	A1	20081224	WO 2008-US56297	20080307
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
IN 2008DN06353	A	20081024	IN 2008-DN6353	20080721
KR 2008098489	A	20081110	KR 2008-719429	20080807
PRIORITY APPLN. INFO.:			US 2006-772154P P	20060210
			US 2006-856824P P	20061103
			US 2006-874190P P	20061211
			US 2007-704585 A2	20070209
			US 2007-936643P P	20070620
			WO 2007-US3569 W	20070209
			US 2008-44605 A	20080307

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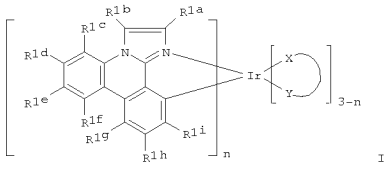
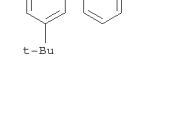
L3 ANSWER 13 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)


I

AB Iridium complexes are described by the general formula I (n = 1, 2, or 3; R1a, R1b, R1c, R1d, R1e, R1f, R1g, R1h, and R1i = independently selected hydrocarbyl, heteroatom substituted hydrocarbyl, cyano, fluoro, OR2a, SR2a, NR2aR2b, BR2aR2b, or SiR2aR2bR2c, where R2a-c = independently selected hydrocarbyl or heteroatom substituted hydrocarbyl, and where any two of R1a-i and R2a-c may be linked to form a saturated or unsatd., aromatic or non-aromatic ring; and X-Y = an ancillary ligand). Organic light emitting devices comprising selected complexes are also described.
IT 946147-34-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(phosphorescent iridium complexes and light-emitting devices using them)
RN 946147-34-8 CAPLUS
CN Formamide, N-[3',5-bis(1,1-dimethylethyl)[1,1'-biphenyl]-2-yl]- (CA INDEX NAME)



L3 ANSWER 14 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1448429 CAPLUS
DOCUMENT NUMBER: 150:5762
TITLE: Preparation of pyrimidinones as Casein kinase II (CK2) modulators
INVENTOR(S): Koltun, Elena S.; Kearney, Patrick; Aay, Naing; Arcalas, Arlyn; Chan, Wai Ki Vicky; Curtis, Jeffry Kimo; Du, Hongwang; Huang, Ping; Kane, Brian; Kim, Moon Hwan; Pack, Michael; Tsuhako, Amy L.; Xu, Wei; Zaharia, Cristiana A.; Zhou, Peiwen
PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 88pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008143759	A1	20081127	WO 2008-US5419	20080424
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2007-926358P P 20070425

OTHER SOURCE(S): MARPAT 150:5762
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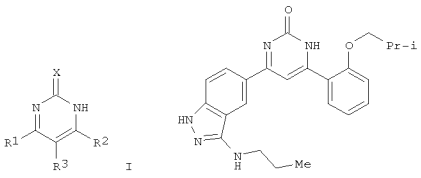
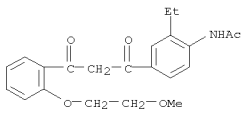


I II

L3 ANSWER 14 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AB The title compds. I [X = O or S; R1 = (un)substituted aryl; R2 = (un)substituted benzodioxylyl, benzofuranyl, imidazolyl, etc.; R3 = H; or R1 and R3 can join to form a ring of 5-6 carbon atoms; or R1 = aryl and R2 = (un)substituted indazolyl] which are inhibitors of Casein kinase II (CK2) pathways, were prepared E.g., a multi-step synthesis of II, starting from 1-(2-hydroxyphenyl)ethanone and 1-bromo-2-methylpropane, was given. Exemplified compds. I have been tested for theor CK2 inhibitory activity and showed IC50 values of less than 5000 nM. Pharmaceutical composition comprising the compound I is also disclosed.
IT 1086626-85-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrimidinone compds. as Casein kinase II inhibitors for treating and preventing diseases)
RN 1086626-85-8 CAPLUS
CN Acetamide, N-[2-ethyl-4-[3-[2-(2-methoxyethoxy)phenyl]-1,3-dioxopropyl]phenyl]- (CA INDEX NAME)



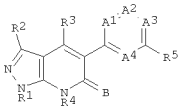
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1360991 CAPLUS
DOCUMENT NUMBER: 149:556614
TITLE: Preparation of pyrazolopyridones as p38 MAP kinase inhibitors which lower plasma concentrations of TNF-α, IL-1, IL-6, and/or IL-8.
INVENTOR(S): Pettus, Liping H.; Tasker, Andrew; Xu, Shimin; Wurz, Ryan
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 111pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008137176	A1	20081113	WO 2008-US5865	20080506
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20090012299	A1	20090108	US 2008-151478	20080506

PRIORITY APPLN. INFO.: US 2007-928155P P 20070507
US 2008-66424P P 20080219
US 2008-43089P P 20080407

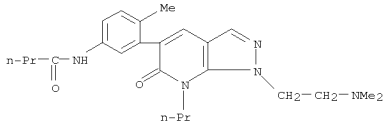
OTHER SOURCE(S): MARPAT 149:556614
GI



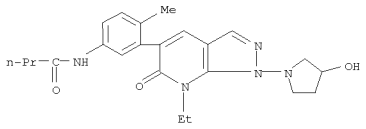
I

AB Title compds. [I; A1-A4 = CR6, N; ≤2 of A1-A4 = N; B = O, S, NCN; R1 = H, (substituted) (N-, O-, S-containing) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocyclyl; R2, R3 = H, halo, haloalkyl, NO2, cyano, (substituted) (N-, O-, S-containing) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl; R4 = cyano, COR7, (substituted) (N-,

L3 ANSWER 15 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
O-, S-contg.) alkyl, alkenyl, alkynyl, cycloalkyl; R5 = R7, NR7R7, SO2NR7R7, OR7, SR7, COR7, O2CR7, etc.; R6 = H, halo, haloalkyl, NO2, cyano, OR7, NR7R7, (substituted) (N-, O-, S-contg.) alkyl; R7 = H, (substituted) (N-, O-, S-contg.) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl], were prepd. Thus, 3-[1-(2,6-difluorophenyl)-7-methyl-6-oxo-6,7-dihydro-1H-pyrazolo[3,4-b]pyridin-5-yl]-5-fluoro-4-methylbenzamide (prepn. outlined) inhibited p38α with IC50 = 1 nM.
IT 1080572-92-4P 1080572-93-5P 1080572-96-8P
RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyridones as p38 MAP kinase inhibitors)
RN 1080572-92-4 CAPLUS
CN Butanamide, N-[3-[1-[2-(dimethylamino)ethyl]-6,7-dihydro-6-oxo-7-propyl-1H-pyrazolo[3,4-b]pyridin-5-yl]-4-methylphenyl]- (CA INDEX NAME)

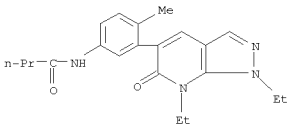


RN 1080572-93-5 CAPLUS
CN Butanamide, N-[3-[7-ethyl-6,7-dihydro-1-(3-hydroxy-1-pyrrolidinyl)-6-oxo-1H-pyrazolo[3,4-b]pyridin-5-yl]-4-methylphenyl]- (CA INDEX NAME)



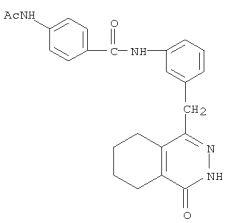
RN 1080572-96-8 CAPLUS
CN Butanamide, N-[3-(1,7-diethyl-6,7-dihydro-6-oxo-1H-pyrazolo[3,4-b]pyridin-5-yl)-4-methylphenyl]- (CA INDEX NAME)

L3 ANSWER 15 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

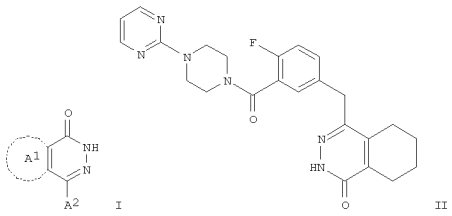
L3 ANSWER 16 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
S(O)R10, SO2R10 or CF3; R10 = each (un)substituted R10A, R10B or R10C, each of which must be attached at a carbon atom; R10A = each (un)fused Ph;
R10B = each (un)fused 2- or 3-pyridyl, 4- or 5-pyrimidinyl, 2- or 3-thienyl, 2-, 4-, 5-thiazolyl or 2-, 4-, 5-oxazolyl; R10C = each (un)fused cycloalkyl, cycloalkenyl, heterocycloalkyl or heterocycloalkenyl] or pharmaceutically acceptable salts thereof were prepd. These compds. are inhibitors of poly(ADP-ribose)polymerase (PARP) and are useful for treating cancer optionally in combination with radiotherapy or a chemotherapeutic agent selected from temozolomide, dacarbazine, cyclophosphamide, carmustine, melphalan, lomustine, carboplatin, cisplatin, 5-fluorouracil, leucovorin, gemcitabine, methotrexate, bleomycin, irinotecan, camptothecin, or topotecan. Thus, 100 mg 2-fluoro-5-[(4-oxo-3,4,5,6,7,8-hexahydrophthalazin-1-yl)methyl]benzoic acid was stirred with 126 mg 2-(1H-7-azabenzotriazol-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate methanaminium (HATU) and 92 μL triethylamine and stirred for 20 min at room temp., treated with 78 mg (piperazin-1-yl)pyrimidine dihydrochloride, and then stirred at room temp.
for 16 h to give 4-[4-fluoro-3-[(4-pyrimidin-2-ylpiperazin-1-yl)carbonyl]benzyl]-5,6,7,8-tetrahydrophthalazin-1(2H)-one (II). II inhibited PARP-1 with Ki of 0.7 nM and showed the inhibition of the formation of poly ADP-ribose in C41 cell with EC50 of 0.7 nM.
IT 1036396-96-9P, 4-(Acetylamino)-N-[3-[(4-oxo-3,4,5,6,7,8-hexahydrophthalazin-1-yl)methyl]phenyl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused pyridazine derivs. as inhibitors of poly(ADP-ribose)polymerase for treating cancer)
RN 1036396-96-9 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[3-[(3,4,5,6,7,8-hexahydro-4-oxo-1-phthalazinyl)methyl]phenyl]- (CA INDEX NAME)



L3 ANSWER 16 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1310203 CAPLUS
DOCUMENT NUMBER: 149:513842
TITLE: Preparation of fused pyridazine derivatives as inhibitors of poly(ADP-ribose)polymerase
INVENTOR(S): Gandhi, Virajkumar B.; Giranda, Vincent L.; Gong, Jianchun; Penning, Thomas D.; Zhu, Gui-Dong
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S. Pat. Appl. Publ., 162pp., Cont.-in-part of U.S. Ser. No. 964,822.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080269234	A1	20081030	US 2008-138168	20080612
US 20080161280	A1	20080703	US 2007-964822	20071227
PRIORITY APPLN. INFO.:			US 2006-882317P	P 20061228
			US 2007-964822	A2 20071227

OTHER SOURCE(S): MARPAT 149:513842
GI

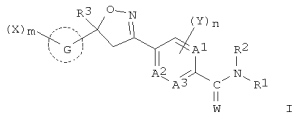


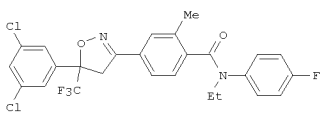
AB The title compds. [I; wherein A1 = each (un)substituted R1 or R2; R1 = cycloalkane or cycloalkene, each of which is (un)fused with R1A; R2 = heterocycloalkane or heterocycloalkene, each of which is (un)fused with R2A; R1A, R2A = benzene, heteroarene, cycloalkane, cycloalkene, heterocycloalkane or heterocycloalkene; A2 = OR4, NHR4, N(R4)2, SR4, S(O)R4, SO2R4, or R5; R4 = C1-3 alkyl substituted with R5; R5 = C1-5 alkyl
substituted with R10, and further unsubstituted or substituted with one or
two or three of independently selected OR10, NHR10, N(R10)2, SR10,

L3 ANSWER 17 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1217064 CAPLUS
DOCUMENT NUMBER: 149:402340
TITLE: Preparation of isoxazoline-substituted benzamide compounds as pesticides
INVENTOR(S): Mita, Takeshi; Furukawa, Hiroki; Toyama, Kenichi; Yaosaka, Manabu; Ikeda, Shigetatsu; Masuzawa, Yoshihide; Komota, Mitsuaki
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 410pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008239611	A	20081009	JP 2008-42416	20080225
PRIORITY APPLN. INFO.:			JP 2007-47279	A 20070227

OTHER SOURCE(S): MARPAT 149:402340
GI





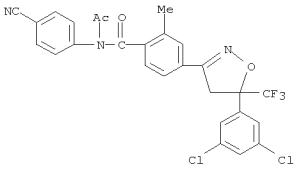
AB The title compds. (I) or salts thereof [A1, A2, A3 = C, N; ring G = benzene, furan, or thiophene ring, 6-membered aromatic heterocyclic ring containing N, 5-membered aromatic heterocyclic ring containing ≥heteroatoms
selected from O, S and N; W = O, S; X = halo, cyano, NO2, N3, thiocyanato, substituted saturated heterocyclyl, each (un)substituted HO, NH2,
C1-6 alkyl, C3-8 cycloalkyl, C2-6 alkenyl, C2-6 alkynyl, or Ph, etc.; Y = halo, cyano, NO2, N3, thiocyanato, substituted saturated heterocyclyl, each (un)substituted HO, NH2, C1-6 alkyl, C3-8 cycloalkyl, C2-6 alkynyl, or Ph, etc.; R1 = each (un)substituted CH:NOH, Ph, CONH2, C(S)NH2, 4,5-dihydroisoxazol-3-yl, or 5,6-dihydro-4H-1,2-oxazin-3-yl, ester of CO2H, C(O)SH, C(S)OH, or C(S)SH, etc.; R2 = CHO, cyano,

L3 ANSWER 17 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
C1-12 alkyl, C3-12 cycloalkenyl, C3-12 halocycloalkenyl, C3-12 alkynyl, C3-12 haloalkynyl, each (un)substituted Ph, tetrahydrofuran-2-yl, tetrahydrothiophen-2-yl, or pyrrolidin-2-yl, etc.; R3 = halo, cyano, C3-6 alkenyl, C3-6 alkynyl, (un)substituted C1-6 alkenyl, C3-8 cycloalkyl, C2-6 alkenyl, C3-6 alkynyl, satd. heterocyclyl, HO, NH2, or CONH2, etc.; m = an integer of 0-5; n = an integer of 0-4] are prepd. These compds. are useful as harmful organism-controlling agents, particularly insecticides or acaricides. Thus, amidation of 4-fluoroaniline with 4-[5-(3,5-dichlorophenyl)-5-trifluoromethyl-4,5-dihydroisoxazol-3-yl]-2-methylbenzoyl chloride in the presence of pyridine in CH2Cl2 at room temp. for 1 h gave 4-[5-(3,5-dichlorophenyl)-5-trifluoromethyl-4,5-dihydroisoxazol-3-yl]-4'-fluoro-2-methylbenzanilide which underwent N-alkylation by Et bromide in DMF at 80° for 5 h to give 4-[5-(3,5-dichlorophenyl)-5-trifluoromethyl-4,5-dihydroisoxazol-3-yl]-N-ethyl-4'-fluoro-2-methylbenzanilide (II). II at 500 ppm controlled ≥80% 2nd instar larvae of Plutella xylostella on cabbage leaves.

IT 928785-79-9P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isoxazoline-substituted benzamide compds. as pesticides such as insecticides and acaricides)

RN 928785-79-9 CAPLUS

CN Benzamide, N-acetyl-N-(4-cyanophenyl)-4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-2-methyl- (CA INDEX NAME)



L3 ANSWER 18 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1210334 CAPLUS
DOCUMENT NUMBER: 149:425988
TITLE: Preparation of benzoxazepines as androgen receptor modulators for treating various diseases
INVENTOR(S): Rafferty, Stephen William; Stewart, Eugene L.; Turnbull, Philip Stewart; Yates, Christopher M.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 111pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008121602	A1	20081009	WO 2008-US58091	20080325

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2007-908739P P 20070329

OTHER SOURCE(S): MARPAT 149:425988
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

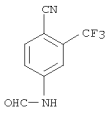
AB This invention relates to non-steroidal compds. of general formula I (wherein R1 is C1-2alkyl, halogen, or CF3; R2 is H, Cl, F, or methyl; R3 is H or methyl; R4 is H, C1-6alkyl, or benzyl optionally substituted with CF3; R5 is Me, nitro, halogen, CN, CF3, or C(O)OCH2CH3; R6 is Cl, F, or CF3; m = 0-1)that are modulators of androgen receptor, and also to the methods for the making and use of such compds. in treating disorders mediated by androgenic activity. Example compound II was prepared from intermediate III which was formed by a 3-component modified Ugi reaction that efficiently assembled the complex 6,7-fused ring system in a single step. When tested in a castrated male rat model, II (20 mg/kg/day, orally, for 7 days) caused levator ani hypertrophy and very little prostate stimulation.

IT 1067225-60-8P, N-[4-Cyano-3-(trifluoromethyl)phenyl]formamide
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

L3 ANSWER 18 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(prepn. of benzoxazepines as androgen receptor modulators for treating various diseases)

RN 1067225-60-8 CAPLUS

CN Formamide, N-[4-cyano-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

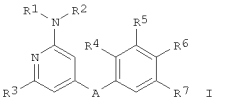
L3 ANSWER 19 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1158632 CAPLUS
DOCUMENT NUMBER: 149:402366
TITLE: Preparation of aminopyridine derivatives, particularly 3-(aminopyridinyl)-5-(alkoxyphenyl)-1,2,4-oxadiazoles, as immunomodulating S1P1/EDG1 receptor agonists
INVENTOR(S): Bolli, Martin; Mathys, Boris; Mueller, Claus; Nayler, Oliver; Steiner, Beat; Velker, Joerg
PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd, Switz.
SOURCE: PCT Int. Appl., 121pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008114157	A1	20080925	WO 2008-IB50742	20080229

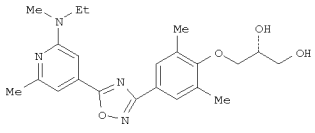
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: WO 2007-IB50921 A 20070316

OTHER SOURCE(S): MARPAT 149:402366
GI



I



II

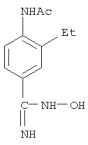
L3 ANSWER 19 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AB The invention is related to the preparation of novel aminopyridine derivs. I [A = 2,5-oxadiazolylene or 3,5-oxadiazolylene, 2,5-thiazolylene, 2,5-thiadiazolylene, etc.; R1 = H, C1-3 alkyl; R2 = C1-4 alkyl; NR1R2 = pyrrolidino, piperidino,morpholino; R3 = C1-4 alkyl, chloro; R4, R5 = independently H, C1-4 alkyl, chloro, C1-3 alkoxy; R6 = H, hydroxyalkyl, 2,3-dihydroxypropyl, 2-(3-carboxyazetidin-1-yl)ethoxy, etc.; R7 = H, C1-4 alkyl, halo] and their salts, to their use as S1P1/EDG1 receptor agonists, and to their pharmaceutical compns. for the prevention or treatment of diseases or disorders associated with an activated immune system. Thus, reacting 4-hydroxy-N-hydroxy-3,5-dimethylbenzamidine with 2-chloro-6-methylisonicotinic acid, cyclization of hydroxyamidine ester in dioxane, amination of the chloride with N-ethyl-N-methylamine and O-alkylation of the phenol with (R)-3-chloro-1,2-propanediol gave aminopyrimidinyloxazole II. Selected I displayed EC50 values of 0.1 - 9180 nM with an average of 344 nM in GTPγS binding assays using membrane prepns. of CHO cells expressing recombinant human S1P1 receptor, and showed the effect on lymphocyte counts 6 h after oral administration of 10 mg/kg of I to normotensive male Wistar rats as compared to a group of animals treated with vehicle only.

IT 1062669-40-2P, N-[2-Ethyl-4-(N-hydroxycarbamimidoyl)phenyl]acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of aminopyridine derivs. as immunomodulating S1P1/EDG1 receptor agonists)

RN 1062669-40-2 CAPLUS

CN Acetamide, N-[2-ethyl-4-[(hydroxyamino)iminomethyl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1122379 CAPLUS
DOCUMENT NUMBER: 149:355690
TITLE: Preparation of N-(2-acylaminobenzyl or 2-acylaminoheterocyclylmethyl)thiophene-2-carboxamide derivatives as antithrombotics
INVENTOR(S): Mochizuki, Akiyoshi; Nagata, Tsutomu; Takano, Daisuke; Kanno, Hideyuki
PATENT ASSIGNEE(S): Daiichi Sankyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 400pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008111299	A1	20080918	WO 2008-JP501	20080310
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
PRIORITY APPLN. INFO.:			JP 2007-59675 A	20070309

OTHER SOURCE(S): MARPAT 149:355690
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Diamides represented by the general formula [I; ring A = benzene, pyridine, pyridazine, pyrazine, or pyrimidine ring; R1 = H, halo, C1-6 alkyl, halo-C1-6 alkyl, HO, C1-6 alkoxy, halo-C1-6 alkoxy; R2 = H, halo, C1-6 alkyl, halo-C1-6 alkyl, HO, C1-6 alkoxy, halo-C1-6 alkoxy, C1-6 alkylsulfonyloxy, cyano, CO2H, C1-6 alkoxycarbonyl, carboxy-C1-6 alkyl, each (un)substituted CONH2 or NH2, , etc.; T1 = CONR3, NR3CO; R3 = H, C1-6 alkyl; T2 = CR4R5NHCO; R4, R5 = H, C1-6 alkyl; Q1 = C1-6 alkylsulfonylphenyl, N,N-di(C1-6 alkyl)aminocyclohexyl, 2-oxopyrrolidinyl, 2-oxo[1,3]oxazolidinyl, 1,1-dioxo-1λ6-isothiazolidinyl, 1-C1-6 alkylpiperidinyl, etc.; Q2 = a single bond, (un)substituted 1,4-phenylene, piperidine-1,4-diyl, thiazole-2,5-diyl, [1,3,4]thiadiazole-2,5-diyl, pyridine-2,5-diyl; Q3 = each (un)substituted Ph, thienyl, pyrrolyl, or

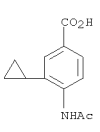
L3 ANSWER 20 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

pyridyl] or pharmacol. acceptable salts thereof were prepd. These compds. have a potent inhibitory activity on activated blood coagulation factor Xa (FXa) and exhibit quick, sufficient and lasting antithrombotic effect even by oral administration. They are useful for the prevention and/or treatment of thrombus or embolism, more specifically cerebral infarction, cerebral embolism, myocardial infarction, angina pectoris, pulmonary embolism, Buerger disease, deep vein thrombosis, disseminated intravascular coagulation (DIC), thrombus formation after artificial valve/joint replacement, thrombus formation or re-obstruction (clogging) after vascular reconstruction, multiple organ failure (MODS), thrombus formation during extracorporeal circulation, or blood coagulation during blood sampling. Thus, 5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine-2-carboxylic acid hydrochloride 260, HOBt 140, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride 234 mg, and 320 μL Et3N were added to a soln. of 253 mg N-(2-aminobenzyl)-5-chlorothiophene-2-carboxamide in 10 mL DMF and the resulting mixt. was stirred at room temp. for 23 h to give, after workup, 262 mg N-[2-[[[(5-Chlorothiophen-2-yl)carbonyl]amino]methyl]phenyl]-5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine-2-carboxamide (II). II hydrochloride and 4-[[[(5-Chlorothiophen-2-yl)carbonyl]amino]methyl]-3-fluoro-5-[[(5-isopropyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridin-2-yl)carbonyl]amino]benzoic acid Me ester (III) inhibited human FXa with IC50 of 1.7 and 0.51 nM, resp.

IT 1057652-25-1P, N-(4-Carboxy-2-cyclopropylphenyl)acetamide
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prophetic intermediate; preparation of N-(2-acylaminobenzyl or 2-acylaminoheterocyclylmethyl)thiophene-2-carboxamide derivs. as factor Xa inhibitors for prevention and/or treatment of thrombus or embolism)

RN 1057652-25-1 CAPLUS

CN Benzoic acid, 4-(acetylamino)-3-cyclopropyl- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

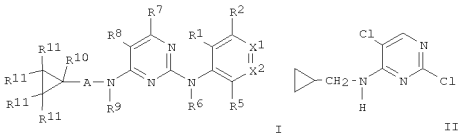
L3 ANSWER 21 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1106275 CAPLUS
DOCUMENT NUMBER: 149:332356
TITLE: Preparation of diaminopyrimidine as agrochemical fungicides
INVENTOR(S): Greul, Joerg Nico; Gaertzen, Oliver; Dunkel, Ralf; Mattes, Amos; Hillebrand, Stefan; Wachendorff-Neumann, Ulrike; Dahmen, Peter; Voerste, Arnd; Schreier, Peter; Coqueron, Pierre-Yves
PATENT ASSIGNEE(S): Bayer Cropscience AG, Germany
SOURCE: PCT Int. Appl., 272pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008107096	A1	20080912	WO 2008-EP1503	20080226
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
DE 102007010801	A1	20080904	DE 2007-102007010801	20070302
PRIORITY APPLN. INFO.:			DE 2007-102007010801A	20070302

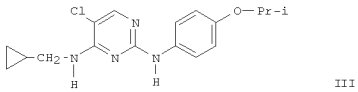
OTHER SOURCE(S): MARPAT 149:332356
GI

L3 ANSWER 21 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

II



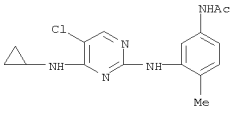
III

AB Title compds. I [X1 = N, CR3; X2 = N, CR4; A = bond, C(R14)2; R1, R2, R3, R4, R5 = H, halo, CN, etc.; R6 = H, benzyl, alkyl, etc.;R7 = H, CN, Me, etc.; R8 = halo, CN, Me, etc.; R9 = H, alkyl, cycloalkyl, etc.; R10 = H, alkoxy, alkyl, etc.; R11 = H, halo, alkyl, etc.] were prepared For example, condensation of 4-(isopropoxy)aniline and chloropyrimidine II afforded the HCL salt of diaminopyrimidine III in 35% yield after work-up. In botrytis protection assays, 15-examples of compds. I exhibited 70% protection after 2-days.

IT 1054574-25-2P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of diaminopyrimidine as agrochem. fungicides)

RN 1054574-25-2 CAPLUS

CN Acetamide, N-[3-[[5-chloro-4-(cyclopropylamino)-2-pyrimidinyl]amino]-4-methylphenyl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

L3 ANSWER 21 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1006407 CAPLUS
DOCUMENT NUMBER: 149:288778
TITLE: 1-[3-(Monocyclic amino)propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridines as modulators of cathepsin S and their preparation, pharmaceutical compositions and use in the treatment of CatS-mediated diseases
INVENTOR(S): Allen, Darin; Ameriks, Michael K.; Axe, Frank U.; Burdett, Matthew; Cai, Hui; Choong, Ingrid; Edwards, James P.; Lew, Willard; Meduna, Steven P.
PATENT ASSIGNEE(S): Sunesis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 177pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008100635	A1	20080821	WO 2008-US2165	20080215

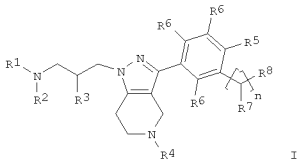
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2007-889982P P 20070215
US 2008-31579 A 20080214

OTHER SOURCE(S): MARPAT 149:288778
GI

L3 ANSWER 22 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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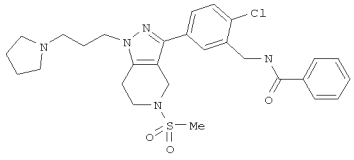


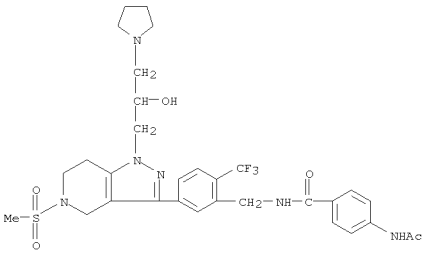
II

AB Monocyclic aminopropyl tetrahydro-pyrazolo-pyridine compds. of formula I are described, which are useful as cathepsin S modulators. Such compds. may be used in pharmaceutical compns. and methods for the treatment of disease states, disorders, and conditions mediated by cathepsin S activity, such as psoriasis, pain, multiple sclerosis, atherosclerosis, and rheumatoid arthritis. Compds. of formula I wherein R1R2 is taken together to form (un)substituted monocyclic heterocycloalkyl; R3 is H, OH, C1-4 alkyl, O-C1-4 alkyl, and O-CO-C1-4 alkyl; R4 is H, C1-4 alkyl, (un)substituted CO-C1-4 alkyl, COCF3, SO2-C1-4 alkyl, etc.; R5 is halo and CF3; R6 is and F; n is 0, 1, and 2; R7 is H and C1-4 alkyl; R8 is CONH2 and derivs., NH-acyl and derivs., NH2 and derivs., OH and derivs., etc.; and their pharmaceutically acceptable salts, prodrugs, and metabolites thereof, are claimed. Example compound II was prepared by N-alkylation of 2-chloro-5-(5-methanesulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl)benzonitrile; the resulting 2-chloro-5-[1-(2-[1,3]-dioxolan-2-ylethyl)-5-methanesulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]benzonitrile underwent hydrolysis to give the corresponding aldehyde, which underwent reductive amination with pyrrolidine to give 2-chloro-5-(5-methanesulfonyl-1-(3-pyrrolidin-1-ylpropyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl)benzonitrile, which underwent hydrogenation to give the corresponding benzylamine, which underwent amidation with benzoyl chloride to give compound II. All the invention compds. were evaluated for their CatS modulatory activity. From the assay, it was determined that compound II exhibited IC50 value of 0.32 μM.

L3 ANSWER 22 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
IT 1048036-63-0P, 4-Acetylamino-N-[5-[1-(2-hydroxy-3-(pyrrolidin-1-

yl)propyl)-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-trifluoromethylbenzyl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of (aminopropyl)tetrahydropyrazolopyridines as cathepsin S modulators useful in the treatment of CatS-mediated diseases)
RN 1048036-63-0 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[[5-[4,5,6,7-tetrahydro-1-[2-hydroxy-3-(1-

pyrrolidinyl)propyl]-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

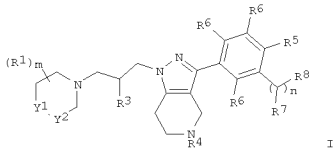


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1005647 CAPLUS
DOCUMENT NUMBER: 149:288776
TITLE: Preparation of heterocyclylpropyl tetrahydropyrazolopyridines as modulators of cathepsin S.
INVENTOR(S): Allen, Darin; Ameriks, Michael K.; Axe, Frank U.; Burdett, Matthew; Cai, Hui; Choong, Ingrid; Edwards, James P.; Lew, Willard; Meduna, Steven P.
PATENT ASSIGNEE(S): Sunesis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 166pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008100620	A2	20080821	WO 2008-US2110	20080215
WO 2008100620	A3	20081113		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20080269241	A1	20081030	US 2008-31597	20080214
PRIORITY APPLN. INFO.:			US 2007-889987P P	20070215
			US 2008-31597 A	20080214

OTHER SOURCE(S): MARPAT 149:288776
GI



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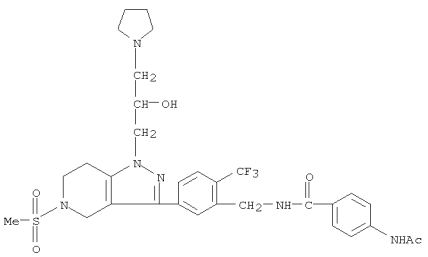
L3 ANSWER 23 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AB Title compds. [I; Y1Y2 = CRaRbCH2, CRaRb, NRbCH2; Ra = H, OH; Rb = Rc, CORc, SO2Rc; Rc = (substituted) cycloalkyl, Ph, naphthyl, heterocycloalkyl, heteroaryl; m = 0-2; R1 = alkyl, OH, alkoxy, halo, CF3, amino; R3 = H, OH, alkyl, alkoxy, alkylcarbonyloxy; R4 = H, alkyl, COCF3, alkylsulfonyl, SO2CF3, CONH2, COCONH2, (substituted) alkylcarbonyl, etc.; R5 = halo, CF3; R6 = H, F; n = 1, 2; R7 = H, alkyl; R8 = CON(R9)2, N(R9)2, OY, SY, OCH2Y, (substituted) heteroaryl, etc.; R9 = H, alkyl; Y = (substituted) cycloalkyl, Ph, styrenyl, naphthyl, heterocycloalkyl, heteroaryl], were prepared Thus, N-[2-chloro-5-[1-[2-hydroxy-3-[4-(2-oxopyrrolidin-1-yl)piperidin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]benzyl]-4-fluorobenzamide (7 step preparation given) inhibited human cathepsin S with IC50 = 0.02 μM.
IT 1048036-63-0P, 4-Acetylamino-N-[5-[1-(2-hydroxy-3-(pyrrolidin-1-

yl)propyl)-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-trifluoromethylbenzyl]benzamide
RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclylpropyl tetrahydropyrazolopyridines as modulators of cathepsin S)
RN 1048036-63-0 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[[5-[4,5,6,7-tetrahydro-1-[2-hydroxy-3-(1-

pyrrolidinyl)propyl]-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



L3 ANSWER 24 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:854015 CAPLUS
DOCUMENT NUMBER: 149:153082
TITLE: Preparation of indolin-2-one, benzimidazol-2-one and benzoxazol-2-one compounds as inhibitors of serine palmitoyltransferase
INVENTOR(S): Bolton, Gary Louis; Hutchings, Richard Henry; Kohrt, Jeffrey Thomas; Park, William Keun Chan; Van Huis, Chad Alan
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 157pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008084300	A1	20080717	WO 2007-IB3828	20071203
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20080287479	A1	20081120	US 2007-945452	20071127
PRIORITY APPLN. INFO.:			US 2006-875988P P	20061220

OTHER SOURCE(S): MARPAT 149:153082
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

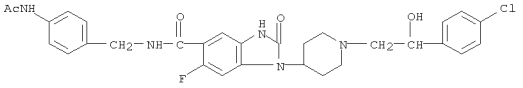
AB This invention provides compds. of the formula [I; E1 = N, CH; E2 = NR, O, or CRaRb; R = H, C1-3 alkyl, -CH2CO2H, CH2-CO2-C1-6 alkyl; Ra, Rb = H, CO-C1-3 alkyl; Y = a linking group of Q, CF2(CH2)r1, or Q1; r = 0-2; r1, r2 = 1-3; the dashed line connected to X indicates an optional double bond; X = H, halogen, OH, oxo, :NOR'; R' =H, C1-6 straight or branched alkyl, C3-6 cycloalkyl, C3-6 cycloalkyl-C1-3 alkyl; the B ring = a moiety selected from the group of Q2, Q3, and Q4; m, n = 0-2; A = each (un)substituted C1-6 alkyl, C2-6 alkenyl, carbocycle, or heterocycle; each of the alkyl, alkenyl, carbocycle and heterocycle groups being optionally substituted by R3 and R4; R1 = H, halogen, cyano, C(O)R5, C(O)OR5, C(O)NR5R6, S(O)pR5, S(O)2N R5R6, C1-3 alkyl, hydroxy-C1-3 alkyl, Q5; L = a linking group of CO, CON R5, CO2, S(O)p, SO2 NR5; p = 0-2; D = (un)substituted (CH2)0-3-carbocycle or (CH2)0-3-heterocycle; R5 = H, each (un)substituted C1-6 alkyl or -(CH2)0-3-(C3-C7 cycloalkyl); R6 = H, C1-6 alkyl, C2-6 alkenyl, -(CH2)0-3-carbocycle and - (CH2)0-3-heterocycle; R2 =

L3 ANSWER 24 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
H, halogen, CF3, each (un)substituted C1-3 alkoxy, C1-3 alkyl, or C2-3 alkenyl] or pharmaceutically acceptable salts thereof. These compds. are useful in the inhibition or modulation of serine palmitoyl transferase (SPT) and in methods of treatment or amelioration of type 2 diabetes, type 1 diabetes, insulin resistance, the effects of obesity, metabolic syndrome (sometimes referred to as Syndrome X), impaired glucose tolerance, Cushing's disease, cardiovascular disease, prothrombotic conditions, myocardial infarction, hypertension, congestive heart failure, cardiomyopathy, atherosclerosis, dyslipidemia, sepsis, liver damage, retinal degenerative disorders, cachexia, emphysema, hepatitis C infections, HIV infections and inflammatory disorders and useful in methods for raising HDL plasma levels in a mammal. They can also be used to prevent damage or loss of pancreatic islet beta cells (such as in the case of pancreatic beta cell apoptosis, including those related to insulin-dependent diabetes mellitus). Thus, tert-Bu

4-[2-oxo-5-(1H-tetrazol-5-yl)-2,3-dihydro-1H-benzimidazol-1-yl]piperidine-1-carboxylate (0.19 g) was stirred in 5 mL CH2Cl2 and 5 mL CF3CO2H at ambient temp. for 2 h, concd. in vacuo, redissolved in 3 mL DMF, cooled to 0°, treated with Et3N(0.34 mL) and then dropwise a soln. 4-chlorophenacyl bromide (0.11 g) in 1 mL DMF, and stirred at 0° for 1 h to give 42% 1-[1-[2-(4-chlorophenyl)-2-oxoethyl]piperidin-4-yl]-5-(1H-tetrazol-5-yl)-1,3-dihydro-2H-benzimidazol-2-one (II). II showed IC50 of 0.89 nM against serine palmitoyl transferase.

IT 1037835-84-9P, N-[4-(Acetylamino)benzyl]-1-[1-[2-(4-chlorophenyl)-2-hydroxyethyl]piperidin-4-yl]-6-fluoro-2-oxo-2,3-dihydro-1H-benzimidazole-5-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolin-2-one, benzimidazol-2-one and benzoxazol-2-one compds. as inhibitors of serine palmitoyltransferase)

RN 1037835-84-9 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-[[4-(acetylamino)phenyl]methyl]-1-[1-[2-(4-chlorophenyl)-2-hydroxyethyl]-4-piperidinyl]-6-fluoro-2,3-dihydro-2-oxo- (CA INDEX NAME)



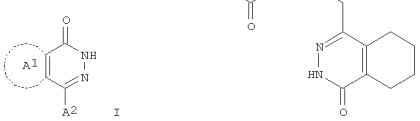
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:805275 CAPLUS
DOCUMENT NUMBER: 149:128840
TITLE: Preparation of fused pyridazine derivatives as inhibitors of poly(ADP-ribose)polymerase
INVENTOR(S): Gandhi, Virajkumar B.; Giranda, Vincent L.; Gong, Jianchun; Penning, Thomas D.; Zhu, Gui-Dong
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S. Pat. Appl. Publ., 108pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080161280	A1	20080703	US 2007-964822	20071227
WO 2008083027	A1	20080710	WO 2007-US88319	20071220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080269234	A1	20081030	US 2008-138168	20080612
PRIORITY APPLN. INFO.:			US 2006-882317P	P 20061228
			US 2007-964822	A2 20071227

OTHER SOURCE(S): MARPAT 149:128840
GI

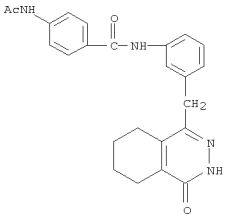


L3 ANSWER 25 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
AB The title compds. [I; wherein A1 = each (un)substituted R1 or R2; R1 = cycloalkane or cycloalkene, each of which is (un)fused with R1A; R2 = heterocycloalkane or heterocycloalkene, each of which is (un)fused with R2A; R1A, R2A = benzene, heteroarene, cycloalkane, cycloalkene, heterocycloalkane or heterocycloalkene; A2 = OR4, NHR4, N(R4)2, SR4, S(O)R4, SO2R4, or R5; R4 = C1-3 alkyl substituted with R5; R5 = C1-5 alkyl substituted with R10, and further unsubstituted or substituted with one or two or three of independently selected OR10, NHR10, N(R10)2, SR10, S(O)R10, SO2R10 or CF3; R10 = each (un)substituted R10A, R10B or R10C, each of which must be attached at a carbon atom; R10A = each (un)fused Ph, 2- or 3-pyridyl, 4- or 5-pyrimidinyl, or 2- or 3-thienyl; R10B = each (un)fused 2-, 4-, 5-thiazolyl or 2-, 4-, 5-oxazolyl; R10C = each (un)fused cycloalkyl, cycloalkenyl, heterocycloalkyl or heterocycloalkenyl] or pharmaceutically acceptable salts thereof were prepared These compds. are inhibitors of poly(ADP-ribose)polymerase (PARP) and useful for treating cancer optionally in combination with radiotherapy or a chemotherapeutic agent selected from temozolomide, dacarbazine, cyclophosphamide, carmustine, melphalan, lomustine, carboplatin, cisplatin, 5-fluorouracil, leucovorin, gemcitabine, methotrexate, bleomycin, irinotecan, camptothecin, or topotecan. Thus, 100 mg 2-fluoro-5-[(4-oxo-3,4,5,6,7,8-hexahydrophthalazin-1-yl)methyl]benzoic acid was stirred with 126 mg 2-(1H-7-azabenzotriazol-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate methanaminium (HATU) and 92 µL triethylamine and stirred for 20 min at room temperature, treated with 78 mg (piperazin-1-yl)pyrimidine dihydrochloride, and then stirred at room temperature for 16 h to give 4-[4-fluoro-3-[(4-pyrimidin-2-ylpiperazin-1-yl)carbonyl]benzyl]-5,6,7,8-tetrahydrophthalazin-1(2H)-one (II). II inhibited PARP-1 with Ki of 0.7 nM and showed the inhibition of the formation of poly ADP-ribose in C41 cell with EC50 of 0.7 nM.

IT 1036396-96-9P, 4-(Acetylamino)-N-[3-[(4-oxo-3,4,5,6,7,8-hexahydrophthalazin-1-yl)methyl]phenyl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused pyridazine derivs. as inhibitors of poly(ADP-ribose)polymerase for treating cancer)

RN 1036396-96-9 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[3-[(3,4,5,6,7,8-hexahydro-4-oxo-1-phthalazinyl)methyl]phenyl]- (CA INDEX NAME)

L3 ANSWER 25 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



L3 ANSWER 26 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

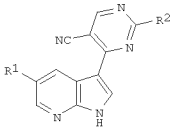
ACCESSION NUMBER: 2008:796822 CAPLUS
DOCUMENT NUMBER: 149:128848
TITLE: Preparation of 5-cyano -4-(pyrrolo[2,3-b]pyridin-3-yl)pyrimidines as polo-like kinase (PLK) inhibitors.
INVENTOR(S): Mortimore, Michael; Young, Stephen Clinton; Everitt, Simon Robert Lorrie; Knegtel, Ronald; Pinder, Joanne Louise; Rutherford, Alistair Peter; Durrant, Steven; Brenchley, Guy; Charrier, Jean Damien; O'Donnell, Michael
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 191pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008079346	A1	20080703	WO 2007-US26190	20071221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2006-876307P P 20061221
US 2007-922291P P 20070406
US 2007-947707P P 20070703
US 2007-989014P P 20071119

OTHER SOURCE(S): MARPAT 149:128848
GI

L3 ANSWER 26 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

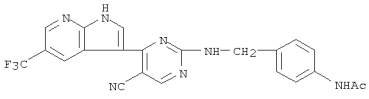


I

AB Title compds. [I; R1 = H, halo, (substituted) aliphatyl, aliphatyloxy; R2 = NR4R5, OR6, SR6, etc.; R4 = H, (substituted) aliphatyl; R5 = (substituted) aliphatyl, mono- or bicyclyl; R4R5 = atoms to form (substituted) mono- or bicyclyl; R6 = H, (substituted) alkyl, aryl(alkyl), heteroaryl(alkyl)], were prepared Thus, 2-methylsulfonyl-4-(1-tosyl-5-trifluoromethyl-1H-pyrrolo[2,3-b]pyridin-3-yl)pyrimidine-5-carbonitrile (preparation given) was microwaved with PhCH2NH2 and diisopropylamine in THF at 100° for 10 min. to give a residue which was stirred with LiOH in THF/H2O for 1 h to give 36% 2-benzylamino-4-(5-trifluoromethyl-1H-pyrrolo[2,3-b]pyridin-3-yl)pyrimidine-5-carbonitrile. I inhibited PLK1 with Ki in the range of <3 nM to >40 nM.

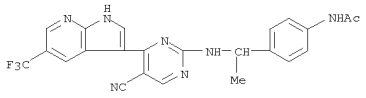
IT 1036024-71-1P 1036025-20-3P 1036025-43-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyanopyrrolopyridinylpyrimidines as polo-like kinase inhibitors)

RN 1036024-71-1 CAPLUS
CN Acetamide, N-[4-[[[5-cyano-4-[5-(trifluoromethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-2-pyrimidinyl]amino]methyl]phenyl]- (CA INDEX NAME)



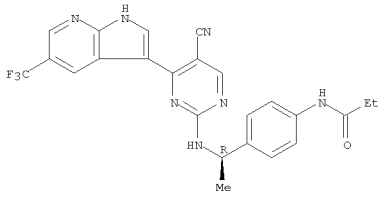
RN 1036025-20-3 CAPLUS
CN Acetamide, N-[4-[1-[[5-cyano-4-[5-(trifluoromethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-2-pyrimidinyl]amino]ethyl]phenyl]- (CA INDEX NAME)

L3 ANSWER 26 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1036025-43-0 CAPLUS
CN Propanamide, N-[4-[(1R)-1-[[5-cyano-4-[5-(trifluoromethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-2-pyrimidinyl]amino]ethyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



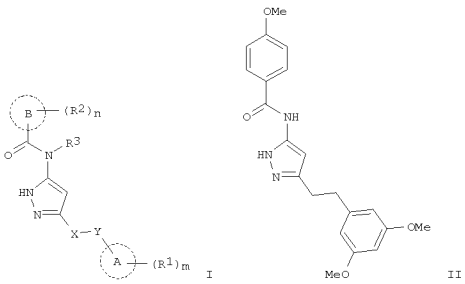
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 27 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:778053 CAPLUS
DOCUMENT NUMBER: 149:104701
TITLE: Preparation of pyrazole derivatives for the treatment of cancer
INVENTOR(S): Foote, Kevin Michael; Theoclitou, Maria-Elena; Thomas, Andrew Peter; Buttar, David
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 396 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008075068	A2	20080626	WO 2007-GB4917	20071220
WO 2008075068	A3	20081002		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20080153812	A1	20080626	US 2007-958720	20071218
PRIORITY APPLN. INFO.:			US 2006-871190P P	20061221
			US 2007-985542P P	20071105

OTHER SOURCE(S): MARPAT 149:104701
GI

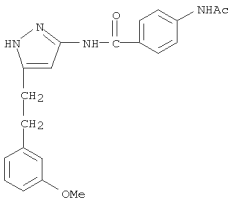
L3 ANSWER 27 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I II

AB Title compds. I [ring A and B independently = 5- to 6-membered aromatic group; R1 independently = halo, OH, CN, (un)substituted alkyl, cycloalkyl, alkenyl, Ph, 4- to 6-membered heterocyclyl, etc.; R2 independently = OH, halo, CN, (un)substituted alkyl, cycloalkyl, alkenyl, etc.; R3 = H or (un)substituted alkyl; m = 0-4; n = 0-4], and their pharmaceutically acceptable salts, are prepared Thus, e.g., II was prepared by amidation of 4-methoxybenzoic acid with tert-Bu 5-amino-3-[2-(3,5-dimethoxyphenyl)ethyl]pyrazole-1-carboxylate (preparation given) followed by deprotection. II was tested in FGFR kinase assay and demonstrated the inhibition of FGFR1 activity with IC50 value of < 0.3 μM. The invention also provided processes for the manufacture of I, and the use of I as a medicament and in the treatment of cancer.
IT 1035269-03-4P, 4-Acetamido-N-[5-[2-(3-methoxyphenyl)ethyl]-1H-pyrazol-3-yl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazole derivs. for the treatment of cancer)
RN 1035269-03-4 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[5-[2-(3-methoxyphenyl)ethyl]-1H-pyrazol-3-yl]- (CA INDEX NAME)

L3 ANSWER 27 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



L3 ANSWER 28 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:739145 CAPLUS
DOCUMENT NUMBER: 149:79491
TITLE: Preparation of pyrrolidinyl and piperidinyl ketone derivatives for the treatment of diseases associated with monoamine reuptake inhibitors
INVENTOR(S): Iyer, Pravin; Lin, Clara Jeou Jen; Lynch, Stephen M.; Lucas, Matthew C.; Madera, Ann Marie; Ozboya, Kerem Erol; Weikert, Robert James; Schoenfeld, Ryan Craig
PATENT ASSIGNEE(S): Roche Palo Alto LLC, USA
SOURCE: U.S. Pat. Appl. Publ., 127pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080146607	A1	20080619	US 2007-2696	20071218
WO 2008074703	A1	20080626	WO 2007-EP63736	20071211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
PRIORITY APPLN. INFO.:			US 2006-875969P P	20061219
			US 2007-999561P P	20071019

OTHER SOURCE(S): MARPAT 149:79491
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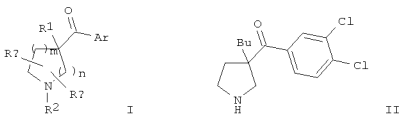
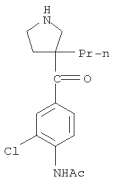


I II

AB Title compds. I [m = 0-3; n = 0-2; Ar = (un)substituted indolyl, indazolyl, azaindolyl, azaindazolyl, benzothiophenyl, benzimidazolyl, etc.; R1 = alkyl, alkenyl, alkynyl, alkyl, halo-alkyl, halo-alkenyl, cycloalkyl, etc.; R2 = H or alkyl; Ra and Rb each independently = H, alkyl, alkoxy, halo, OH or oxo; or Ra and Rb together form a alkylene; provided that when m = 1, n = 2 and Ar = (un)substituted Ph, then R1 is

L3 ANSWER 28 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
not Me or ethyl], and their pharmaceutically acceptable salts, are prepd. Thus, e.g., II was prepd. by Grignard reaction of 2-butyl-2-formylpyrrolidine-1-carboxylic acid tert-Bu ester (prepn. given) with 3,4-dichlorophenylmagnesium bromide, followed by oxidization and deprotection. I were found to have affinity for human serotonin transporter (hSERT) in scintillation proximity assay (SPA), e.g., naphthalen-2-yl(3-propylpyrrolidin-3-yl)methanone exhibited a pKi of approx. 9.82 in this assay. I should prove useful for the treatment of diseases assocd. with monoamine reuptake inhibitors such as depression and anxiety.
IT 1033815-29-0P, N-[2-Chloro-4-[(3-propylpyrrolidin-3-yl)carbonyl]phenyl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidinyl and piperidinyl ketone derivs. for treatment of diseases associated with monoamine reuptake inhibitors)
RN 1033815-29-0 CAPLUS
CN Acetamide, N-[2-chloro-4-[(3-propyl-3-pyrrolidinyl)carbonyl]phenyl]- (CA INDEX NAME)



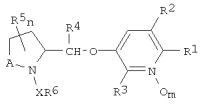
L3 ANSWER 29 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:673641 CAPLUS
DOCUMENT NUMBER: 149:3146
TITLE: Preparation of pyridine derivatives as insecticides
INVENTOR(S): Breuninger, Delphine; Puhl, Michael; Parra Rapado, Liliana; Rack, Michael; Kuhn, David G.; Culbertson, Deborah L.; Anspaugh, Douglas D.
PATENT ASSIGNEE(S): BASF SE, Germany
SOURCE: PCT Int. Appl., 127pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008065145	A1	20080605	WO 2007-EP62961	20071128

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-867642P P 20061129

OTHER SOURCE(S): MARPAT 149:3146
GI

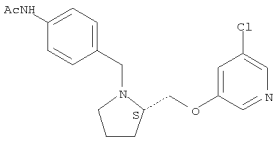


I

AB The pyridine derivs. I [A = bond or (un)substituted CH2; X = bond or (un)subsdtituted C1-3 alkylene; R1, R2 = H, halo, cyano, nitro, (halo)alkyl, etc.; R3 = H, halo or alkyl; R4 = H or alkyl; R5 = halo, OH, cyano or(halo)alkyl; R6 = alkyl, alkenyl or alkynyl; m = 0 or 1; n = 0 ,1 or 2] are prepared as insecticides.
IT 1032996-19-2P
RL: AGR (Agricultural use); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as insecticide)

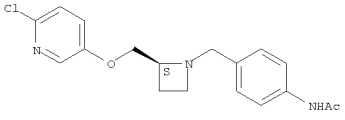
L3 ANSWER 29 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 1032996-19-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



IT 1029136-14-8P 1029136-23-9P 1029136-32-0P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as insecticide)
RN 1029136-14-8 CAPLUS
CN Acetamide, N-[4-[[(2S)-2-[[(6-chloro-3-pyridinyl)oxy]methyl]-1-azetidinyl]methyl]phenyl]- (CA INDEX NAME)

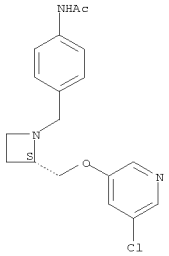
Absolute stereochemistry.



RN 1029136-23-9 CAPLUS
CN Acetamide, N-[4-[[(2S)-2-[[(5-chloro-3-pyridinyl)oxy]methyl]-1-azetidinyl]methyl]phenyl]- (CA INDEX NAME)

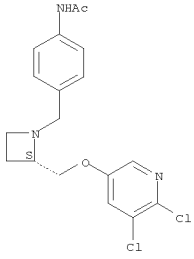
Absolute stereochemistry.

L3 ANSWER 29 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1029136-32-0 CAPLUS
CN Acetamide, N-[4-[[(2S)-2-[[(5,6-dichloro-3-pyridinyl)oxy]methyl]-1-azetidinyl]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

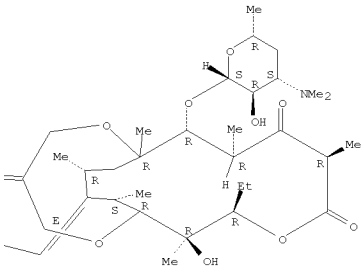
L3 ANSWER 30 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:620269 CAPLUS
DOCUMENT NUMBER: 148:586081
TITLE: Preparation of C-9 alkenylidine bridged macrolides for
use as prodrugs in antibiotic therapeutic agents
INVENTOR(S): Phan, Ly Tam; Qiu, Yao-Ling; Or, Yat Sun
PATENT ASSIGNEE(S): Enanta Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 137pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008061189	A1	20080522	WO 2007-US84831	20071115
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20080119418	A1	20080522	US 2007-940766	20071115
PRIORITY APPLN. INFO.:			US 2006-859440P P	20061116

OTHER SOURCE(S): MARPAT 148:586081
GI

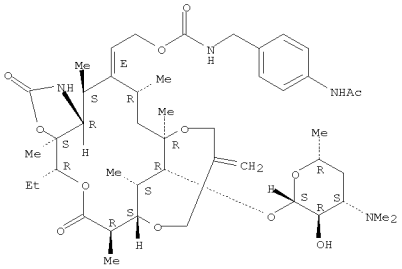
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB C-9 alkenylidine bridged macrolides I and II, wherein T is an (un)substituted alkylene, alkylketo, alkylimine, alkylester, alkylthioether bridge; A or B can be taken together with the carbon atom attached to be an (un)substituted alkene or alkylimine, or A or B is one or the other consisting of hydrogen and an (un)substituted ether; L can be alkyl, alkenyl, alkynyl, or heteroaryl groups; W can be hydrogen, L as stated above, ketones, esters or amides; Q can be hydrogen, aryl, cycloalkyl groups, or L as stated above; Z can be hydrogen, azido, cyano, nitro, amide, carboxy, aldehydo, esters, etc.; when U is hydrogen, V can be hydrogen, ethers, carbamates, sulfones, glycosyl or O linked disaccharides; alternatively, U and V can be taken together to be an oxo group; X and Y are independently hydrogen, hydroxy, halo, or L stated above; G can be hydrogen, hydroxy, or an (un)substituted ether; alternatively, G and W can be a cyclic propylidene or cyclic carbamate are



RN 1027320-46-2 CAPLUS
CN Carbamic acid, N-[[4-(acetylamino)phenyl]methyl]-, (2E)-2-[(3aS,4R,7R,8S,14R,16R,18S,18aR,19R,20S)-4-ethyldodecahydro-3a,7,14,16,18,20-hexamethyl-11-methylene-2,6-dioxo-19-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-8,14-ethano-6H,10H-[1,5,9]trioxacycloheptadecino[12,11-d]oxazol-17(14H)-ylidene]ethyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



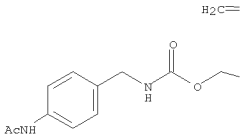
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

prepd. Thus, III was prepd. and employed as a C-9 alkenylidine bridged macrolide for use as prodrugs in antibiotic therapeutic agents (no data). Further I and II are versatile pharmaceutically acceptable salts, esters or prodrugs for treating bacterial infections such as cystic fibrosis.

IT 1027316-96-6P 1027320-46-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of C-9 alkenylidine bridged macrolides for use as prodrugs in
antibiotic therapeutic agents)

RN 1027316-96-6 CAPLUS
CN Erythromycin, 9-[2-[[[[4-(acetylamino)phenyl]methyl]amino]carbonyl]oxy]ethylidene]-3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-9-deoxo-6,11-O-(2-methylene-1,3-propanediyl)-3-oxo-, (9E)- (CA INDEX NAME)

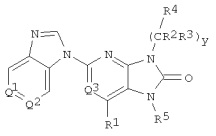
Absolute stereochemistry.
Double bond geometry as shown.



L3 ANSWER 31 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:620241 CAPLUS
DOCUMENT NUMBER: 148:585915
TITLE: Preparation of 7-substituted purine derivatives as inhibitors of tyrosine kinase Jak3 for immunosuppression
INVENTOR(S): Ohlmeyer, Michael J.; Bohnstedt, Adolph; Kingsbury, Celia; Ho, Koc-Kan; Quintero, Jorge
PATENT ASSIGNEE(S): Pharmacopeia Drug Discovery, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 87pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080119496	A1	20080522	US 2006-560731	20061116
PRIORITY APPLN. INFO.:			US 2006-560731	20061116

OTHER SOURCE(S): MARPAT 148:585915
GI



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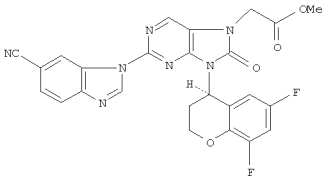
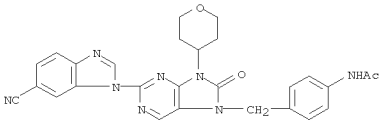


II

AB The present invention provides novel purinone and related derivs. [I; Q1, Q2 = independently CX1, CX2, or N wherein Q1 and Q2 are not both N; Q3 = N

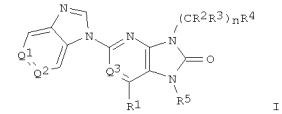
L3 ANSWER 31 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
or CH; X1, X2 = independently H, C1-6 alkyl, cyano, halo, halo-C1-6 alkyl, HO, C1-6 alkoxy, halo-C1-6 alkoxy, or NO2; R1 = H, C1-6 alkyl; y = 0 or an integer of 1-3 ; R2 and R3 are selected independently for each occurrence of (CR2R3) from H and C1-6 alkyl; R4 = each (un)substituted alkyl, heterocyclyl, aryl, or heteroaryl; R5 = alkyl, (un)substituted heterocyclyl, or C1-C6 alkyl wherein (a) one or two CH2 is replaced by a group chosen from NH and N(alkyl); (b) one or two CH2 is replaced by O; (c) one or two CH2 is replaced by (C:O); (d) two CH2 are replaced by CH:CH or C.tplbond.C; or (e) any chem. stable combination of (a), (b) (c) and (d); and wherein from zero to three hydrogens is replaced by a substituent chosen from: (a) halogen, hydroxy, cyano, lower alkylsulfonyl, lower alkylsulfonyloxy, amino, lower alkylamino, di(lower alkyl)amino, alkoxyamino, sulfonylamino, acylamino, arylamino, lower alkoxy; (b) (un)substituted heterocyclyl; (c) (un)substituted Ph; and (d) (un)substituted heteroaryl]. These compds. are inhibitors of Jak3 kinase and useful for the prevention and treatment of autoimmune diseases, inflammatory disease, mast cell mediated disease, hematol. malignancy, and transplant rejection. Thus, a soln. of 20 mg 3-[9-((R)-6,8-difluorochroman-4-yl)-8-oxo-8,9-dihydro-7H-purin-2-yl]-3H-benzo[d]imidazole-5-carbonitrile in 2 mL MeCN was treated with 90 mg Me bromoacetate and 100 mg 2-tert-butylimino-2-diethylamino-1,3-dimethylperhydro-1,3,2-diazaphosphorine on polystyrene (2.2 mmol base/g) and the mixt. was stirred at room temp. 48 h, and then filtered to give, after concn. of the filtrate in vacuo, Me 2-[2-(6-cyano-1H-benzo[d]imidazol-1-yl)-9-((R)-6,8-difluorochroman-4-yl)-8-oxo-8,9-dihydropurin-7-yl]acetate (II). The compds. I including II showed IC50 of 101 nM-1 μM against tyrosine kinase Jak3.
IT 1026790-26-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 7-substituted purine derivs. as inhibitors of tyrosine kinase Jak3 for immunosuppression)
RN 1026790-26-0 CAPLUS
CN Acetamide, N-[4-[[2-(6-cyano-1H-benzimidazol-1-yl)-8,9-dihydro-8-oxo-9-(tetrahydro-2H-pyran-4-yl)-7H-purin-7-yl]methyl]phenyl]- (CA INDEX NAME)



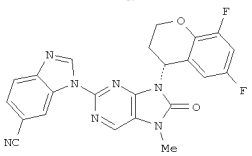
L3 ANSWER 32 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:619405 CAPLUS
DOCUMENT NUMBER: 148:561934
TITLE: Preparation of 7-substituted purine derivatives as immunosuppressants
INVENTOR(S): Ohlmeyer, Michael; Bohnstedt, Adolph; Kingsbury, Celia; Ho, Koc-Kan; Quintero, Jorge
PATENT ASSIGNEE(S): Pharmacopeia , Inc., USA
SOURCE: PCT Int. Appl., 185pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008060301	A1	20080522	WO 2006-US61004	20061116
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			WO 2006-US61004	20061116

OTHER SOURCE(S): MARPAT 148:561934
GI

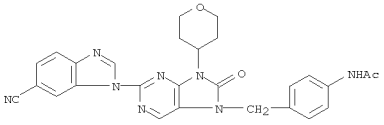


I



II

L3 ANSWER 32 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
AB Purinone derivs. of formula I [Q1, Q2 = (substituted) CH, N; Q3 = CH, N; R1-R3 = H, alkyl; R4 = alkyl, heterocyclyl, aryl, heteroaryl, etc.; R5 = alkyl, heterocyclyl, etc.; n = 0-3] are prepared for the prevention and treatment of autoimmune diseases, inflammatory disease, mast cell mediated disease and transplant rejection. Thus, II was prepared, and inhibited IL-2 induced IFN-γ production by >40% at 30 mg/kg in mice.
IT 1026790-26-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 7-substituted purinone derivs. as immunosuppressants)
RN 1026790-26-0 CAPLUS
CN Acetamide, N-[4-[[2-(6-cyano-1H-benzimidazol-1-yl)-8,9-dihydro-8-oxo-9-(tetrahydro-2H-pyran-4-yl)-7H-purin-7-yl]methyl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

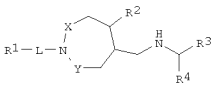
L3 ANSWER 33 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:607890 CAPLUS
DOCUMENT NUMBER: 148:585730
TITLE: Preparation of piperidine derivatives or salts thereof
as agonists of calcium sensing receptor (CaSR)
INVENTOR(S): Hachiya, Shunichiro; Ikegai, Kazuhiro; Ibuka, Ryotaro;
Takahashi, Taisuke; Oku, Makoto; Seo, Ryushi; Terada, Yoh; Sanagi, Masanao
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: PCT Int. Appl., 190pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008059854	A1	20080522	WO 2007-JP72063	20071114

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2006-310026 A 20061116

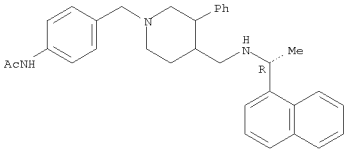
OTHER SOURCE(S): MARPAT 148:585730
GI



I

AB The title compds. [I; one of X and Y is CH2 and the other is a single bond; L = a single bond, *-C(O), *-OC(O), or *-N(R0)C(O)- wherein * denotes the bonding to R1; R0 = H, lower alkyl; R1 = H, each (un)substituted C1-12 alkyl, lower alkenyl, cycloalkyl, cycloalkenyl, aryl, or heterocyclyl; R2 = C1-12 alkyl, lower alkenyl, cycloalkyl, cycloalkenyl, each (un)substituted aryl or heteroaryl; R1 = each (un)substituted aryl or heteroaryl; R4 = lower alkyl] or pharmacol. acceptable salts thereof were prepared These compds. have an excellent

L3 ANSWER 33 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



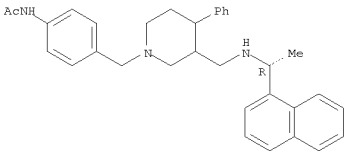
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 33 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
calcium sensing receptor (CaSR)-agonistic regulatory effect and a high selectivity from CYP2D6 that has a risk of drug interaction and are useful
as remedies for diseases in which a CaSR participates, e.g. hyperparathyroidism, renal osteodystrophy, and hypercalcemia. Thus, 300 mg tert-Bu ([4-(3-fluorophenyl)piperidin-3-yl]methyl)[(1R)-1-(1-naphthyl)ethyl]carbamate was stirred with 149 mg 4-isocyanatobenzoic acid Et ester and 0.136 mL Et3N at 100 for 2 days to give 356 mg

4-[[[3-[[(tert-butoxycarbonyl)[(1R)-1-(1-naphthyl)ethyl]amino]methyl]-4-(3-fluorophenyl)piperidin-1-yl]carbonyl]amino]benzoic acid which was stirred with 4 M HCl/1,4-dioxane soln. at room temp. for 2 h to give 180 mg 4-[[[4-(3-fluorophenyl)-3-[[[(1R)-1-(1-naphthyl)ethyl]amino]methyl]piperidin-1-yl]carbonyl]amino]benzoic acid hydrochloride (II). II and 3-methoxy-4-[[[3-[[[(1R)-1-(1-

naphthyl)ethyl]amino]methyl]-4-phenylpiperidin-1-yl]carbonyl]amino]benzoic acid showed potent agonistic activity on HEK293 cells stably expressing human CaSR with EC50 of 2.9 and 1.8 nM, resp.
IT 1027698-11-8P 1027777-30-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine derivs. or salts thereof as agonists of calcium
sensing receptor (CaSR))
RN 1027698-11-8 CAPLUS
CN Acetamide,
N-[4-[[3-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]methyl]-4-phenyl-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 1027777-30-5 CAPLUS
CN Acetamide,
N-[4-[[3-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]methyl]-3-phenyl-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 34 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:552016 CAPLUS
DOCUMENT NUMBER: 148:496347
TITLE: Preparation of N-(heterocyclylsulfonyl)amino acid derivatives capable of selectively inhibiting matrix metalloprotease 13 (MMP-13)
INVENTOR(S): Endoh, Takeshi; Fujii, Yasuhiko; Kojima, Eiichi; Tadano, Genta; Yamaguchi, Naoko; Adachi, Yo; Tagashira, Sachie; Tachibana, Yuki; Onodera, Naohiro
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 257pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008053913	A1	20080508	WO 2007-JP71192	20071031

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2006-298795 A 20061102
JP 2007-72150 A 20070320
JP 2007-225075 A 20070831

OTHER SOURCE(S): MARPAT 148:496347
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Sulfamide compds. represented by the general formula (I), optically active
forms thereof, pharmaceutically acceptable salts of the compound or the optically active forms, or solvates of the compds., the optically active forms, or the salts. [R1 = Q, Q1, Q2, Q3; R26, R28 = halo, each (un)substituted lower alkyl, lower alkenyl, lower alkoxy, lower alkylthio,
NH2, CONH2, aminooxalyl, or SO2NH2, CO2H, HO, cyano, etc.; Z = O, S, SO, SO2, each (un)substituted NH, NHCO, CONH, NHSO2, SO2NH, NHCONH, NHC(:S)CO, CO, O-CO, O-CO, CO-CO, etc.; n1 = 0-3; A = Q4, Q5; R6, R7 = halo, lower alkyl, cycloalkyl, lower alkenyl, lower alkynyl, lower alkoxy,
lower alkenyloxy, lower alkylthio, halo-lower alkyl, halo-lower alkoxy, etc.; m, n = 0-3; R3 = H, each (un)substituted lower alkyl, aralkyl,

L3 ANSWER 34 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

heteroarylalkyl, aryl, or heteroaryl; R4 = H or R3 and R4 together with the adjacent carbon atoms form 5- or 6-membered nonarom. carbocyclic or nonarom. heterocyclic ring; R5 = HO, lower alkoxy, NHOH] were prepd. These compds. selectively inhibit MMP-13 over MMP-2 and MMP-9 and are useful for treating diseases caused by MMP-13 in mammals. Thus, N-benzyl-3-iodobenzamide was coupled with (R)-2-[[[4-(4-ethynylphenyl)piperazin-1-yl]sulfonyl]amino]propanoic acid Me ester in the presence of bis(triphenylphosphine)palladium(II) chloride, CuI, and Et3N in DMF under N atm. at room temp. for 1 h to give 76.7% (R)-2-[[[4-[4-[[3-(benzylcarbamoyl)phenyl]ethynyl]phenyl]piperazin-1-yl]sulfonyl]amino]propanoic acid Me ester which was stirred with NaOH in aq. DMSO at room temp. for 16 h and poured into an ice water contg. 5% citric acid to give 85.0% (R)-2-[[[4-[4-[[3-(benzylcarbamoyl)phenyl]ethynyl]phenyl]piperazin-1-yl]sulfonyl]amino]propanoic acid (II). II and (R)-3-methyl-2-[[[4-[4-[[2-[4-(2-oxopyrrolidin-1-yl)benzylcarbamoyl]pyridin-4-yl]ethynyl]phenyl]piperazin-1-yl]sulfonyl]amino]butanoic acid showed IC50 of 0.0021 and 0.001 μM against MMP-13, resp., whereas they showed IC50 of 0.1-1 and >10 μM against MMP-2 and MMP-9, resp.

IT 1021447-14-2P 1021448-40-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

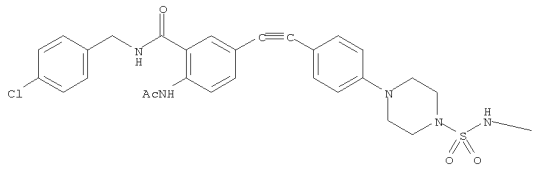
(preparation of N-(heterocyclylsulfonyl)amino acid derivs. as selective inhibitors of matrix metalloprotease 13 (MMP-13))

RN 1021447-14-2 CAPLUS

CN D-Valine, N-[[4-[4-[2-[4-(acetylamino)-3-[[[(4-chlorophenyl)methyl]amino]carbonyl]phenyl]ethynyl]phenyl]-1-piperazinyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



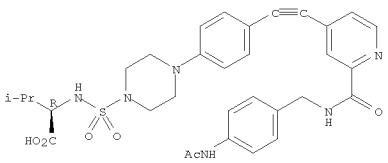
L3 ANSWER 34 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-B

RN 1021448-40-7 CAPLUS

CN D-Valine, N-[[4-[4-[2-[2-[[[[4-(acetylamino)phenyl]methyl]amino]carbonyl]-4-pyridinyl]ethynyl]phenyl]-1-piperazinyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:550983 CAPLUS

DOCUMENT NUMBER: 148:517709

TITLE: Preparation of substituted pyrazolecarboxanilide derivatives or salts thereof as agricultural or horticultural chemicals

INVENTOR(S): Machiya, Kozo; Matsuzaki, Yoshihiro; Furuya, Takashi; Suwa, Akiyuki; Yasokawa, Noriaki; Fujioka, Shinsuke

PATENT ASSIGNEE(S): Nihon Nohyaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 86pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008053991	A1	20080508	WO 2007-JP71403	20071102

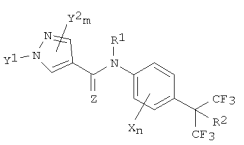
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2006-299561 A 20061102

OTHER SOURCE(S): MARPAT 148:517709

GI



I

AB The title compds. [I; R1 = H, alkyl, alkylcarbonyl, alkenylcarbonyl, cycloalkyl, (substituted) phenylalkyl, (substituted) phenylcarbonyl, etc.; R2 = H, halogeno, alkyl, cyano, OH, alkoxy, (substituted) phenoxy, (substituted) phenylthio, (substituted) phenylsulfonyl, etc.; Z = O or S; X = H, halogeno, CN, alkyl, etc.; Y1 = alkylcarbonyl, cycloalkylcarbonyl, alkoxyalkyl, alkoxycarbonyl, (substituted)

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

phenoxycarbonyl, alkoxyalkylcarbonyl, alkylcarbonyloxyalkyl, alkylsulfonyl, (substituted) phenylsulfonyl, mono- or dialkylaminocarbonyl, mono- or dialkylaminothiocarbonyl, (substituted) phenylcarbonyl, (substituted) phenylalkyl, dialkoxy(thio)phosphoryl, etc.; Y2 = halogeno, cyano, NO2, alkyl, (substituted) Ph, (substituted) phenoxy, etc.; m = 1 or 2; n = 1-4] or salts thereof are prepd. These compds. are useful as agricultural or horticultural chems., in particular insecticides or acaricides. Thus, 0.06 g acetyl chloride was added to a suspension of 0.30 g N-isobutyryl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1H-3,5-dimethylpyrazole-4-carboxamide and 0.12 g K2CO3 in 10 mL MeCN and the resulting mixt. was stirred at room temp. for 3 h to give 76.2% N-isobutyryl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-acetyl-3,5-dimethylpyrazole-4-carboxamide (II). II at 500 ppm controlled 90-99% adult Tetranychus urticae.

IT 1022986-42-0P, N-Acetyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(methoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022986-43-1P, N-Propanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(methoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022986-53-3P, N-Acetyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(ethoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022986-54-4P, N-Propanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(ethoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022986-63-5P, N-Acetyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(propoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022986-64-6P, N-Propanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(propoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022986-74-8P, N-Acetyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(isopropoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022986-75-9P, N-Propanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(isopropoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022986-84-0P, N-Acetyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(butoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022986-85-1P, N-Propanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(butoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022986-94-2P, N-Acetyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(isobutoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022986-95-3P, N-Propanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(isobutoxymethyl)-3,5-dimethylpyrazole-4-

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
carboxamide 1022987-71-8P,
N-Acetyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(methoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022987-72-9P,
N-Propanoyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(methoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022987-81-0P,
N-Acetyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(ethoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022987-82-1P,
N-Propanoyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(ethoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022987-92-3P,
N-Acetyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(propoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022987-94-5P,
N-Propanoyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(propoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022988-07-3P,
N-Acetyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-

(trifluoromethyl)ethyl]phenyl]-1-(isopropoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022988-08-4P,
N-Propanoyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-

(trifluoromethyl)ethyl]phenyl]-1-(isopropoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022988-21-1P,
N-Acetyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(butoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022988-22-2P,
N-Propanoyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(butoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022988-31-3P,
N-Acetyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-

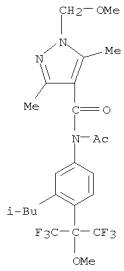
(trifluoromethyl)ethyl]phenyl]-1-(isobutoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022988-32-4P,
N-Propanoyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-

(trifluoromethyl)ethyl]phenyl]-1-(isobutoxymethyl)-3,5-dimethylpyrazole-4-carboxamide 1022988-43-7P,
N-Acetyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-

(trifluoromethyl)ethyl]phenyl]-1-acetyl-3,5-dimethylpyrazole-4-carboxamide 1022988-44-8P, N-Propanoyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-acetyl-3,5-dimethylpyrazole-4-carboxamide 1022988-53-9P,
N-Acetyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-propanoyl-3,5-dimethylpyrazole-4-carboxamide 1022988-54-0P,
N-Propanoyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-propanoyl-3,5-dimethylpyrazole-4-carboxamide 1022988-66-4P,
N-Acetyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-butanoyl-3,5-dimethylpyrazole-4-

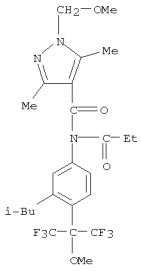
L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
carboxamide 1022988-67-5P,
N-Propanoyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-butanoyl-3,5-dimethylpyrazole-4-carboxamide 1022988-77-7P,
N-Acetyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(cyclopropylcarbonyl)-3,5-dimethylpyrazole-4-carboxamide 1022988-78-8P,
N-Propanoyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(cyclopropylcarbonyl)-3,5-dimethylpyrazole-4-carboxamide 1022988-87-9P,
N-Acetyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(2,2-dimethylpropanoyl)-3,5-dimethylpyrazole-4-carboxamide 1022988-88-0P,
N-Propanoyl-N-[2-(1,3-dimethylbutyl)-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(2,2-dimethylpropanoyl)-3,5-dimethylpyrazole-4-carboxamide
RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted pyrazolecarboxanilide derivs. or salts thereof as agricultural or horticultural chems. such as insecticides and acaricides)

RN 1022986-42-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

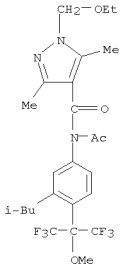


RN 1022986-43-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

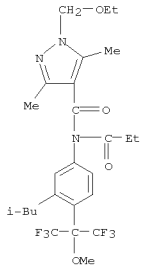


RN 1022986-53-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

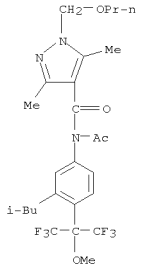


RN 1022986-54-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

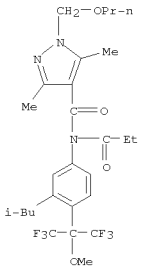


RN 1022986-63-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

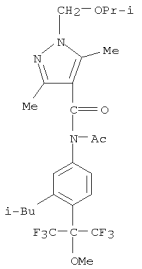


RN 1022986-64-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

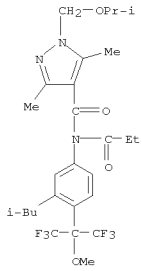


RN 1022986-74-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

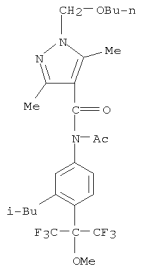


RN 1022986-75-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

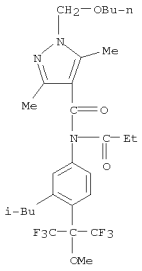


RN 1022986-84-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

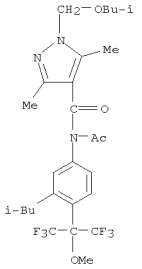


RN 1022986-85-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

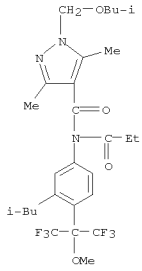


RN 1022986-94-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

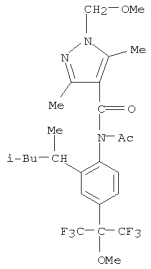


RN 1022986-95-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

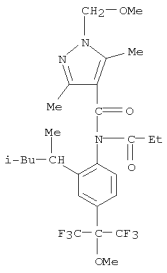


RN 1022987-71-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

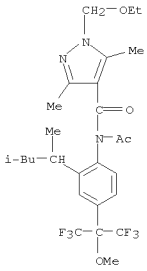


RN 1022987-72-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

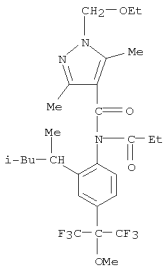


RN 1022987-81-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

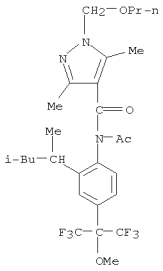


RN 1022987-82-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

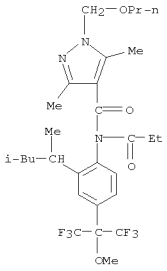


RN 1022987-92-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

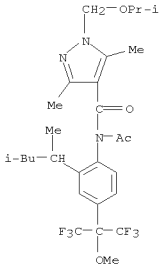


RN 1022987-94-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

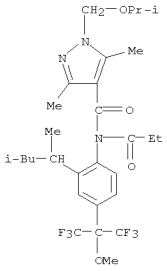


RN 1022988-07-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

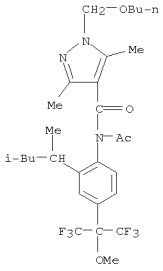


RN 1022988-08-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

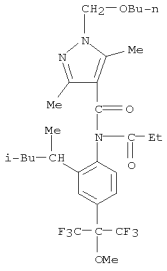


RN 1022988-21-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

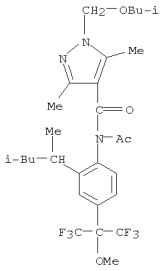


RN 1022988-22-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

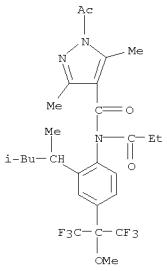


RN 1022988-31-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

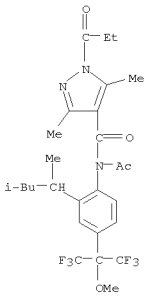


RN 1022988-32-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

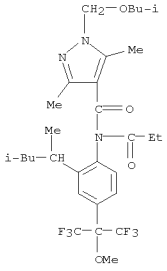


RN 1022988-53-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

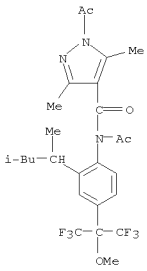


RN 1022988-54-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

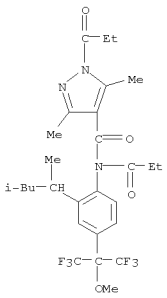


RN 1022988-43-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

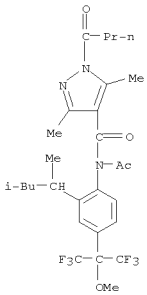


RN 1022988-44-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

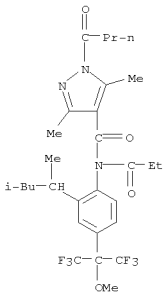


RN 1022988-66-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

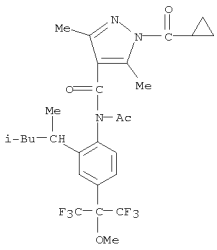


RN 1022988-67-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

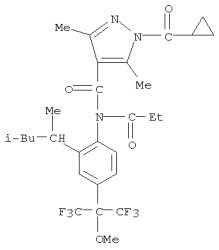


RN 1022988-77-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

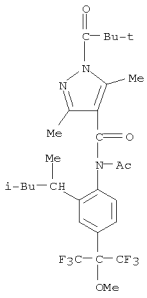


RN 1022988-78-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

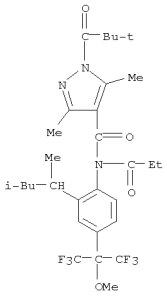


RN 1022988-87-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 1022988-88-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

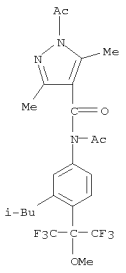


IT 1022987-06-9P, N-Acetyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-acetyl-3,5-dimethylpyrazole-4-carboxamide 1022987-07-0P, N-Propanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-acetyl-3,5-dimethylpyrazole-4-carboxamide 1022987-16-1P, N-Acetyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-propanoyl-3,5-dimethylpyrazole-4-carboxamide 1022987-17-2P, N-Propanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-propanoyl-3,5-dimethylpyrazole-4-carboxamide 1022987-26-3P, N-Acetyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-butanoyl-3,5-dimethylpyrazole-4-carboxamide 1022987-27-4P, N-Propanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-butanoyl-3,5-dimethylpyrazole-4-carboxamide 1022987-36-5P, N-Acetyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(cyclopropylcarbonyl)-3,5-dimethylpyrazole-4-carboxamide 1022987-37-6P, N-Propanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(cyclopropylcarbonyl)-3,5-dimethylpyrazole-4-carboxamide 1022987-46-7P, N-Acetyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(2,2-dimethylpropanoyl)-3,5-dimethylpyrazole-4-carboxamide 1022987-47-8P, N-Propanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(2,2-dimethylpropanoyl)-3,5-dimethylpyrazole-4-carboxamide 1022989-19-0P, N-Butanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-butanoyl-3,5-dimethylpyrazole-4-carboxamide 1022989-27-0P, N-Acetyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-pentanoyl-3,5-dimethylpyrazole-4-

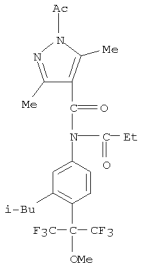
L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

carboxamide 1022989-28-1P, N-Acetyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-isobutyryl-3,5-dimethylpyrazole-4-carboxamide 1022989-29-2P, N-Acetyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(cyclobutylcarbonyl)-3,5-dimethylpyrazole-4-carboxamide 1022989-30-5P, N-Propanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-pentanoyl-3,5-dimethylpyrazole-4-carboxamide 1022989-31-6P, N-Propanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-isobutyryl-3,5-dimethylpyrazole-4-carboxamide 1022989-32-7P, N-Propanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(cyclobutylcarbonyl)-3,5-dimethylpyrazole-4-carboxamide 1022989-33-8P, N-Butanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-acetyl-3,5-dimethylpyrazole-4-carboxamide 1022989-34-9P, N-Butanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-propanoyl-3,5-dimethylpyrazole-4-carboxamide 1022989-35-0P, N-Butanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-pentanoyl-3,5-dimethylpyrazole-4-carboxamide 1022989-36-1P, N-Butanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-isobutyryl-3,5-dimethylpyrazole-4-carboxamide 1022989-37-2P, N-Butanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(2,2-dimethylpropanoyl)-3,5-dimethylpyrazole-4-carboxamide 1022989-38-3P, N-Butanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(cyclopropylcarbonyl)-3,5-dimethylpyrazole-4-carboxamide 1022989-39-4P, N-Butanoyl-N-[3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1-(cyclobutylcarbonyl)-3,5-dimethylpyrazole-4-carboxamide
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(prepn. of substituted pyrazolecarboxanilide derivs. or salts thereof as agricultural or horticultural chems. such as insecticides and acaricides)
RN 1022987-06-9 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N,1-diacetyl-3,5-dimethyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]- (CA INDEX NAME)

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



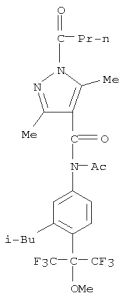
RN 1022987-07-0 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1-acetyl-3,5-dimethyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-N-(1-oxopropyl)- (CA INDEX NAME)



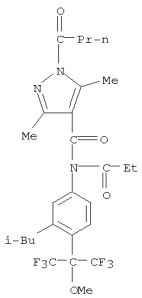
RN 1022987-16-1 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-acetyl-3,5-dimethyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-1-(1-oxopropyl)- (CA INDEX NAME)

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-1-(1-oxobutyl)- (CA INDEX NAME)

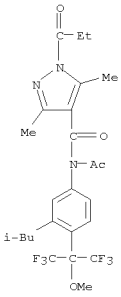


RN 1022987-27-4 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-1-(1-oxobutyl)-N-(1-oxopropyl)- (CA INDEX NAME)

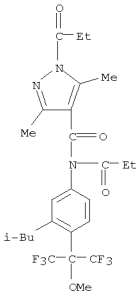


RN 1022987-36-5 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-acetyl-1-(cyclopropylcarbonyl)-3,5-dimethyl-N-

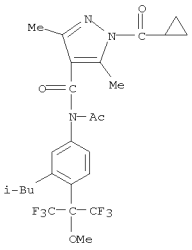
L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



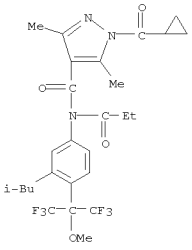
RN 1022987-17-2 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-N,1-bis(1-oxopropyl)- (CA INDEX NAME)



RN 1022987-26-3 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-acetyl-3,5-dimethyl-N-[3-(2-methylpropyl)-4-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]- (CA INDEX NAME)

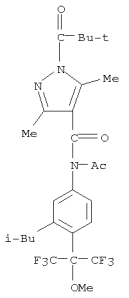


RN 1022987-37-6 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1-(cyclopropylcarbonyl)-3,5-dimethyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-N-(1-oxopropyl)- (CA INDEX NAME)

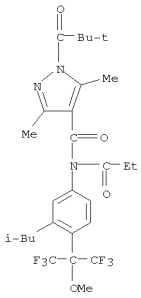


RN 1022987-46-7 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-acetyl-1-(2,2-dimethyl-1-oxopropyl)-3,5-dimethyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]- (CA INDEX NAME)

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

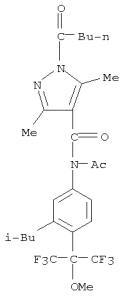


RN 1022987-47-8 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1-(2,2-dimethyl-1-oxopropyl)-3,5-dimethyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-N-(1-oxopropyl)- (CA INDEX NAME)

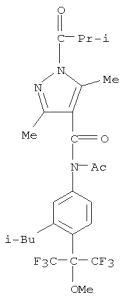


RN 1022989-19-0 CAPLUS

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

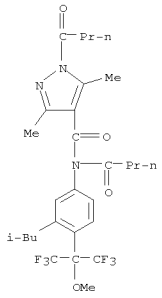


RN 1022989-28-1 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-acetyl-3,5-dimethyl-1-(2-methyl-1-oxopropyl)-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]- (CA INDEX NAME)



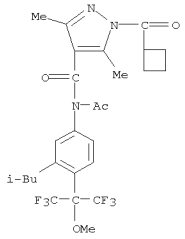
RN 1022989-29-2 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-acetyl-1-(cyclobutylcarbonyl)-3,5-dimethyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]- (CA INDEX NAME)

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-N,1-bis(1-oxobutyl)- (CA INDEX NAME)

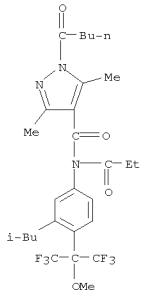


RN 1022989-27-0 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-acetyl-3,5-dimethyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-1-(1-oxopentyl)- (CA INDEX NAME)

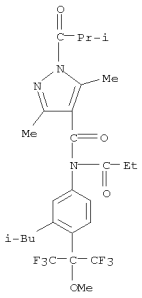
L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



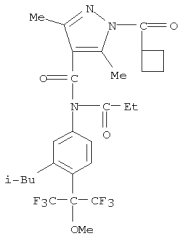
RN 1022989-30-5 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-1-(1-oxopentyl)-N-(1-oxopropyl)- (CA INDEX NAME)



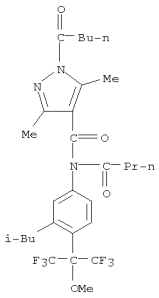
RN 1022989-31-6 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-1-(2-methyl-1-oxopropyl)-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-N-(1-oxopropyl)- (CA INDEX NAME)



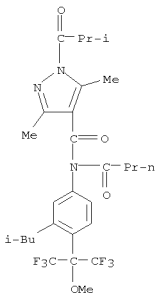
RN 1022989-32-7 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1-(cyclobutylcarbonyl)-3,5-dimethyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-N-(1-oxopropyl)- (CA INDEX NAME)



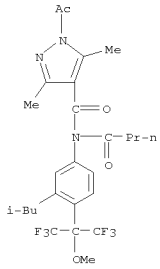
RN 1022989-33-8 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1-acetyl-3,5-dimethyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-N-(1-oxobutyl)- (CA INDEX NAME)



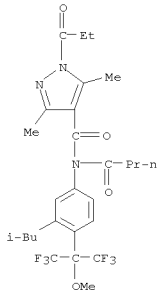
RN 1022989-36-1 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-1-(2-methyl-1-oxopropyl)-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-N-(1-oxobutyl)- (CA INDEX NAME)



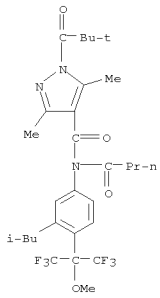
RN 1022989-37-2 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1-(2,2-dimethyl-1-oxopropyl)-3,5-dimethyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-N-(1-oxobutyl)- (CA INDEX NAME)



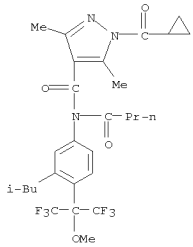
RN 1022989-34-9 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-N-(1-oxobutyl)-1-(1-oxopropyl)- (CA INDEX NAME)



RN 1022989-35-0 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-N-(1-oxobutyl)-1-(1-oxopentyl)- (CA INDEX NAME)

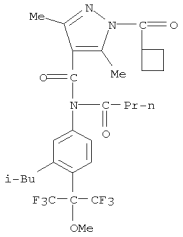


RN 1022989-38-3 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1-(cyclopropylcarbonyl)-3,5-dimethyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-N-(1-oxobutyl)- (CA INDEX NAME)



RN 1022989-39-4 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1-(cyclobutylcarbonyl)-3,5-dimethyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-N-(1-oxobutyl)- (CA INDEX NAME)

L3 ANSWER 35 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L3 ANSWER 36 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:529900 CAPLUS
DOCUMENT NUMBER: 148:538288
TITLE: Preparation of fused bicyclic derivatives of 2,4-diaminopyrimidine as ALK and c-Met kinase inhibitors
INVENTOR(S): Ahmed, Gulzar; Bohnstedt, Adolph; Breslin, Henry Joseph; Burke, Jason; Curry, Matthew A.; Diebold, James L.; Dorsey, Bruce; Dugan, Benjamin J.; Feng, Daming; Gingrich, Diane E.; Guo, Tao; Ho, Koc-Kan; Learn, Keith S.; Lisko, Joseph G.; Liu, Rong-Qiang; Mesaros, Eugen F.; Milkiewicz, Karen; Ott, Gregory R.; Parrish, Jonathan; Theroff, Jay P.; Thieu, Tho V.; Tripathy, Rabindranath; Underiner, Theodore L.; Wagner, Jason C.; Weinberg, Linda; Wells, Gregory J.; You, Ming; Zificsak, Craig A.
PATENT ASSIGNEE(S): Cephalon, Inc., USA; Pharmacopeia Drug Discovery, Inc.
SOURCE: PCT Int. Appl., 1297pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008051547	A1	20080502	WO 2007-US22496	20071023

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

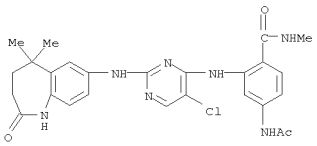
PRIORITY APPLN. INFO.: US 2006-853562P P 20061023

OTHER SOURCE(S): MARPAT 148:538288
GI

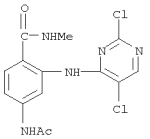
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I and II [R1 = H, halo, NO2, OH and derivs., aryl, alkyl, etc.; R2 = (un)substituted alk(en/yn)yl, (hetero)aryl, R3-R5 = independently H, CO2H and derivs., NH2 and derivs., OCHF2, etc.; A1-A5 = independently (CH2)1-2 and derivs., CO, NH and derivs., S, SO, SO2, O, with provisos; with the exception of specified compds.; and their

L3 ANSWER 36 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
pharmaceutically acceptable salts] were prepd. as ALK and c-Met kinase inhibitors for treating proliferative disorders. Thus, nitration of 1,3,4,5-tetrahydrobenzo[b]azepin-2-one with HNO3/H2SO4, alkylation with Me iodide, redn. of the nitro intermediate and amination of 2-[(2,5-dichloropyrimidin-4-yl)amino]-N-methylbenzamide gave benzazepinylaminopyrimidine III. III inhibited ALK and C-Met kinases with IC50 < 0.1 μM.
IT 1022971-49-8P, 4-Acetylamino-2-[[5-chloro-2-[(5,5-dimethyl-2-oxo-2,3,4,5-tetrahydro-1H-1-benzazepin-7-yl)amino]pyrimidin-4-yl]amino]-N-methylbenzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of fused bicyclic derivs. of 2,4-diaminopyrimidine as ALK and c-Met kinase inhibitors)
RN 1022971-49-8 CAPLUS
CN Benzamide, 4-(acetylamino)-2-[[5-chloro-2-[(2,3,4,5-tetrahydro-5,5-dimethyl-2-oxo-1H-1-benzazepin-7-yl)amino]-4-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)



IT 1022971-53-4P, 4-Acetylamino-2-[(2,5-dichloropyrimidin-4-yl)amino]-N-methylbenzamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of fused bicyclic derivs. of 2,4-diaminopyrimidine as ALK and c-Met kinase inhibitors)
RN 1022971-53-4 CAPLUS
CN Benzamide, 4-(acetylamino)-2-[(2,5-dichloro-4-pyrimidinyl)amino]-N-methyl- (CA INDEX NAME)



L3 ANSWER 36 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

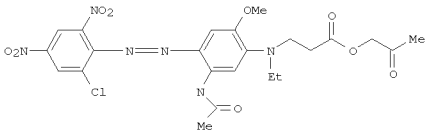
L3 ANSWER 37 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:523925 CAPLUS
DOCUMENT NUMBER: 148:497700
TITLE: Disperse azo dyes for printing on and dyeing hydrophobic substrates
INVENTOR(S): Jordan, Hartwig; Neubauer, Stefan
PATENT ASSIGNEE(S): Dystar Textilfarben GmbH & Co. Deutschland KG, Germany
SOURCE: Ger. Offen., 21pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102006050642	A1	20080430	DE 2006-102006050642	20061027
WO 2008049758	A2	20080502	WO 2007-EP61002	20071016

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: DE 2006-102006050642A 20061027

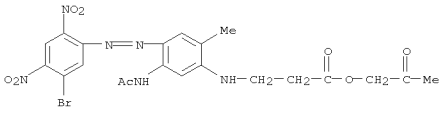
OTHER SOURCE(S): MARPAT 148:497700
GI



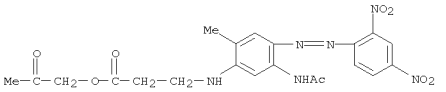
I

AB 2-Oxoalkyl esters, especially 2-oxopropyl esters of derivs. phenylazo acids such as I are used for dyeing hydrophobic substrates and for jet printing inks for textile printing. A typical ink composition containing 3.5% I, 2.5% a

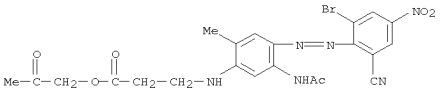
L3 ANSWER 37 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
dispersing agent (Disperbyk 190), 30% 1,5-pentanediol, 5% diethylene glycol monomethyl ether, 0.01% a biocide and 58.99% water can be used for jet-printing on a pre-treated polyester substrate followed by fixing during 7 min at 175°.
IT 1021394-54-6P 1021394-55-7P 1021394-56-8P
RL: IMF (Industrial manufacture); PRP (Properties); PREP (Preparation) (disperse azo dyes for printing on and dyeing hydrophobic substrates)
RN 1021394-54-6 CAPLUS
CN β-Alanine, N-[5-(acetylamino)-4-[2-(5-bromo-2,4-dinitrophenyl)diazenyl]-2-methylphenyl]-, 2-oxopropyl ester (CA INDEX NAME)



RN 1021394-55-7 CAPLUS
CN β-Alanine, N-[5-(acetylamino)-4-[2-(2,4-dinitrophenyl)diazenyl]-2-methylphenyl]-, 2-oxopropyl ester (CA INDEX NAME)



RN 1021394-56-8 CAPLUS
CN β-Alanine, N-[5-(acetylamino)-4-[2-(2-bromo-6-cyano-4-nitrophenyl)diazenyl]-2-methylphenyl]-, 2-oxopropyl ester (CA INDEX NAME)



L3 ANSWER 38 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:505394 CAPLUS
DOCUMENT NUMBER: 148:495951
TITLE: Arylimidazole and related compounds as DGAT1 inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Sung, Moo Je; Coppola, Gary Mark; Yoon, Taeyoung; Gilmore, Thomas A.
PATENT ASSIGNEE(S): Novartis A.-G., Switz.
SOURCE: PCT Int. Appl., 269pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

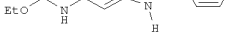
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008048991	A2	20080424	WO 2007-US81607	20071017
WO 2008048991	A3	20080710		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-829980P P 20061018
US 2007-952341P P 20070727

OTHER SOURCE(S): MARPAT 148:495951
GI

I

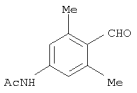


II

AB The invention provides compds. of the following structure of formula I that are useful for treating or preventing conditions or disorders associated with DGAT1 activity in animals, particularly humans. Compds. of formula I

wherein A is (un)substituted alkyl, (un)substituted alkoxy, (un)substituted cycloalkyl, (un)substituted aryl, and (un)substituted

L3 ANSWER 38 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
heterocyclyl; L1 is substituted amine, thiocarbamoyl, amide, amidine, sulfonamide, carbamate, and urea; B is (un)substituted divalent heteroaryl;C is (un)substituted phenyl; D is H, halo, OH, CN, alkanoylamino, carboxy, carbamoyl, etc.; and their pharmaceutically acceptable salts, and prodrugs thereof, are claimed. Example compd. II was prepd. by acylation of 4-nitrobenzene-1,3-diamine with Et chloroformate; the resulting (3-amino-4-nitrophenyl)carbamic acid Et ester underwent hydrogenation to give (3,4-diaminophenyl)carbamic acid Et ester dihydrochloride, which underwent cyclization with 2-chlorobenzaldehyde to give compd. II. All the invention compds. were evaluated for their DGAT1 inhibitory activity (some data given).
IT 1021165-59-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of arylimidazole and related compds. as DGAT1 inhibitors useful in the treatment of diseases)
RN 1021165-59-2 CAPLUS
CN Acetamide, N-(4-formyl-3,5-dimethylphenyl)- (CA INDEX NAME)



L3 ANSWER 39 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:475809 CAPLUS
DOCUMENT NUMBER: 148:449470
TITLE: Preparation of piperidinyl and pyrrolidinyl carboxamide compounds as chemokine receptor antagonists for treating diseases associated with monocyte, leukocyte, and lymphocyte accumulation
INVENTOR(S): Lin, Jian; Chen, Dongli; Koerner, Steffi; Melendez, Rosa E.; Mohanty, Pradyumna; Ben-Zeev, Efrat; Fichman, Merav; Marantz, Yael; Becker, Oren; Mccauley, Dilara; Orbach, Pini; Saha, Ashis K.; Shacham, Sharon; Xie, Michael
PATENT ASSIGNEE(S): Epix Delaware, Inc., USA
SOURCE: PCT Int. Appl., 134pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

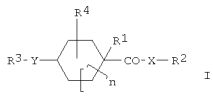
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008045564	A2	20080417	WO 2007-US21917	20071012
WO 2008045564	A3	20080529		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-851338P P 20061012

OTHER SOURCE(S): MARPAT 148:449470
GI

L3 ANSWER 39 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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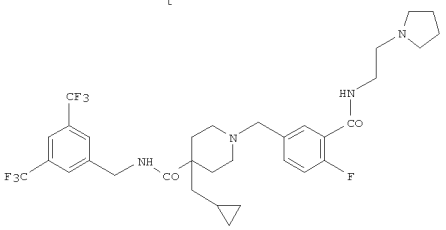


II

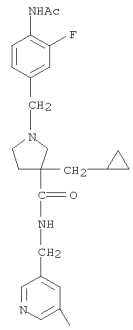
AB Chemokine receptor antagonists, in particular, compds. of Formula I (wherein R1 is H, alkyl, alkoxyalkyl, etc.; X is a direct bond, NH, NHCO, etc.; R2 is cycloalkyl, aryl, etc.; R3 is H, alkyl, aryl, etc.; R4 is H, C1-8 alkyl, alkenyl, etc.; Y is a direct bond, CO, SO2, etc.; n is 0-2) that act as antagonists of the chemokine CCR2 receptor, including pharmaceutical compns. and uses thereof to treat or prevent diseases associated with monocyte accumulation, lymphocyte accumulation or leukocyte accumulation are described herein. Methods for synthesizing I are exemplified. For example, II, prepared by coupling the corresponding 2-fluorobenzoic acid intermediate with 2-(pyrrolidin-1-yl)ethanamine, had an IC50 >1000 nM in a calcium flux assay to measure antagonism of CCR2 function.

IT 1018992-25-0P, 1-(4-Acetamido-3-fluorobenzyl)-3-(cyclopropylmethyl)-N-[[5-(trifluoromethyl)pyridin-3-yl]methyl]pyrrolidine-3-carboxamide 1018992-33-0P, 1-(4-Acetamido-3-fluorobenzyl)-N-[3,5-bis(trifluoromethyl)benzyl]-3-(cyclopropylmethyl)pyrrolidine-3-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperidinyl and pyrrolidinyl carboxamide compds. as chemokine receptor antagonists for treating diseases associated with monocyte, leukocyte, and lymphocyte accumulation)

RN 1018992-25-0 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-[[4-(acetylamino)-3-fluorophenyl]methyl]-3-(cyclopropylmethyl)-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]- (CA INDEX NAME)

L3 ANSWER 39 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-A

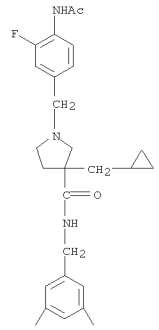


PAGE 2-A

RN 1018992-33-0 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-[[4-(acetylamino)-3-fluorophenyl]methyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cyclopropylmethyl)- (CA INDEX NAME)

L3 ANSWER 39 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

L3 ANSWER 40 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:472036 CAPLUS
DOCUMENT NUMBER: 148:471870
TITLE: Preparation of pyridinecarboxanilide derivatives as agricultural or horticultural agents
INVENTOR(S): Furuya, Takashi; Kanno, Hideo; Suwa, Akiyuki; Yasokawa, Noriaki; Fujioka, Shinsuke
PATENT ASSIGNEE(S): Nihon Nohyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 60pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

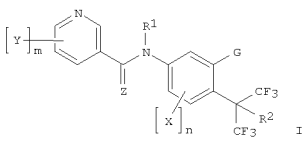
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008044713	A1	20080417	WO 2007-JP69778	20071010

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2006-276895 A 20061010

OTHER SOURCE(S): MARPAT 148:471870
GI

L3 ANSWER 40 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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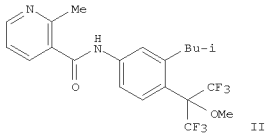


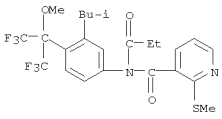
II

AB Title compds. I [R1 = H, alkyl, haloalkyl, etc.; R2 = H, halo, alkyl, etc.; G = alkyl, haloalkyl, alkenyl, etc.; Z = oxygen or sulfur atom; n = 0-3; X = halo, cyano, nitro, etc.; m = 0-4; Y = halo, cyano, nitro, etc.] or salts thereof were prepared For example, 2-chloro-1-methylpyridinium iodide mediated amidation of 2-methyl-3-pyridinecarboxylic acid with 3-isobutyl-4-[1-methoxy-2,2,2-trifluoro-1-(trifluoromethyl)ethyl]aniline afforded compound II. The exemplified compound II controlled Tetranychus urticae by 100% at 50 ppm.

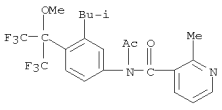
IT 1019199-44-0P 1019199-55-3P 1019199-56-4P 1019199-57-5P 1019199-87-1P 1019199-89-3P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of pyridinecarboxanilide derivs. as agricultural or horticultural agents)

RN 1019199-44-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-2-(methylthio)-N-(1-oxopropyl)- (CA INDEX NAME)

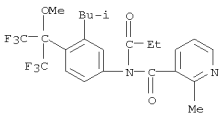
L3 ANSWER 40 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



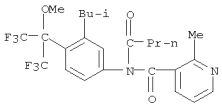
RN 1019199-55-3 CAPLUS
CN 3-Pyridinecarboxamide, N-acetyl-2-methyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]- (CA INDEX NAME)



RN 1019199-56-4 CAPLUS
CN 3-Pyridinecarboxamide, 2-methyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-N-(1-oxopropyl)- (CA INDEX NAME)

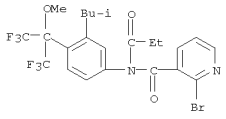


RN 1019199-57-5 CAPLUS
CN 3-Pyridinecarboxamide, 2-methyl-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-N-(1-oxobutyl)- (CA INDEX NAME)

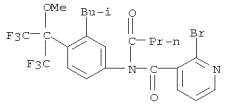


RN 1019199-87-1 CAPLUS
CN 3-Pyridinecarboxamide, 2-bromo-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-

L3 ANSWER 40 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
methoxy-1-(trifluoromethyl)ethyl]phenyl]-N-(1-oxopropyl)- (CA INDEX NAME)



RN 1019199-89-3 CAPLUS
CN 3-Pyridinecarboxamide, 2-bromo-N-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-N-(1-oxobutyl)- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 41 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:468805 CAPLUS
DOCUMENT NUMBER: 148:472058
TITLE: Preparation of triazolylpyridazine derivatives as xanthine oxidase inhibitors and pharmaceuticals containing them for treatment of gout, inflammation, ischemia-reperfusion injury, etc.
INVENTOR(S): Nagashima, Akira; Kaneda, Shuichi; Amata, Junichiro; Inoue, Tsutomu; Ono, Atsushi; Nagata, Osamu; Ashisawa, Naoki; Matsumoto, Koji
PATENT ASSIGNEE(S): Fuji Yakuhin Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 35pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008088107	A	20080417	JP 2006-270450	20061002

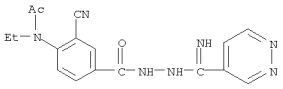
PRIORITY APPLN. INFO.: JP 2006-270450 20061002

OTHER SOURCE(S): MARPAT 148:472058
GI

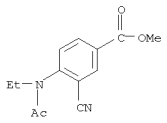
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Pharmaceuticals containing title derivs. I [X = substituted Ph II, substituted pyridylIII; R1 = cyano, NO2, halo, CF3; R2, R3 = halo, NO2, lower cycloalkyl, haloalkyl, aryl, carboxy, haloalkoxy, lower alkyl, lower alkyl-(un)substituted piperazyl, etc.], their pharmaceutically-acceptable salts, or their hydrates are useful as prophylactic and/or therapeutic drugs for hyperuricemia, gout, inflammatory diseases, congestive heart failure, ischemia-reperfusion injury, cancer, nerve diseases, etc. A DMSO solution of K 2,4-dicyanophenolate, prepared by heating 4-O2NC6H4CN and KCN in DMSO, was treated with BrCH2CH2OMe, at room temperature to give 3-cyano-4-(2-methoxy)ethoxybenzonitrile, 506 mg of which was treated with MeONa in MeOH at room temperature for 18 h and further reacted with pyridazine-4-carboxylic acid hydrazide under reflux for 19 h to give 290 mg 4-[5-[3-cyano-4-(2-methoxy)ethoxyphenyl]-1,2,4-triazol-3-yl]pyridazine (IV). Thus, IC50 of IV against bovine milk xanthine oxidase was 2.7 nM. Oral administration of IV to mice lowered plasma uric acid concentration
IT 1020063-09-5P, Methyl 3-cyano-4-(N-acetylethylamino)benzoate 1020063-10-8P, Methyl 3-bromo-4-(N-acetylethylamino)benzoate 1020063-11-9P

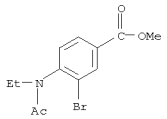
L3 ANSWER 41 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



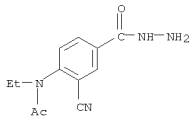
L3 ANSWER 41 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
1020063-12-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of triazolylpyridazine derivs. as xanthine oxidase inhibitors for treatment of gout, inflammation, and ischemia-reperfusion injury)
RN 1020063-09-5 CAPLUS
CN Benzoic acid, 4-(acetylethylamino)-3-cyano-, methyl ester (CA INDEX NAME)



RN 1020063-10-8 CAPLUS
CN Benzoic acid, 4-(acetylethylamino)-3-bromo-, methyl ester (CA INDEX NAME)



RN 1020063-11-9 CAPLUS
CN Benzoic acid, 4-(acetylethylamino)-3-cyano-, hydrazide (CA INDEX NAME)



RN 1020063-12-0 CAPLUS
CN Benzoic acid, 4-(acetylethylamino)-3-cyano-, 2-(imino-4-pyridazinylmethyl)hydrazide (CA INDEX NAME)

L3 ANSWER 42 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:410465 CAPLUS
DOCUMENT NUMBER: 148:403229
TITLE: Preparation of thiadiazolone derivatives as TNF-α converting enzyme (TACE) inhibitors
INVENTOR(S): Kikuchi, Shinichi; Matsui, Takuya; Inoue, Teruhiko; Terashita, Masakazu; Miura, Tomoya; Mimura, Takayuki; Fukui, Kenji; Takahashi, Akihiko
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 620pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008038841	A1	20080403	WO 2007-JP69519	20070928

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2006-270144 A 20060930
US 2006-850626P P 20061010

OTHER SOURCE(S): MARPAT 148:403229
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Raa1, Raa2 = H, C1-4 alkyl; na = 0-2; Lab1 = C(Rab5)(Rab6), Q, Q1, Q2, etc.; Rab5, Rab6 = H, C1-4 alkyl; Rab1-4 = H, halo, NO2, each (un)substituted OH, SH, NH2, CO2H, C1-4 alkyl, C3-12 carbocyclyl, or heterocyclyl, etc.; nb = 0-2; ring J1, J2 = each (un)substituted saturated monocyclic heterocyclic or nonarom. C3-8 carbocyclic ring; nc = 0,1; ring Lc = each (un)substituted C3-12 carbocyclic ring or saturated monocyclic heterocyclic ring; Lb = CON(Rba1)-Lba1, Lba6-N(Rba2)-CO-Lba2, S(O)N(Rba3), N(Rba4)S(O), COLba3, SO2Lba4, N(Rba5)Lba5; Rba1-5 = H, (un)substituted C1-4 alkyl, C1-7 alkanoyl, C6-12 aryl-C1-7 alkanoyl, C7-11 aroyl, etc.; Lba1-6 = a bond, (un)substituted C1-3 alkylene; Ld = (CHLd1)nd1-Xda-(CHLd2)nd2-Xdb; Xda, Xdb = a bond, O, (un)substituted NH, CO, CH(OH), S, S(O), SO2; nd1, nd2 = 0-2; Ld1, Ld2 = H, C1-4 alkyl; Ue = each (un)substituted C3-12 carbocyclyl, unsatd. fused heterocyclyl, C2-6 alkynyl; Rf = H, C1-4 alkyl] or pharmaceutically acceptable salts thereof or hydrates thereof are prepared These compds. are

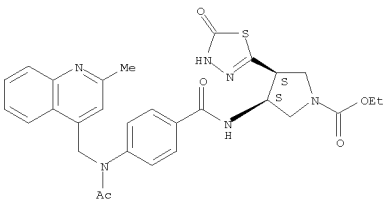
L3 ANSWER 42 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
excellent in inhibiting activity against TNF-α converting enzyme (TACE), also called as α disintegrin and metalloproteinase 17 (ADAM17) which cleaves pro-TNF-α to release TNF-α, and are selective inhibitors of TACE (ADAM17) over ADAM10 and ADAM14. Therefore, they are inhibitors of the prodn. of TNF-α and can be used as pharmaceutical agents effective for the prevention or treatment of diseases assocd. with TNF-α such as inflammatory disease, autoimmune disease, allergic disease, atopic disease, transplant rejection, graft-vs.-host disease, cardiovascular disease, reperfusion, infection, osteoporosis, diabetes, hyperlipidemia, Alzheimer's disease, neuropathy, organ fibrosis, rheumatoid arthritis, malignant tumor, and inflammatory bowel disease (IBD). Thus, 0.062 g 5-(2-aminoethyl)-3H-[1,3,4]thiadiazol-2-one hydrobromide, 0.040 g 4-(2-Methylquinolin-4-ylmethoxy)benzoic, and 1.0 mL DMF were mixed, sequentially treated with 0.030 mL N-methylmorpholine, 0.042 g 1-hydroxybenzotriazole monohydrate, and 0.052 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, and stirred at room temp. for 7 h to give 49% 4-(2-methylquinolin-4-ylmethoxy)-N-[2-(5-oxo-4,5-dihydro-[1,3,4]thiadiazol-2-yl)ethyl]benzamide (II). II and 4-(2-methylquinolin-4-ylmethoxy)-N-[(1R,2S)-2-(5-oxo-4,5-dihydro-[1,3,4]thiadiazol-2-yl)cyclohexyl]benzamide (III) in vitro showed IC50 of ≥0.01-<10 and <0.01 μM, resp., against recombinant human TACE (ADAM17). III in vitro inhibited the LPS-stimulated prodn. of TNF-α in THP-1 cells with IC50 of <1 μM.

IT 1016248-48-8P, (3S,4S)-3-[[4-[N-Acetyl-N-[(2-methylquinolin-4-yl)methyl]amino]benzoyl]amino]-4-(5-oxo-4,5-dihydro-[1,3,4]thiadiazol-2-yl)pyrrolidine-1-carboxylic acid ethyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiadiazolone derivs. as TNF-α converting enzyme (TACE) inhibitors)

RN 1016248-48-8 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[4-[acetyl[(2-methyl-4-quinolinyl)methyl]amino]benzoyl]amino]-4-(4,5-dihydro-5-oxo-1,3,4-thiadiazol-2-yl)-, ethyl ester, (3S,4S)- (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 42 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 43 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:192498 CAPLUS
DOCUMENT NUMBER: 148:262607
TITLE: Preparation of 2-(morpholin-4-yl)-6,7-dihydropyrrolo[2,3-d]pyrimidine 5,6,7,8-tetrahydropyrido[2,3-d]pyrimidine derivatives as phosphatidylinositol 3-kinase (PI3K) inhibitors
INVENTOR(S): Shimma, Nobuo; Ebiike, Hirosato; Ohwada, Jun; Kawada, Hatsuo; Morikami, Kenji; Nakamura, Mitsuaki; Yoshida, Miyuki; Ishii, Nobuya; Hasegawa, Masami; Yamamoto, Shun; Koyama, Kohei
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 802pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008018426	A1	20080214	WO 2007-JP65396	20070807
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007282535	A1	20080214	AU 2007-282535	20070807

PRIORITY APPLN. INFO.: JP 2006-216108 A 20060808
JP 2007-118631 A 20070427
WO 2007-JP65396 W 20070807

OTHER SOURCE(S): MARPAT 148:262607
GI

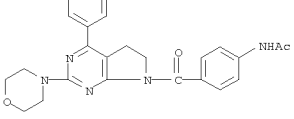
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; X = a single bond, CO, SO2, C(S), CH2; Y = a single bond, a divalent linkage group selected from (un)substituted benzene and heterocycles such as pyridine, pyrimidine, pyrazole, imidazole, oxazole, thiazole, furan, thiophen, quinoline, etc.; provided X and Y are not simultaneously a single bond; Z = H, (un)substituted C1-6 alkyl, ethynyl,halo, cyano, each (un)substituted OH, SO2NH2, or NH2, etc.; R1 = each (un)substituted Ph, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, or 2-, 3-, or 5-pyrimidinyl, etc.] or pharmaceutically acceptable salts thereof are prepared These compds. have excellent in vivo stability, water soly., and PI3K inhibitory activity and are useful for the prevention and/or treatment of proliferative disease, in particular cancer such as colorectal cancer, prostate cancer, and non-small cell lung cancer. Thus, a soln. of 150 mg bis(4-methoxybenzyl)[5-[2-(morpholin-4-yl)-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]pyrimidin-2-yl]amine in 1 1:1 mixt. of CH2Cl2 and satd. aq. NaHCO3 soln. (14 mL) was treated dropwise with 0.41 mL 20% phosgene/toluene soln., and stirred at room temp. for 1 h. The org. layer was sepd., dried over MgSO4, and filtered, followed distg. away the solvent under reduced pressure. The residue was dissolved in CH2Cl2, treated with 59 mg 2-methyl-5-(morpholin-4-yl)phenylamine and 58 μL Et3N, stirred at room temp. overnight to give, after workup and silica gel chromatog., 4-[2-[bis(4-methoxybenzyl)amino]pyrimidin-5-yl]-2-(morpholin-4-yl)-5,6-dihydropyrrolo[2,3-d]pyrimidine-7-carboxylic acid N-[2-methyl-5-(morpholin-4-yl)phenyl]amide which was dissolved in CF3CO2H and refluxed for a few hours to give 91% 4-(2-aminopyrimidin-5-yl)-2-(morpholin-4-yl)-5,6-dihydropyrrolo[2,3-d]pyrimidine-7-carboxylic acid N-[2-methyl-5-(morpholin-4-yl)phenyl]amide (II). II in vitro showed IC50 of 0.005 μM against PI3 and inhibited colorectal cancer (HCT116), prostate cancer (PC3), and non-small cell lung caner (NCI-H460) by 95, 97, and 84%, resp., at 2.5 μM.

IT 1007207-34-2P, N-[4-[[4-(3-Hydroxyphenyl)-2-(morpholin-4-yl)-5,6-dihydropyrrolo[2,3-d]pyrimidin-7-yl]carbonyl]phenyl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(morpholin-4-yl)-6,7-dihydropyrrolo[2,3-d]pyrimidine 5,6,7,8-tetrahydropyrido[2,3-d]pyrimidine derivs. as phosphatidylinositol 3-kinase (PI3K) inhibitors and antitumor agents)

RN 1007207-34-2 CAPLUS

CN Acetamide, N-[4-[[5,6-dihydro-4-(3-hydroxyphenyl)-2-(4-morpholinyl)-7H-pyrrolo[2,3-d]pyrimidin-7-yl]carbonyl]phenyl]- (CA INDEX NAME)



L3 ANSWER 43 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

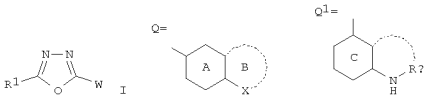
L3 ANSWER 44 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:160612 CAPLUS
DOCUMENT NUMBER: 148:215061
TITLE: Preparation of 2-heterocyclyl-1,3,4-oxadiazole derivatives as glycogen synthase kinase-3β (GSK-3β) inhibitors
INVENTOR(S): Itoh, Fumio; Kunitomo, Jun; Kobayashi, Hiromi; Kimura, Eiji; Saitoh, Morihisa; Kawamoto, Tomohiro; Iwashita, Hiroki; Murase, Katsuhito
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 531pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008016123	A1	20080207	WO 2007-JP65203	20070802

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2006-212642 A 20060803

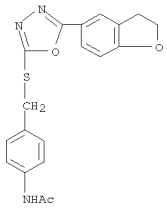
OTHER SOURCE(S): MARPAT 148:215061
GI



AB The title compds. [I; R1 = H, each (un)substituted hydrocarbyl, heterocyclyl, alkanoyl, HO, NH2, sulfonyl, sulfinyl, or SH, excluding diazacycloalkyl; W = Q, Q1; ring A = 6-membered aromatic ring; X = C, N, O, or S atom; ring B = 5- to 6-membered heterocyclic ring optionally having substituents at any position except X and optionally containing 1-3 N atom(s)

L3 ANSWER 44 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
FORMAT

L3 ANSWER 44 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
or one S or N atom; ring C = (un)substituted N-contg. 6-membered arom. ring; Rw = H, acyl, each (un)substituted hydrocarbyl or heterocyclyl; or Rw together with the adjacent NH and the C atoms on the ring C form (un)substituted N-contg. 5- to 7-membered ring] or salts thereof or prodrugs thereof are prepd. These compds. are GSK-3β inhibitors, promoters of neural stem cell differentiation, and agents for lowering blood sugar (hypoglycemics) and useful as prophylactic/therapeutic agents for a GSK-3β-related condition or disease including neurodegenerative diseases, Alzheimer's disease, or diabetes. Thus, a suspension of 5-(benzothiazol-6-yl)-1,3,4-oxadiazol-2-thiol, 4-methoxy-3-(trifluoromethyl)benzyl bromide, and K2CO3 in DMF was stirred at room temp. for 5 h to give 6-[5-[[4-methoxy-3-(trifluoromethyl)benzyl]thio]-1,3,4-oxadiazol-2-yl]benzothiazole (II). 2-(1,3-Benzodioxol-5-yl)-5-[(3-fluoro-4-methoxybenzyl)thio]-1,3,4-oxadiazole (com. available compd.), 2-[3-(4-methoxyphenyl)benzofuran-5-yl]-5-(methylthio)-1,3,4-oxadiazole, and 4-[5-[(3-fluoro-4-methoxybenzyl)thio]-1,3,4-oxadiazol-2-yl]pyridine-2-amine showed IC50 of 0.065, 0.19, and 0.14 μM against GSK-3β, resp., and did not show IC50 of 10 μM against other various kinases, i.e. serine.threonine kinases (e.g. p38α, JNK1, IKKβ, ASK1, TAK1, MEKK1, PKC0). Pharmaceutical formulations, e.g. a tablet formulation contg. II, were prepd.
IT 1005200-48-5P, N-[4-[[[5-(2,3-Dihydrobenzofuran-5-yl)-1,3,4-oxadiazol-2-yl]thio]methyl]phenyl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-heterocyclyl-1,3,4-oxadiazole derivs. as glycogen synthase kinase-3β (GSK-3β) inhibitors, promoters of neural stem cell differentiation, and hypoglycemics)
RN 1005200-48-5 CAPLUS
CN Acetamide, N-[4-[[[5-(2,3-dihydro-5-benzofuranyl)-1,3,4-oxadiazol-2-yl]thio]methyl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 230 THERE ARE 230 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L3 ANSWER 45 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:127976 CAPLUS
DOCUMENT NUMBER: 148:192155
TITLE: Preparation of erythromycin bridged carbamate macrolides as antibacterial agents
INVENTOR(S): Kim, Heejin; Phan, Ly Tam; Or, Yat Sun
PATENT ASSIGNEE(S): Enanta Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 127pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008014221	A2	20080131	WO 2007-US74157	20070724
WO 2008014221	A3	20081120		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 20080027012	A1	20080131	US 2007-781985	20070724

PRIORITY APPLN. INFO.: US 2006-832809P P 20060724

OTHER SOURCE(S): MARPAT 148:192155
GI

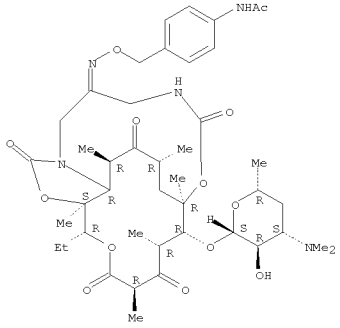
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Erythromycin bridged carbamate macrolides, e.g. I, wherein R is H, hydroxyl protecting group; R1 and R2 are independently selected from the group consisting of hydrogen, acyl, a substituted or unsubstituted, saturated or unsatd. aliphatic group, a substituted or unsubstituted, saturated or unsatd. alicyclic group, a substituted or unsubstituted aromatic group, a substituted or unsubstituted heteroarom. group, saturated or unsatd. heterocyclic group; or can be taken together with the nitrogen atom to which they are attached to form a substituted or unsubstituted; A is R5; R5 is alkylene, alkenylene, alkynylene containing hetero-atom selected from O, S, N; R5-X1-R6; X1 is carbonyl, substituted imine; R6 is independently selected from R5, substituted ester, substituted thio-ester, substituted alkylidene; X and Y are independently H, halogen, protected OH, O-acyl, alkoxy, substituted N;

L3 ANSWER 45 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
XY taken together with the carbon to which they are attached is CO, substituted oxy-imine; U and V are independently H, OH, protected OH, alkoxy, alkyl, alkenyl , alkynyl, acyl, ester, sulfonyl, sugar residue; R3 and R4 are independently H, halogen, alkyl, alkenyl , alkynyl, O-alkyl, O-alkenyl , O-alkynyl; Z is H, azido, cyano, nitro, aldehyde, COOH, CONH2; Q is H, protected OH, alkoxy, O-alkyl, O-alkenyl, O-alkynyl; L is alkyl, alkenyl , alkynyl; The present invention discloses compds. of formulas (I) and (II) or pharmaceutically acceptable salts, esters, or prodrugs thereof: which exhibit antibacterial properties. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical compn. comprising the compds. of the present invention. The invention further includes process by which to make the compds. of the present invention. Thus, glycoside II as prepd. and tested as antibacterial agent. The invention further provides compns. and methods of treating patients suffering from an inflammatory condition comprising administering to a patient in need thereof, a therapeutically effective amt. of at least one compd. of the invention. Specific examples of inflammatory conditions treatable according to the invention include, but are not limited to scleritis; epi-scleritis; allergic conjunctivitis; pulmonary inflammatory diseases, particularly cystic fibrosis (CF), asthma, chronic obstructive pulmonary disease (COPD), allergic bronchopulmonary aspergillosis (ABPA), and sarcoidosis; procto-sigmoiditis; allergic rhinitis; arthritis; tendonitis; apthous stomatitis; and inflammatory bowel disease.
IT 1004536-78-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of erythromycin bridged carbamate macrolides as antibacterial agents)
RN 1004536-78-0 CAPLUS
CN Acetamide, N-[4-[[[[(3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-4-ethyldodecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14,17-pentaoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4H-11,1-(epoxymethaniminopropano)-2H-oxacyclotetradecino[4,3-d]oxazol-20-ylidene]amino]oxy]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L3 ANSWER 45 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

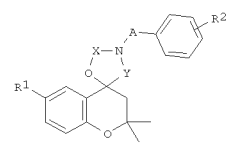


L3 ANSWER 46 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:70046 CAPLUS
DOCUMENT NUMBER: 148:144783
TITLE: Preparation of 4-spiroheterocyclic 2,2-dimethylchromanes as activators of ATP-sensitive potassium (KATP) channels.
INVENTOR(S): Balsamo, Aldo; Calderone, Vincenzo; Rapposelli, Simona
PATENT ASSIGNEE(S): Universita' Di Pisa, Italy
SOURCE: PCT Int. Appl., 61pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008007210	A2	20080117	WO 2007-IB1957	20070711
WO 2008007210	A3	20080814		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
IT 2006PI0083	A1	20061011	IT 2006-PI83	20060711
PRIORITY APPLN. INFO.:			IT 2006-PI83 A	20060711

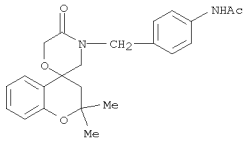
OTHER SOURCE(S): MARPAT 148:144783
GI



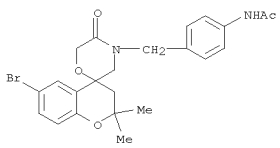
I

AB Title compds. [I; X = CO, CS, CH2, CH2CH2, CH2CO, CH2CS; Y = CH2, CO, CS, C:NH; A = CONH, CO2, CO, alkylene, alkylcarbonyl, CO, CS, alkylthiocarbonyl, sulfonic, alkylsulfonic; R1 = H, Me, Et, Pr, iso-Pr, Bu, iso-Bu, tert-Bu, methoxy, ethoxy, n-propyloxy, iso-propyloxy, F, Cl, Br, iodo, CF3, cyano, NO2, OH, amine, alkylamine, acetamide, trifluoroacetamide, propionamide, methanesulfonamide, ethanesulfonamide;

L3 ANSWER 46 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
R2 = H, Me, Et, Pr, iso-Pr, Bu, iso-Bu, Me3C, CO2H, methoxy, ethoxy, n-propyloxy, iso-propyloxy, F, Cl, Br, iodo, cyano, NO2, CF3, OH, thioalkyl, NR3R4; R3, R4 = H, alkyl, methanesulfonic, ethanesulfonic, Ac, propionyl, CF3], were prepd. Thus, 4'-(4-methanesulfonamidobenzyl)-6-bromo-2,2-dimethyl-2,3-dihydro-5'H-spiro[chromen-4,2'-1,4-oxazinan]-5'-one (prepn. outlined) in mouse hearts subjected to ischemia/reperfusion (30 min/120 min) showed 13% ischemic area vs. 35% for vehicle-treated controls.
IT 918150-95-5P 918150-96-6P 918150-98-8P
1001581-90-3P 1001581-91-4P 1001582-03-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spiroheterocyclic dimethylchromanes as activators of KATP channels)
RN 918150-95-5 CAPLUS
CN Acetamide, N-[4-[(2,3-dihydro-2,2-dimethyl-5'-oxospiro[4H-1-benzopyran-4,2'-morpholin]-4'-yl)methyl]phenyl]- (CA INDEX NAME)

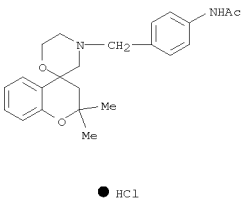


RN 918150-96-6 CAPLUS
CN Acetamide, N-[4-[(6-bromo-2,3-dihydro-2,2-dimethyl-5'-oxospiro[4H-1-benzopyran-4,2'-morpholin]-4'-yl)methyl]phenyl]- (CA INDEX NAME)



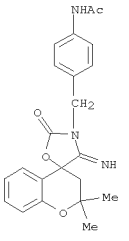
RN 918150-98-8 CAPLUS
CN Acetamide, N-[4-[(2,3-dihydro-2,2-dimethylspiro[4H-1-benzopyran-4,2'-morpholin]-4'-yl)methyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

L3 ANSWER 46 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



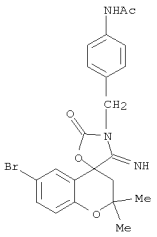
● HCl

RN 1001581-90-3 CAPLUS
CN Acetamide, N-[4-[(2,3-dihydro-4'-imino-2,2-dimethyl-2'-oxospiro[4H-1-benzopyran-4,5'-oxazolidin]-3'-yl)methyl]phenyl]- (CA INDEX NAME)

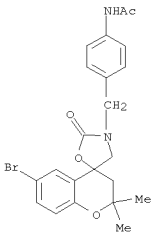


RN 1001581-91-4 CAPLUS
CN Acetamide, N-[4-[(6-bromo-2,3-dihydro-4'-imino-2,2-dimethyl-2'-oxospiro[4H-1-benzopyran-4,5'-oxazolidin]-3'-yl)methyl]phenyl]- (CA INDEX NAME)

L3 ANSWER 46 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1001582-03-1 CAPLUS
CN Acetamide, N-[4-[(6-bromo-2,3-dihydro-2,2-dimethyl-2'-oxospiro[4H-1-benzopyran-4,5'-oxazolidin]-3'-yl)methyl]phenyl]- (CA INDEX NAME)



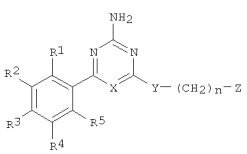
L3 ANSWER 47 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1393925 CAPLUS
DOCUMENT NUMBER: 148:55105
TITLE: Preparation of heterocyclic compounds as Hsp90 inhibitors
INVENTOR(S): Tsukuda, Takuo; Kawasaki, Ken-Ichi; Komiyama, Susumu; Isshiki, Yoshiaki; Shiratori, Yasuhiko; Hasegawa, Kiyoshi; Fukami, Takaaki; Miura, Takaaki; Ono, Naomi; Yamazaki, Toshikazu; Na, Young-Jun; Yoon, Dong-Oh; Kim, Sung-Jin
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 341pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007138994	A1	20071206	WO 2007-JP60666	20070525
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007268769	A1	20071206	AU 2007-268769	20070525
EP 2036895	A1	20090318	EP 2007-744100	20070525
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
KR 2009018977	A	20090224	KR 2008-731364	20081224

PRIORITY APPLN. INFO.: JP 2006-146982 A 20060526
JP 2007-94057 A 20070330
WO 2007-JP60666 W 20070525

OTHER SOURCE(S): MARPAT 148:55105
GI



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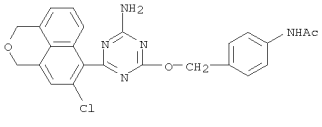
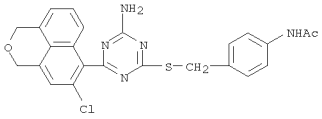
L3 ANSWER 47 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AB The title compds. I [X = CH, N; Y = O, S; Z = (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, etc.; n = integer of 0 - 2; R1 = H, halo, cyano, etc.; R2 = H, halo, alkyl, etc.; or R2 and R3 together form a ring; R3 = H, halo, alkyl, etc.; R4 = H, halo, alkyl, alkenyl, etc.; R5 = h, halo, alkynyl, etc.; or R4 and R5, or R3, R4 and R5 together form a ring; a proviso related to R1 - R5 is given] are prepared Thus, (5-[4-amino-6-(2-methoxyphenylsulfanyl)[1,3,5]triazin-2-yl]-2,4-dichlorophenoxy)acetonitrile was prepared in a multistep process starting from 2,4-dichloro-5-iodophenol. Compds. of this invention showed IC50 values of 0.8 μM to 3.3 μM against human Hsp90 α.
IT 959764-32-0P 959764-43-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as Hsp90 inhibitors)
RN 959764-32-0 CAPLUS
CN Acetamide, N-[4-[[[4-amino-6-(5-chloro-1H,3H-naphtho[1,8-cd]pyran-6-yl)-1,3,5-triazin-2-yl]oxy]methyl]phenyl]- (CA INDEX NAME)



RN 959764-43-3 CAPLUS
CN Acetamide, N-[4-[[[4-amino-6-(5-chloro-1H,3H-naphtho[1,8-cd]pyran-6-yl)-1,3,5-triazin-2-yl]thio]methyl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

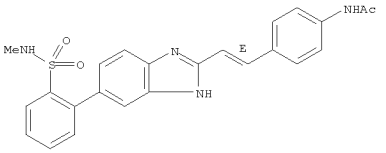
L3 ANSWER 48 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1270696 CAPLUS
DOCUMENT NUMBER: 147:522235
TITLE: Preparation of benzimidazoles as capsaicin receptor VR1 modulators for the treatment of pain
INVENTOR(S): Player, Mark R.; Dax, Scott L.; Parsons, William H.; Brandt, Michael Richard; Calvo, Raul R.; Patel, Sharmila; Liu, Jian; Cheung, Wing S.; Jetter, Michele C.; Lee, Yu-Kai; Youngman, Mark A.; Pan, Wenxi; Weils, Kenneth M.; Beauchamp, Derek A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 230pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070259936	A1	20071108	US 2007-734984	20070413
AU 2007248341	A1	20071115	AU 2007-248341	20070417
CA 2651128	A1	20071115	CA 2007-2651128	20070417
WO 2007130780	A2	20071115	WO 2007-US66748	20070417
WO 2007130780	A3	20080214		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
EP 2021330	A2	20090211	EP 2007-760746	20070417
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
KR 2009008428	A	20090121	KR 2008-729196	20081128
IN 2008KN04893	A	20090320	IN 2008-KN4893	20081203

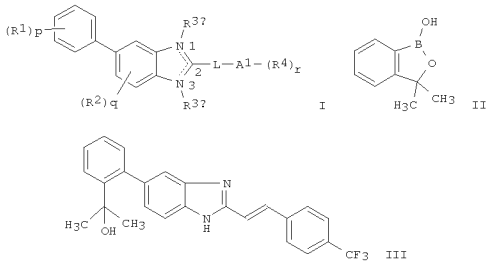
PRIORITY APPLN. INFO.: US 2006-797504P P 20060503
WO 2007-US66748 W 20070417

OTHER SOURCE(S): MARPAT 147:522235
GI

L3 ANSWER 48 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



L3 ANSWER 48 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



AB Title compds. I [wherein R3a = H or (fluoro)alkyl, when the double bond exists between positions 2 and 3; R3b = H or (fluoro)alkyl, when the double bond exists between positions 1 and 2; p = 1-2; q = 0-1; r = 1-3; L = alkyl, alkenyl, alkynyl or cyclopropyl; A1 = Ph, biphenyl, naphthyl, etc.; R1 = OH, cyano, halo, etc.; R2 = halo, alkyl, alkoxy, etc.; R4 = halo, nitro, cyano, etc.] and their salts were prepared as capsaicin receptor VR1 modulators . For instance, nucleophilic addition of MeMgBr to Me 2-bromobenzoate and subsequent treatment of the generated tertiary alc. with triisopropyl borate resulted in II. Condensation of 4-trifluoromethylbenzaldehyde with malonic acid in the presence of piperidine in pyridine followed by chlorination with SOCl2 led to the corresponding acryloyl chloride, which was cyclized with 4-bromobenzene-1,2-diamine and then coupled of the resultant 5-bromobenzimidazole with II to give III. This product showed inhibition of human VR1 with an IC50 value of 4 nM. It was also active in reversing inflammatory and postoperative pain. Therefore, the invented compds. and their pharmaceutical compns. are useful for the treatment of VR1 ion channel-mediated diseases, such as pain.

IT 956281-86-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzimidazoles as capsaicin receptor VR1 inhibitors for treating pain)
RN 956281-86-0 CAPLUS
CN Acetamide, N-[4-[(1E)-2-[6-[2-[(methylamino)sulfonyl]phenyl]-1H-benzimidazol-2-yl]ethenyl]phenyl]- (CA INDEX NAME)

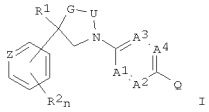
Double bond geometry as shown.

L3 ANSWER 49 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1243220 CAPLUS
DOCUMENT NUMBER: 147:463452
TITLE: Preparation of five-membered heterocyclic invertebrate pest control agents
INVENTOR(S): Chan, Dominic Ming-Tak; Long, Jeffrey Keith
PATENT ASSIGNEE(S): E. I. du Pont de Nemours and Company, USA
SOURCE: PCT Int. Appl., 110pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007123853	A2	20071101	WO 2007-US9181	20070413
WO 2007123853	A3	20080110		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2007240952	A1	20071101	AU 2007-240952	20070413
IN 2008DN07436	A	20080926	IN 2008-DN7436	20080901
MX 2008013305	A	20081027	MX 2008-13305	20081016

PRIORITY APPLN. INFO.: US 2006-793476P P 20060420
WO 2007-US9181 W 20070413

GI



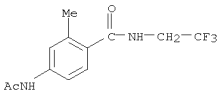
AB The five-membered heterocyclic compds. (I) [G = O or NR3; U = C(O), S(O), C(S), or S(O)2; Z = N or CR2; R1 = cyano, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, alkylcycloalkyl or cycloalkylalkyl; R2 = H, halo, (halo)alkyl, etc.; R3 = H, cyano, CHO, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, alkylcycloalkyl, cycloalkylalkyl, Ph,

L3 ANSWER 49 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
alkylcarbonyl, alkoxycarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl; Q
= (un)substituted 5- or 6-membered satd. or unsatd. heterocyclyl; A1 = N or CR4; A2 = N or CR5; A3 = N or CR6; A4 = N or CR7; R4-7 = H, halo, (halo)alkyl, cycloalkyl, etc.; n = 1-4] are prepd. as insecticides, acaricides and ectoparasiticides.

IT 952679-15-1P 952679-20-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate in preparation of oxazolidine derivative pesticide)

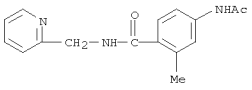
RN 952679-15-1 CAPLUS

CN Benzamide, 4-(acetylamino)-2-methyl-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)



RN 952679-20-8 CAPLUS

CN Benzamide, 4-(acetylamino)-2-methyl-N-(2-pyridinylmethyl)- (CA INDEX NAME)

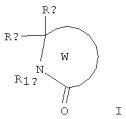


L3 ANSWER 50 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1177604 CAPLUS
DOCUMENT NUMBER: 147:486467
TITLE: Azaheterocycles, combinatorial library, focused library, pharmaceutical composition and methods for their preparation from isonitriles, primary amines, and oxo-carboxylates or amino acid derivatives
INVENTOR(S): Ivashchenko, Alexander Vasilievich; Ilyin, Aleksei Petrovich; Kysil, Volodymyr Mikhailovich; Trifilenkov, Andrei Sergeevich; Tsirulnikov, Sergey Alexandrovich; Shkirando, Alexander Mikhailovich; Churakova, Marina Vasilievna; Lomakina, Irina Olegovna; Potapov, Viktor Vladimirovich; Zamaletdinova, Anastasiya Iliyasovna; Tkachenko, Sergey Yevgenievich; Kravchenko, Dmitri Vladimirovich; Khvat, Alexander Viktorovich; Okun, Ilya Matusovich; Kyselev, Alexander Sergeevich
PATENT ASSIGNEE(S): "Chemical Diversity Research Institute" Ltd., Russia
SOURCE: PCT Int. Appl., 312pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

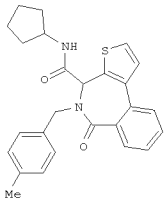
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007117180	A1	20071018	WO 2007-RU163	20070406
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RU 2318818	C1	20080310	RU 2006-111951	20060412
RU 2345078	C1	20090127	RU 2007-122661	20070619
PRIORITY APPLN. INFO.:			RU 2006-111951 A	20060412

OTHER SOURCE(S): MARPAT 147:486467
GI

L3 ANSWER 50 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I



II

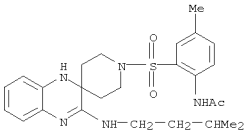
AB Azaheterocycles [I; W = azaheterocycle comprising 6-12 atoms, is optionally annelated, has at least one C5-7 carbocycle and/or heterocycle, and also comprises at least one O, S or N heteroatom; R1a = substituent on an amino group, excluding H, preferably C1-6 alkyl, aryl or heterocycle containing at least one O, S or N heteroatom; Rb = carbamoyl group C(O)NHRa in which Ra = substituent on the amino group, excluding H; Rc = substituent on the ring system, preferably C1-6 alkyl, alkyl, aryl or heterocycle containing at least one O, S or N heteroatom, or Rb and Rc together form an amino-cyano-methylene [:C(NH2)CN] group], of interest as potential physiol. active substances (agonists, antagonists, receptor modulators, enzyme inhibitors, antibacterial and antiparasitic agent etc.; no data), are claimed, as are methods for their preparation, combinatorial and focused libraries comprising them and pharmaceutical compns. containing these azaheterocycles as anticancer active ingredients. I are prepared by heterocyclization reactions of isonitriles with primary amines and either a mixture of (un)protected amino acids and oxo-carboxylate esters or a bifunctional reagent in an organic solvent in presence of an acid catalyst. One of these azaheterocycles (II; preparation given) showed 59%, 85% and 90% growth inhibition for cancer cell lines DLD-1, DU-145 and T-47D, resp., and pharmaceutical compns. for II in tablets, capsules and injections are given.

IT 953060-33-8P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of combinatorial and focused libraries and pharmaceutical compns. of azaheterocycles and their anticancer activities)

RN 953060-33-8 CAPLUS

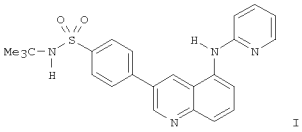
CN Acetamide, N-[4-methyl-2-[[3'-[(3-methylbutyl)amino]spiro[piperidine-4,2'(1'H)-quinoxalin]-1-yl]sulfonyl]phenyl]- (CA INDEX NAME)

L3 ANSWER 50 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



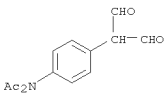
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 51 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1170559 CAPLUS
DOCUMENT NUMBER: 148:54860
TITLE: 3,5-Disubstituted quinolines as novel c-Jun N-terminal kinase inhibitors
AUTHOR(S): Jiang, Rong; Duckett, Derek; Chen, Weiming; Habel, Jeff; Ling, Yuan Yuan; LoGrasso, Philip; Kamenecka, Theodore M.
CORPORATE SOURCE: Department of Medicinal Chemistry, Scripps Florida, Jupiter, FL, 33458, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(22), 6378-6382
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 148:54860
GI



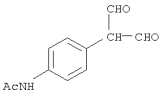
I

AB The structure-based design and synthesis of a novel series of c-Jun N-terminal kinase (JNK) inhibitors with selectivity against p38 is reported. The unique structure of these 3,5-disubstituted quinolines, e.g. I, was developed from the previously reported 4-(2,7-phenanthrolin-9-yl)phenol. The X-ray crystal structure of I in JNK3 reveals an unexpected binding mode for this new scaffold with protein.
IT 959931-96-5 959931-97-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(heterocyclization of aminoisoquinoline with phenylmalondialdehydes in the preparation of phenylphenanthrolines with c-Jun N-terminal kinase inhibiting activity)
RN 959931-96-5 CAPLUS
CN Acetamide, N-acetyl-N-[4-(1-formyl-2-oxoethyl)phenyl]- (CA INDEX NAME)



L3 ANSWER 51 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 959931-97-6 CAPLUS
CN Acetamide, N-[4-(1-formyl-2-oxoethyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

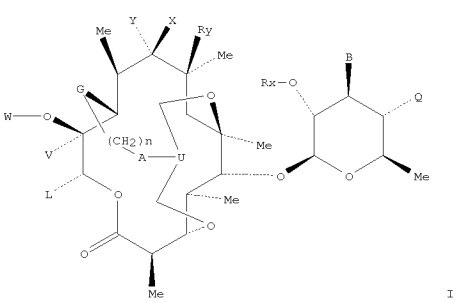
L3 ANSWER 52 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1146009 CAPLUS
DOCUMENT NUMBER: 147:449036
TITLE: Preparation of prodrug macrolides 3,6,11-tricyclic erythromycin analogs as antibacterial agents
INVENTOR(S): Sun, Ying; Or, Yat Sun; Wang, Zhe
PATENT ASSIGNEE(S): Enanta Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 138pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007115278	A2	20071011	WO 2007-US65827	20070403
WO 2007115278	A3	20081016		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-788917P P 20060404

OTHER SOURCE(S): MARPAT 147:449036
GI



I

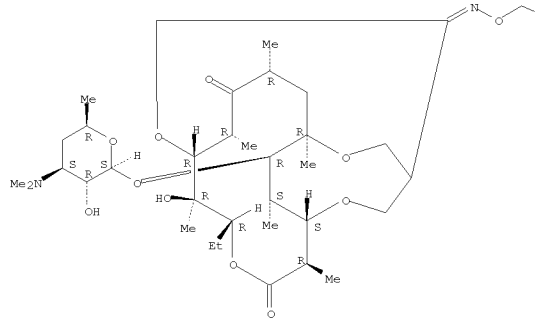
L3 ANSWER 52 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AB The present invention discloses 3,6,11-tricyclic erythromycin analogs I, wherein n is 1-4; A is CR1R2; R1 is protected OH, azido, cyano, aryl, heteroaryl, O-aryl, O-heteroaryl, H, alkyl, alkenyl, alkynyl, OH, O-alkyl, O-alkenyl, O-alkynyl, ester, O-ester, sulfonyl, amino-sulfonyl, amino-acyl, amino; R2 is H, D, halogen, OH, protected OH; R1R2 is CO, acetal, alkylidene, imine; A-U together is and alkene; X and Y are one of them is H and the other is H, D, OH, protected OH, substituted N; XY taken together with the carbon to which they are attached are CO, oxime; W is H, alkyl, ester, amide; G is O; W and G together form -C(O)N-; Q is H, substituted O; B is substituted N; V is H, azido, cyano, nitro, CHO, COOH, amide, aliphatic; L is XH(OH)Me, alkyl, alkenyl, alkynyl; Ry is H, F; Rx is H, protecting group; and pharmaceutically acceptable salts, esters, or prodrugs thereof, were prepared and exhibit antibacterial properties. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention.
The invention further includes process by which to make the compds. of the present invention. Thus, I (XY = O, Rx = Ry = Q = W = H, B = NMe2, V = Me, L = Et, G = O, n = 1, A = CHOH, U = C-OH) was prepared and tested in vivo as antibacterial agent. The total daily dose of the compds. of this invention administered to a human or other animal in single or in divided doses can be in amts., for example, from 0.01 to 50 mg/kg body weight or more usually from 0.1 to 25 mg/ kg body weight
IT 952114-24-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Preparation of prodrug macrolides 3,6,11-tricyclic erythromycin analogs as antibacterial agents)
RN 952114-24-8 CAPLUS
CN Acetamide, N-[4-[[[[(4S,5R,8R,9R,10R,11R,13R,15R,21R,22S)-8-ethyl-9-hydroxy-5,9,11,13,15,22-hexamethyl-6,12-dioxo-21-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-3,7,16,20-tetraoxatricyclo[8.7.3.24,15]docos-18-ylidene]amino]oxy]methyl]phenyl]- (CA INDEX NAME)

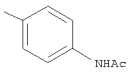
Absolute stereochemistry.
Double bond geometry unknown.

L3 ANSWER 52 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B



L3 ANSWER 53 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

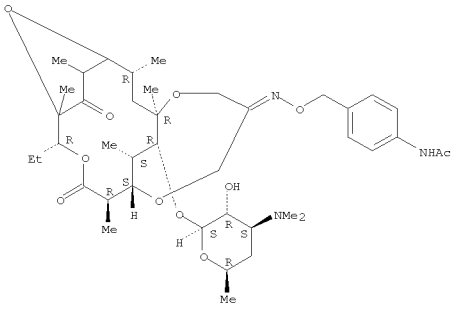
the invention generally demonstrated an MIC in the range from about 64 μg/mL to about 0.03 μg/mL. According to the methods of treatment of the present invention, bacterial infections, cystic fibrosis and inflammatory conditions are treated or prevented in a patient such as a human or another animal by administering to the patient a therapeutically effective amt. of a compd. of the invention, in such amts. and for such time as is necessary to achieve the desired result.

IT 951654-19-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3,6-bridged 9,12-oxolide erythromycin analogs as antibacterial agents)

RN 951654-19-6 CAPLUS

CN Erythromycin, 3,6-O-[2-[[[4-(acetylamino)phenyl]methoxy]imino]-1,3-propanediyl]-3-O-de(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)-9-deoxo-11,12-dideoxy-9,12-epoxy-11-oxo-, (10ξ,12ξ)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 53 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1121499 CAPLUS
DOCUMENT NUMBER: 147:427649
TITLE: Preparation of 3,6-bridged 9,12-oxolide erythromycin analogs as antibacterial agents
INVENTOR(S): Or, Yat Sun; Niu, Deqiang; Wang, Zhe
PATENT ASSIGNEE(S): Emata Pharmaceuticals, Inc, USA
SOURCE: U.S. Pat. Appl. Publ., 76 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070232554	A1	20071004	US 2006-435401	20060516
US 7407942	B2	20080805		
PRIORITY APPLN. INFO.:			US 2006-786867P P	20060329

OTHER SOURCE(S): CASREACT 147:427649; MARPAT 147:427649
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

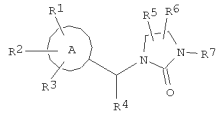
AB The present invention discloses the preparation of 3,6-bridged 9,12-oxolide erythromycin analogs I, wherein R1 is H, D, Me, allyl, CH2OH, aryl, alkyl, alkenyl, alkynyl; R2 is H, OH; when R1 is H, R2 is H, OH, N3, NH2, CN, heterocycle, AR3; A is O, OCOO, S, SO, SO2, NH, NMe, NHCO, CHCOO, NHCONH, NHSO2; R3 is H, aryl,heteroaryl, alkyl, alkenyl, alkynyl; X and Y are independently H, OH, N3, NH2, CN, heterocycle, AR3; XY together with the carbon which they are attached form CO, substituted oxime; B is substituted N; V is H, azido, cyano, nitro, aldehyde, carboxylic acid, amide, aliphatic; Q is H, protected OH, OH, O-aryl, O-alkyl, O-alkynyl, O-alkenyl, O-cycloalkyl; L is Et, CH(OH)Me, alkyl, alkenyl, alkynyl; Rx is H, hydroxy protecting group; or pharmaceutically acceptable salts, esters, or prodrugs which exhibit antibacterial properties. The present invention further relates to pharmaceutical compns. comprising the aforementioned compds. for administration to a subject in need of antibiotic treatment. The invention also relates to methods of treating a bacterial infection in a subject by administering a pharmaceutical composition comprising the compds. of the present invention. The invention further includes process by which to make the compds. of the present invention. Thus, erythromycin analog II was prepared and tested in vitro as antibacterial agent. The compds. of

L3 ANSWER 54 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1110441 CAPLUS
DOCUMENT NUMBER: 147:427338
TITLE: Preparation of imidazolidinone derivatives as 11β-HSD1 inhibitors
INVENTOR(S): Fukushima, Hiroshi; Takahashi, Hitomi; Mikami, Ayako; Tanaka, Hiroaki
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 88pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007254409	A	20071004	JP 2006-82507	20060324
PRIORITY APPLN. INFO.:			JP 2006-82507	20060324

OTHER SOURCE(S): MARPAT 147:427338
GI



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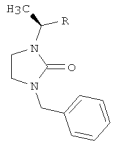


II

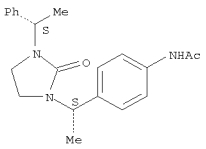
AB Title compds. I [A = aromatic hydrocarbon ring, condensed aromatic hydrocarbon ring-saturated ring, heteroarom. ring, etc.; R1, R2 = H, halo or alkyl; R3 = H, halo, hydroxy, etc.; R4 = halo, alkyl or alkyl substituted with halo or hydroxy; R5, R6 = H, alkyl, benzyl, etc.; R7 = alkyl, alkenyl, cycloalkyl,

L3 ANSWER 54 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
etc.] or pharmaceutically acceptable salts, hydrates or solvates thereof were prepd. For example, reaction of N-[(1S)-1-phenylethyl]ethane-1,2-diamine, e.g., prepd. from (S)-1-phenylethylamine in 3 steps, with triphosgene followed by treatment with benzyl bromide afforded compd. II [R = phenyl]. In 11β-HSD1 inhibition assays, the IC50 value of compd. II [R = naphthalen-2-yl] was 2.9 nM. Compds. I are claimed useful for the treatment of diabetes, metabolic syndrome, obesity, etc.

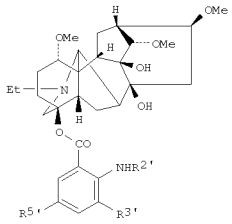
IT 951246-35-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazolidinone derivs. as 11β-HSD1 inhibitors)

RN 951246-35-8 CAPLUS
CN Acetamide, N-[4-[(1S)-1-[2-oxo-3-[(1S)-1-phenylethyl]-1-imidazolidinyl]ethyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 55 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:984327 CAPLUS
DOCUMENT NUMBER: 148:517859
TITLE: Synthesis of acetylene derivatives of lappaconitine
AUTHOR(S): Vasilevskii, S. F.; Osadchii, S. A.; Shults, E. E.; Polukhina, E. V.; Stepanov, A. A.; Tolstikov, G. A.
CORPORATE SOURCE: Institute of Chemical Kinetics and Combustion, Siberian Division, Russian Academy of Sciences, Novosibirsk, 630090, Russia
SOURCE: Doklady Chemistry (2007), 415(2), 181-185
CODEN: DKCHAY; ISSN: 0012-5008
PUBLISHER: Pleiades Publishing, Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 148:517859
GI



I

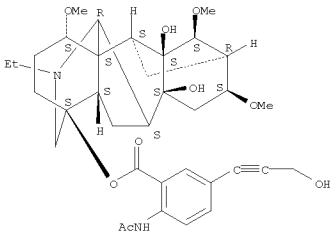
AB A methods for the preparation of halogenated derivs. I (R2' = COMe, R3' = H, R5' = Br; R2' = COMe, R3' = H, R5' = iodo; R2' = H, R3' = R5' = Br; R2' = R3' = H, R5' = iodo) of the aconitine alkaloid lappaconitine I (R2' = COMe, R3' = R5' = H) and N-deacetyllappaconitine I (R2' = R3' = R5' = H) was presented. Acetylene derivs. I [R2' = COMe, R3' = H, R5' = C.tplbond.CR, R = CH2OH, C(OH)Me2, Ph, pyrimidin-5-yl] were subsequently prepared via cross-coupling reactions of 5'-iodolappaconitine I (R2' = COMe, R3' = H, R5' = iodo) with the corresponding alkynes, HC.tplbond.CR. E.g., I (R2' = COMe, R3' = H, R5' = C.tplbond.CCH2OH) was prepared with 72% yield by reacting 5'-iodolappaconitine with propargyl alc. using CuI, PdCl2(PPh3), PPh3 and Et3N in benzene at 60-65° under an argon atmospheric

IT 1020209-81-7P 1020209-82-8P 1020209-83-9P
1020209-84-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of acetylene derivs. of lappaconitine via cross-coupling

L3 ANSWER 55 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
reactions of alkynes with 5'-iodolappaconitine)

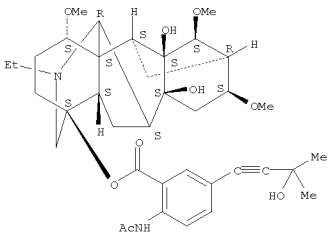
RN 1020209-81-7 CAPLUS
CN Aconitane-4,8,9-triol, 20-ethyl-1,14,16-trimethoxy-, 4-[2-(acetylamino)-5-(3-hydroxy-1-propyn-1-yl)benzoate], (1α,14α,16β)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1020209-82-8 CAPLUS
CN Aconitane-4,8,9-triol, 20-ethyl-1,14,16-trimethoxy-, 4-[2-(acetylamino)-5-(3-hydroxy-3-methyl-1-butyn-1-yl)benzoate], (1α,14α,16β)- (CA INDEX NAME)

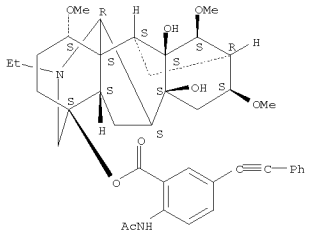
Absolute stereochemistry.



RN 1020209-83-9 CAPLUS
CN Aconitane-4,8,9-triol, 20-ethyl-1,14,16-trimethoxy-, 4-[2-(acetylamino)-5-(2-phenylethynyl)benzoate], (1α,14α,16β)- (CA INDEX NAME)

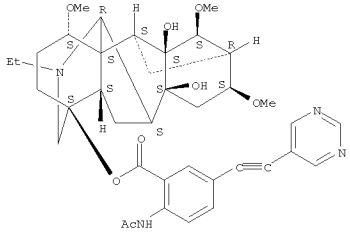
Absolute stereochemistry.

L3 ANSWER 55 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



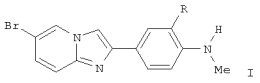
RN 1020209-84-0 CAPLUS
CN Aconitane-4,8,9-triol, 20-ethyl-1,14,16-trimethoxy-, 4-[2-(acetylamino)-5-[2-(5-pyrimidinyl)ethynyl]benzoate], (1α,14α,16β)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

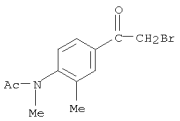
L3 ANSWER 56 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:954095 CAPLUS
DOCUMENT NUMBER: 147:406747
TITLE: Synthesis and structure-affinity relationships of new 4-(6-iodo-H-imidazo[1,2-a]pyridin-2-yl)-N-dimethylbenzeneamine derivatives as ligands for human β-amyloid plaques
AUTHOR(S): Cai, Lisheng; Cuevas, Jessica; Temme, Sebastian; Herman, Mary M.; Dagostin, Claudio; Widdowson, David A.; Innis, Robert B.; Pike, Victor W.
CORPORATE SOURCE: Molecular Imaging Branch and Clinical Brain Disorders Branch, National Institute of Mental Health, National Institutes of Health, Bethesda, MD, 20892, USA
SOURCE: Journal of Medicinal Chemistry (2007), 50(19), 4746-4758
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:406747
GI



I

AB A set of 4-(6-iodo-H-imidazo[1,2-a]pyridin-2-yl)-N-dimethylbenzeneamine (IMPY) derivs., e.g., I [R = Br (II), Me (III)], were synthesized and assayed for affinity toward human Aβ plaques. Analogs with 6-ethylthio, 6-cyano, 6-nitro, and 6-p-methoxybenzylthio were discovered to have high affinity (KI < 10 nM). However, introduction of a hydrophilic thioether group in the 6-position reduced or abolished affinity. In secondary N-Me analogs, bromo substituents both in 3- and 6-positions (II) imparted high affinity (KI = 7.4 nM), whereas a Me substituent in 3-position (III) did not. The tolerance for nonhydrophilic thioether substituents in the 6-position opens up the possibility of developing new sensitive positron emission tomog. radioligands for imaging human Aβ plaques in Alzheimer's disease, especially in view of the amenability of thioethers to be labeled with carbon-11 or fluorine-18 through S-alkylation reactions. The structure-activity relationships revealed in this study extends insight into the topog. of the binding site for IMPY-like ligands in human Aβ plaques.
IT 951259-53-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, human β-amyloid plaque affinity and SAR of

L3 ANSWER 56 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
N-dimethyl[(iodo)imidazopyridinyl]benzeneamine derivs. starting from amino(halo)pyridines, aminopyrazine, and (bromoacetyl)benzeneamines)
RN 951259-53-3 CAPLUS
CN Acetamide, N-[4-(2-bromoacetyl)-2-methylphenyl]-N-methyl- (CA INDEX NAME)

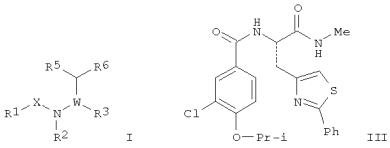


REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 57 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:941927 CAPLUS
DOCUMENT NUMBER: 147:300862
TITLE: Preparation of 3-chloro-4-isopropoxybenzamide and 3-cyano-4-isopropoxybenzamide derivatives as inhibitors of mitotic kinesins
INVENTOR(S): Qian, Xiangping; McDonald, Andrew I.; Zhou, Han-Jie; Ashcraft, Luke W.; Yao, Bing; Jiang, Hong; Huang, Jennifer Kuo Chen; Wang, Jianchao; Morgans, David J.; Morgan, Bradley P.; Bergnes, Gustave; Dhanak, Dashyant; Knight, Steven D.; Adams, Nicholas D.; Parrish, Cynthia A.; Duffy, Kevin; Fitch, Duke; Tedesco, Rosanna
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 253pp., Cont.-in-part of U.S. Ser. No. 121,709.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20070197481	A1	20070823	US 2005-124608		20050506
US 20060094708	A1	20060504	US 2005-121709		20050503
US 20060247289	A1	20061102	US 2005-271147		20051109
US 7504413	B2	20090317			
US 20080255182	A1	20081016	US 2008-7143		20080107
PRIORITY APPLN. INFO.:			US 2004-569510P	P	20040506
			US 2005-121709	A2	20050503
			US 2005-124608	A2	20050506
			US 2005-271147	A3	20051109

OTHER SOURCE(S): MARPAT 147:300862
GI

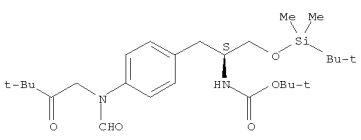


I III

AB Title compds. I [R1 = (un)substituted (hetero)aryl, heterocyclyl; X = CO, SO2; R2 = H, (un)substituted lower alkyl; W = CR4, CH2CR4, N; R3 = COR7, H, CN, (un)substituted alkyl, heterocyclyl, aryl, sulfonyl; R4 = H, (un)substituted alkyl; R5 = H, HO, (un)substituted amino, heterocyclyl, or lower alkyl; R6 = H, (un)substituted alkyl, alkoxy, (hetero)aryloxy,

L3 ANSWER 57 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
alkoxycarbonyl, aminocarbonyl, (hetero)aryl, etc.; R7 = HO, (un)substituted lower alkyl, aryl, amino, aralkoxy, or alkoxy; provided that if W is N, then R5 is not hydroxy or (un)substituted amino, and R6 is not optionally substituted alkoxy, optionally substituted aralkoxy, optionally substituted heteroaralkoxy, or optionally substituted amino; and their pharmaceutically acceptable salts, solvates, chelates, non-covalent complexes, prodrugs, and their mixts.] were prepd. Compds. I including N-benzoyl-amino alcs., N-benzoyl-amino acid amide, N-benzoylsemicarbazide, and N-benzoyl-diamine derivs. are inhibitors of one or more mitotic kinesins and are useful in the treatment of cellular proliferative diseases, for example cancer, hyperplasias, restenosis, cardiac hypertrophy, immune disorders, fungal disorders, and inflammation by modulating the activity of one or more mitotic kinesins. Thus, cyclocondensation of (2S)-2-(tert-butoxycarbonylamino)-5-bromo-4-oxopentanoic acid Me ester with thiobenzamide in the presence of diisopropylethylamine in methanol under refluxing for 24 h gave (2S)-2-(tert-butoxycarbonylamino)-3-(2-phenylthiazol-4-yl)propanoic acid which was treated with CF3CO2H in CH2Cl2 at room temp. for 10 min to give (2S)-2-amino-3-(2-phenylthiazol-4-yl)propanoic acid (II). II was condensed with 3-chloro-4-isopropoxybenzoic acid pentafluorophenyl ester in the presence of diisopropylethylamine in DMF at room temp. to give (2S)-N-methyl-2-[(3-chloro-4-isopropoxybenzoyl)amino]-3-(2-phenylthiazol-4-yl) propanamide (III). Selected I showed GI50 (50% growth inhibition concn.) of ≤10 μM against human ovarian tumor cells Skov-3.
IT 943297-04-9P, [[(3S)-2-(tert-Butoxycarbonylamino)-3-[4-[N-(3,3-dimethyl-2-oxobutyl)formylamino]phenyl]propyl]oxy](tert-butyl)dimethylsilane
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-benzoyl amino alcs., N-benzoyl-amino acid, and N-benzoylsemicarbazide derivs. as inhibitors of mitotic kinesins)
RN 943297-04-9 CAPLUS
CN Carbamic acid, N-[(1S)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-[[4-[(3,3-dimethyl-2-oxobutyl)formylamino]phenyl]methyl]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

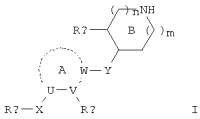


L3 ANSWER 58 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:935063 CAPLUS
DOCUMENT NUMBER: 147:301199
TITLE: Preparation of cyclic amine compounds as renin inhibitors
INVENTOR(S): Kuroita, Takanobu; Imaeda, Yasuhiro; Taya, Naohiro; Oda, Tsuneo; Iwanaga, Kouichi; Asano, Yasutomi
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 587pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007094513	A2	20070823	WO 2007-JP53242	20070215
WO 2007094513	A3	20080327		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
CA 2638787	A1	20070823	CA 2007-2638787	20070215
EP 1984355	A2	20081029	EP 2007-714742	20070215
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

PRIORITY APPLN. INFO.: US 2006-774133P P 20060216
WO 2007-JP53242 W 20070215

OTHER SOURCE(S): MARPAT 147:301199
GI



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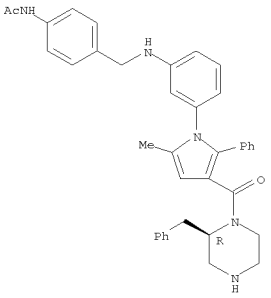
L3 ANSWER 58 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



L3 ANSWER 58 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AB The title compds. N-(pyrrol-3-ylcarbonyl)piperazine and N-(imidazol-4-ylcarbonyl)piperazine, and N-(pyrazol-3-ylcarbonyl)piperazine, and N-(2-pyridylcarbonyl)piperazines represented by the formula [I; ring A = 5- or 6-membered aromatic heterocycle optionally having substituent (s); U, V, W = each independently C or N, provided that when any one of U, V and W is N, then the others should be C; Ra, Rb = independently cyclic group, C1-10 alkyl, C2-10 alkenyl, or C2-10alkynyl each optionally having substituent (s); X = a bond, or a spacer having 1 to 6 atoms in the main chain; Y = a spacer having 1 to 6 atoms in the main chain; Rc = hydrocarbon group optionally containing heteroatom(s) as the constituting atom(s), which optionally has substituent(s); m, n = independently 1 or 2; ring B optionally further has substituent(s)] or salts thereof are prepared These compds. have excellent renin inhibitory activity, and thus is useful as agents for the prophylaxis or treatment of hypertension or various organ damages attributable to hypertension. Thus, a solution of 1-(3-morpholinophenyl)-5-phenyl-1H-imidazole-4-carboxylic acid 262, (3R)-1,3-dibenzylpiperazine 200, WSC.HCl 173, and HOBt 122 mg, 5 mL DMF was stirred at room temperature for 15 h, followed by hydrogenolysis over 20% Pd(OH)2 on carbon in methanol and treatment with HCl in Et2O/EtOAc to give 4-[3-[4-[((2R)-2-benzylpiperazin-1-yl)carbonyl]-5-phenyl-1H-imidazol-1-yl]phenyl]morpholine dihydrochloride (II). II inhibited human renin (preparation given) by 103 and 104% at 1 and 10 μM, resp. A tablet formulation containing (2R)-1-[(1,2-Diphenyl-1H-pyrrol-3-yl)carbonyl]-2-(2-phenylethyl)piperazine hydrochloride was prepared

IT 947267-60-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclic amine compds. as renin inhibitors for prophylaxis or treatment of hypertension)

RN 947267-60-9 CAPLUS
CN Acetamide, N-[4-[[[3-[5-methyl-2-phenyl-3-[[(2R)-2-(phenylmethyl)-1-piperazinyl]carbonyl]-1H-pyrrol-1-yl]phenyl]amino]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 59 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:912269 CAPLUS
DOCUMENT NUMBER: 147:277915
TITLE: Preparation of 4-phenylpiperidine-substituted amino acid derivatives, particularly valine amides, as modulators of chemokine receptor activity and their use in the treatment of inflammatory and autoimmune diseases
INVENTOR(S): Carter, Percy H.; Cavallaro, Cullen L.; Duncia, John V.; Gardner, Daniel S.; Hynes, John; Liu, Rui-Qin; Santella, Joseph B.; Dodd, Dharmpal S.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 515pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007092681	A2	20070816	WO 2007-US61012	20070125
WO 2007092681	A3	20090312		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20070208056	A1	20070906	US 2007-625874	20070123
AU 2007212236	A1	20070816	AU 2007-212236	20070125
CA 2651987	A1	20070816	CA 2007-2651987	20070125
IN 2008DN06339	A	20081024	IN 2008-DN6339	20080721
NO 2008003359	A	20081015	NO 2008-3359	20080731
KR 2008095890	A	20081029	KR 2008-720904	20080826

PRIORITY APPLN. INFO.: US 2006-762801P P 20060127
US 2007-625874 A 20070123
WO 2007-US61012 W 20070125

OTHER SOURCE(S): MARPAT 147:277915
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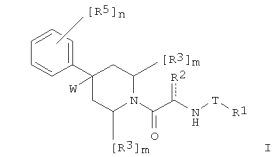
L3 ANSWER 59 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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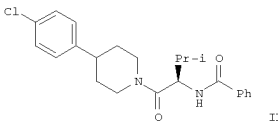


II

AB Title compds. I [T = CO, COO, CONH, CON-alkyl, SO2; R1 = (un)substituted cyclo/alkyl, (hetero)aryl, heterocyclyl; R2 = cycloalkyl/cyclo/alkyl, alkenyl optionally substituted with OH; R3 at each occurrence = alkyl; or any 2 R3's attached to the same C may form a 3-6 membered ring; W = H, F, OH, CN, NH2; R5 = halo, CN, alkoxy; W and one R5 together with the C atoms to which each is attached may form an (un)substituted 3-6 membered O containing ring; m at each occurrence = independently 0-2; n = 1-3; and their stereoisomers, prodrugs and pharmaceutically acceptable salts] were prepared as modulators of CCR-1 and MIP-1, especially MIP-1α receptors. Thus, valine amide II was prepared using N-(tert-butoxycarbonyl)-D-valine, 4-(4-chlorophenyl)piperidine hydrochloride, and benzoic acid. All the invention compds. were evaluated for their chemokine receptor modulatory activity. Methods of treating and preventing inflammatory diseases such as asthma and allergic diseases, as well as autoimmune pathologies such as rheumatoid arthritis and atherosclerosis using said modulators are disclosed.

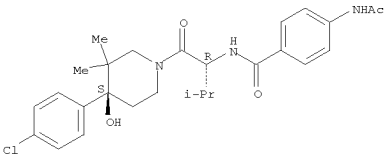
IT 946585-10-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine-substituted amino acid derivs., particularly valine amides, as chemokine receptor modulators)

RN 946585-10-0 CAPLUS

CN Benzamide, 4-(acetylamino)-N-[(1R)-1-[[(4S)-4-(4-chlorophenyl)-4-hydroxy-3,3-dimethyl-1-piperidinyl]carbonyl]-2-methylpropyl]- (CA INDEX NAME)

L3 ANSWER 59 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

Absolute stereochemistry.



L3 ANSWER 60 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

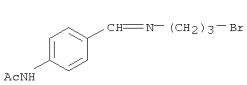
ACCESSION NUMBER: 2007:860728 CAPLUS
DOCUMENT NUMBER: 147:427195
TITLE: Optimization of the Indenone Ring of Indenoisoquinoline Topoisomerase I Inhibitors
AUTHOR(S): Morrell, Andrew; Placzek, Michael; Parmley, Seth; Grella, Brian; Antony, Smitha; Pommier, Yves; Cushman, Mark
CORPORATE SOURCE: Department of Medicinal Chemistry and Molecular Pharmacology, School of Pharmacy and Pharmaceutical Sciences and the Purdue Cancer Center, Purdue University, West Lafayette, IN, 47907, USA
SOURCE: Journal of Medicinal Chemistry (2007), 50(18), 4388-4404
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:427195

AB Two series of indenoisoquinoline topoisomerase I inhibitors have been prepared to investigate optimal substituents on the indenone ring at the 9-position. The more exhaustive series was prepared using a nitrated isoquinoline ring that has been previously demonstrated to enhance biol. activity. After preliminary biol. evaluation, a more focused series of inhibitors was prepared utilizing a 2,3-dimethoxy-substituted isoquinoline ring. The results of the two series indicate the existence of superior functional groups such as methoxy, fluorine, and cyano for the indenoisoquinoline 9-position. Interestingly, these functional groups coincide with established structure-activity relationships for the 11-position of camptothecin.

IT 951405-93-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(optimization of the indenone ring of indenoisoquinoline topoisomerase I inhibitors)

RN 951405-93-9 CAPLUS

CN Acetamide, N-[4-[[(3-bromopropyl)imino]methyl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 61 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:846073 CAPLUS
DOCUMENT NUMBER: 147:235171
TITLE: Preparation of substituted 2-imidazole imidazoline derivatives for the treatment of diseases related to trace amine associated receptors
INVENTOR(S): Galley, Guido; Groebke Zbinden, Katrin; Hoener, Marius; Kolczewski, Sabine; Norcross, Roger; Stalder, Henri
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 152pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007085557	A2	20070802	WO 2007-EP50443	20070117
WO 2007085557	A3	20070920		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2007209381	A1	20070802	AU 2007-209381	20070117
CA 2637312	A1	20070802	CA 2007-2637312	20070117
EP 1981497	A2	20081022	EP 2007-703941	20070117
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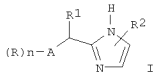
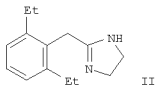
US 20070197621	A1	20070823	US 2007-655468	20070119
MX 2008009465	A	20080804	MX 2008-9465	20080723
KR 2008080410	A	20080903	KR 2008-718347	20080725
CN 101374516	A	20090225	CN 2007-80003485	20080725
IN 2008CN03908	A	20090313	IN 2008-CN3908	20080725
NO 2008003369	A	20081024	NO 2008-3369	20080801
PRIORITY APPLN. INFO.:			EP 2006-100955 A	20060127
			WO 2007-EP50443 W	20070117

OTHER SOURCE(S): MARPAT 147:235171
GI

L3 ANSWER 61 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I



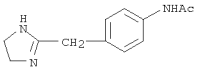
II

AB Title compds. I, wherein A can be an aryl or heteroaryl ring system; R can be H, hydroxy, amino, alkyl, cycloalkyl, halo, cyano, etc.; R1 is H, hydroxy or lower alkyl; R2 is H or lower alkyl are prepared for the treatment of diseases related to trace amine associated receptors. Thus, II was prepared and displayed a Ki of 0.007 μM in a mouse on TAAR1. Further, I can be successfully employed as a prodrug in the treatment of depression, anxiety disorders, bipolar disorder, attention deficit hyperactivity disorder, stress-related disorders, psychotic disorders such as schizophrenia, neurol. diseases such as Parkinson's disease, neurodegenerative disorders such as Alzheimer's disease, epilepsy, migraine, hypertension, substance abuse and metabolic disorders such as eating disorders, diabetes, diabetic complications, obesity, dyslipidemia, disorders of energy consumption and assimilation, disorders and malfunction of body temperature homeostasis, disorders of sleep and circadian rhythm, and cardiovascular disorders.

IT 945541-86-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted 2-imidazole imidazoline derivs. for the treatment of diseases related to trace amine associated receptors)

RN 945541-86-6 CAPLUS

CN Acetamide, N-[4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



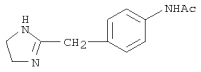
● HCl

IT 945541-87-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted 2-imidazole imidazoline derivs. for the

L3 ANSWER 61 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
treatment of diseases related to trace amine assocd. receptors)

RN 945541-87-7 CAPLUS

CN Acetamide, N-[4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]phenyl]- (CA INDEX NAME)



L3 ANSWER 62 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

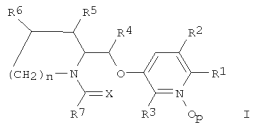
ACCESSION NUMBER: 2007:841382 CAPLUS
DOCUMENT NUMBER: 147:228757
TITLE: Preparation of 3-pyridyl derivatives as insecticides
INVENTOR(S): Puhl, Michael; Pohlman, Matthias; Rack, Michael; Schmidt, Thomas; Breuninger, Delphine; Parra Rapado, Liliana; Oloumi-Sadeghi, Hassan; Culbertson, Deborah L.; Kuhn, David G.; Anspaugh, Douglas D.; Van Tu Cotter, Henry
PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 94pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007085565	A1	20070802	WO 2007-EP50522	20070119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1983830	A1	20081029	EP 2007-712059	20070119
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

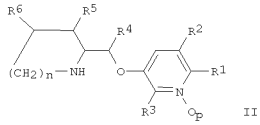
PRIORITY APPLN. INFO.: US 2006-762305P P 20060126
US 2006-867287P P 20061127
US 2006-867637P P 20061129
WO 2007-EP50522 W 20070119

OTHER SOURCE(S): CASREACT 147:228757; MARPAT 147:228757
GI

L3 ANSWER 62 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I



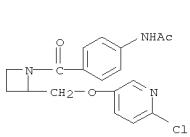
II

AB The 3-pyridyl derivs. I and II [X = O or S; R12, R2 = H, halo, cyano, nitro, (un)substituted heterocyclul, etc.; R3 = H, halo oe alkyl; R4 = H or alkyl; R5, R6 = H, halo, cyano or alkyl; R7 = alkyl, alkenyl, alkynyl, etc.; n, p = 0 or 1] are prepared as insecticides. Optionally, I and II can be mixed with known insecticides to give synergistic mixts.

IT 945015-87-2P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as insecticide)

RN 945015-87-2 CAPLUS

CN Acetamide, N-[4-[[2-[[(6-chloro-3-pyridinyl)oxy]methyl]-1-azetidinyl]carbonyl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 63 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:817421 CAPLUS
DOCUMENT NUMBER: 147:211879
TITLE: 2-Iminobenzimidazoles as CXCR3 inhibitors and their preparation
INVENTOR(S): Roth, Gregory P.; Wallace, Grier A.; George, Dawn M.; Grongsaard, Pintipa; Hayes, Martin; Breinlinger, Eric C.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 164pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

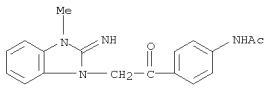
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007084728	A2	20070726	WO 2007-US1548	20070119
WO 2007084728	A3	20080117		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
CA 2637674	A1	20070726	CA 2007-2637674	20070119
US 20070232673	A1	20071004	US 2007-655661	20070119
EP 1983992	A2	20081029	EP 2007-717989	20070119
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BA, HR, MK, RS				
MX 2008009268	A	20080730	MX 2008-9268	20080718
PRIORITY APPLN. INFO.:			US 2006-760199P P	20060119
			WO 2007-US1548 W	20070119

OTHER SOURCE(S): MARPAT 147:211879
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to 2-iminobenzimidazoles of formula I, which are inhibitors of CXCR3 chemokine receptors. In compds. I, R1 is H, halo, CF3, (un)substituted phenethyl, methoxycarbonyl, C1-6 alkyl, C3-6 cycloalkyl, aryl, heteroaryl, heterocyclyl, etc.; R2 is one or more substituents independently selected from H, halo, cyano, CF3,

L3 ANSWER 63 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
OCF3, (un)substituted benzoyl, C1-6 alkoxy, and (un)substituted C1-6 alkyl; L1 is a bond, -C(O)-, (un)substituted C1-6 alkylene, or (un)substituted C2-6 alkenylene; L2 is a bond, -O-, -C(O)-, -N(R6)-, -C(O)-N(R6)-, -N(R6)-C(O)-, -CH2-C(O)-N(R6)-, -N(R6)-C(O)-CH2-, -CH2-N(R6)-C(O)-, -C(O)-N(R6)-CH2-, or (un)substituted C1-3 alkyl, where R6 is H, CHF2, C1-4 alkyl, or C3-6 cycloalkyl; and R3 is H, halo, OH, CF3, carboxy, C1-4 alkoxy, dimethylamino, (un)substituted C1-6 alkyl, (un)substituted C2-6 alkenyl, (un)substituted C3-6 cycloalkyl, -C(O)-OR7, (un)substituted aryl, (un)substituted aryl-C1-4 alkyl, (un)substituted amino, (un)substituted heteroaryl, or (un)substituted heterocyclyl, where R7 is C1-4 alkyl, aryl-C1-4 alkyl, or aryl. Further, in compds. I, L3 is (un)substituted C1-6 alkylene or (un)substituted C2-4 alkenylene; L4 is a bond, -C(O)-, -NH-, C1-4 alkylamino, -C(O)-NH-, -C(O)-N(C1-4 alkyl)-, etc.; R4 is selected from H, -N(R8)2, (un)substituted C1-6 alkyl, (un)substituted C2-6 alkenyl, (un)substituted C3-6 cycloalkyl, (un)substituted heterocyclyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted benzoylheterocyclyl, and (un)substituted benzoylheteroaryl, where R8 is C1-3 alkyl or (un)substituted benzyl; and R5 is H, cyano, (un)substituted aryl, (un)substituted C1-6 alkyl, (un)substituted -C(O)-C1-6 alkoxy, etc.; including prodrugs, biol. active metabolites, and pharmaceutically acceptable salts thereof. The invention also relates to the prepn. of I. Substitution of 1,2-dichloro-3-nitrobenzene with tert-Bu N-(3-aminopropyl)-N-methyl-carbamate followed by redn. and heterocyclization with cyanogen bromide gave aminobenzimidazole II, which was deprotected, amidated with naphthalene-2-carboxylic acid, and alkylated with 2-bromo-1-(4-chlorophenyl)ethanone resulting in the formation of iminobenzimidazole III. The compds. of the invention, e.g., III, are inhibitors of CXCR3 chemokine receptors (no data).
IT RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of iminobenzimidazoles as CXCR3 inhibitors)
RN 945023-78-9 CAPLUS
CN Acetamide, N-[4-[2-(2,3-dihydro-2-imino-3-methyl-1H-benzimidazol-1-yl)acetyl]phenyl]- (CA INDEX NAME)

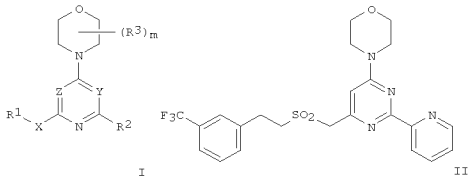


L3 ANSWER 64 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:788628 CAPLUS
DOCUMENT NUMBER: 147:166337
TITLE: Preparation of morpholinopyrimidine derivatives for treatment of proliferative disease
INVENTOR(S): Pike, Kurt Gordon; Finlay, Maurice Raymond Verschoyle; Fillery, Shaun Michael; Dishington, Allan Paul
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007080382	A1	20070719	WO 2007-GB37	20070108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007204208	A1	20070719	AU 2007-204208	20070108
CA 2635997	A1	20070719	CA 2007-2635997	20070108
EP 1979325	A1	20081015	EP 2007-700340	20070108
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				
NO 2008002730	A	20081007	NO 2008-2730	20080619
IN 2008DN05458	A	20090320	IN 2008-DN5458	20080624
CN 101370788	A	20090218	CN 2007-80002166	20080709
MX 2008008945	A	20080722	MX 2008-8945	20080710
KR 2008083188	A	20080916	KR 2008-718260	20080724
PRIORITY APPLN. INFO.:			GB 2006-483 A	20060111
			GB 2006-16747 A	20060824
			WO 2007-GB37 W	20070108

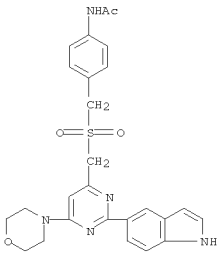
OTHER SOURCE(S): MARPAT 147:166337
GI

L3 ANSWER 64 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



AB The title compds. with general formula I [wherein m = 0-4; X = -C(R4)=C(R5)-, -C(O)N(R4)-, -N(R4)C(O)N(R5)-, -S(O)2N(R4)-, etc., where R4 and R5 = independently H or alkyl; Z and Y = independently N or C(R6), where R6 = H, halo, CN, or alkyl, with the proviso that Y and Z can not simultaneously be N; R1 = alkyl, alkenyl, alkynyl, carbocycle, etc.; R2 = (un)substituted alkyl, carbocycle, or heterocycle; R3 = independently halo, cyano, nitro, etc.] or pharmaceutically acceptable salts, esters, or prodrugs thereof were prepared as mTOR kinase and PI3 kinase inhibitors for the treatment of proliferative disease. For example, compound II was prepared in a multi-step synthesis. II showed inhibitory activities against mTOR kinase and PI3 Kinase in in vitro mTOR kinase assay and in vitro PI3 kinase assay with mean IC50 values of 5.4 μM and 6.8 μM, resp.
IT 944058-48-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of morpholinopyrimidine derivs. for treatment of proliferative disease)
RN 944058-48-4 CAPLUS
CN Acetamide, N-[4-[[[[2-(1H-indol-5-yl)-6-(4-morpholinyl)-4-pyrimidinyl]methyl]sulfonyl]methyl]phenyl]- (CA INDEX NAME)

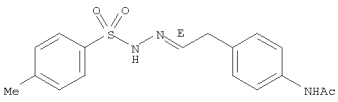
L3 ANSWER 64 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

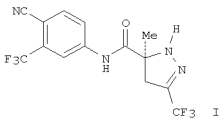
L3 ANSWER 65 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(acetylamino)phenyl]ethylidene]hydrazide (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L3 ANSWER 65 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:775959 CAPLUS
DOCUMENT NUMBER: 147:365426
TITLE: Design, synthesis, and in vivo SAR of a novel series of pyrazolines as potent selective androgen receptor modulators
AUTHOR(S): Zhang, Xuqing; Li, Xiaojie; Allan, George F.; Sbriscia, Tifanie; Linton, Olivia; Lundeen, Scott G.; Sui, Zhihua
CORPORATE SOURCE: Drug Discovery, Johnson & Johnson Pharmaceutical Research and Development, LLC, Exton, PA, 19341, USA
SOURCE: Journal of Medicinal Chemistry (2007), 50(16), 3857-3869
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:365426
GI



I

AB A series of pyrazolines have been designed, synthesized, and evaluated by in vivo screening as tissue-selective androgen receptor modulators (SARMs). Structure-activity relationships (SAR) were investigated at the substitution positions as well as the core pyrazoline ring and the anilide linker. Overall, strong electron-withdrawing groups at the substitution positions were optimal for AR agonist activity. The (S)-isomer of I exhibited more potent AR agonist activity than the corresponding (R)-isomer. (S)-I exhibited an overall partial androgenic effect but full anabolic effect via oral administration in castrated rats. It demonstrated a noticeable antiandrogenic effect on prostate in intact rats with endogenous testosterone. Thus, (S)-I is a tissue-selective nonsteroidal androgen receptor modulator with agonist activity on muscle and mixed agonist and antagonist activity on prostate.

IT 949512-92-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-aryl pyrazolinecarboxamides using N-aryl acrylamides as key intermediates and heterocyclization as key step, and their biol. activity as tissue-selective androgen receptor modulators and SAR)

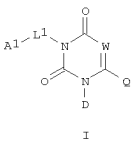
RN 949512-92-9 CAPLUS
CN Benzenesulfonic acid, 4-methyl-, (2E)-2-[2-[4-

L3 ANSWER 66 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:763452 CAPLUS
DOCUMENT NUMBER: 147:166350
TITLE: Preparation of triazine-2,4-dione derivatives as prokineticin 1 receptor antagonists
INVENTOR(S): Coats, Steven J.; Dyatkin, Alexey B.; He, Wei; Lisko, Joseph; Miskowski, Tamara A.; Ralbovsky, Janet L.; Schulz, Mark
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 238pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

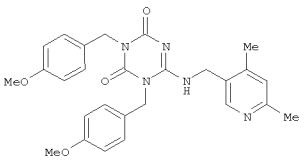
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007079163	A2	20070712	WO 2006-US49460	20061228
WO 2007079163	A3	20070830		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
CA 2635842	A1	20070712	CA 2006-2635842	20061228
EP 1973886	A2	20081001	EP 2006-849064	20061228
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 20080269225	A1	20081030	US 2006-647091	20061228
IN 2008KN03101	A	20090206	IN 2008-KN3101	20080729
PRIORITY APPLN. INFO.:			US 2005-754939P P	20051229
			WO 2006-US49460 W	20061228

OTHER SOURCE(S): MARPAT 147:166350
GI

L3 ANSWER 66 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I



II

AB Title compds. represented by the formula I [wherein A1 = CF3, alkoxy, aryl(oxy), etc.; L1 = -(CH2)m, -CH2alkenyl- or -(CH2)2X(CH2)n-; m = ≥4; n = 1-3; X = O or S; D = Me, alkoxyethyl, -CH2CH=CH-Ph, etc.; W = N or CR; R = H or alkyl; Q = -NH(CH2)2-pyridinyl, -NHCH(R')-pyrimidinyl, -CH2NHCH2-quinolinyl, etc.; R' = H or alkyl; and pharmaceutically acceptable salts thereof] were prepared as prokineticin 1 (PK1) receptor antagonists. For example, II was provided in a multi-step synthesis starting from the reaction of S-Me isothiouronium sulfate with 4-methoxybenzyl isocyanate. I were tested for PK1 antagonistic activity on FLIPR, and other PK1 functional assays were described as well. Thus, I and their pharmaceutical compns. are useful for the treatment of prokineticin 1 or prokineticin 1 receptor mediated disorders.

IT 944114-87-8P

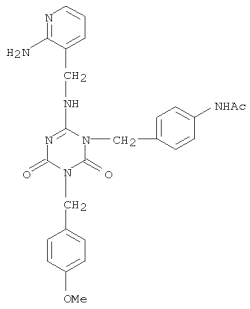
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1,3,5-triazine-2,4-dione derivs. as prokineticin 1 receptor antagonists)

RN 944114-87-8 CAPLUS

CN Acetamide, N-[4-[[6-[[(2-amino-3-pyridinyl)methyl]amino]-3,4-dihydro-3-[(4-methoxyphenyl)methyl]-2,4-dioxo-1,3,5-triazin-1(2H)-yl]methyl]phenyl]- (CA INDEX NAME)

L3 ANSWER 66 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



L3 ANSWER 67 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:731161 CAPLUS

DOCUMENT NUMBER: 147:143472

TITLE: Preparation of amide inhibitors of leukotriene A4 hydrolase for treating inflammatory disorders

INVENTOR(S): Chen, Ming; Claret, Emmanuel; Cleve, Arwed; Davey, David; Guilford, William; Khim, Seock-Kyu; Kirkland, Thomas; Kochanny, Monica J.; Liang, Amy; Light, David; Parkinson, John; Vogel, David; Wei, Guo Ping; Ye, Bin; Ye, Hong

PATENT ASSIGNEE(S): Schering Aktiengessellschaft, Germany

SOURCE: U.S. Pat. Appl. Publ., 107pp. CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070155727	A1	20070705	US 2006-644822	20061222
WO 2007079003	A2	20070712	WO 2006-US48849	20061222

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

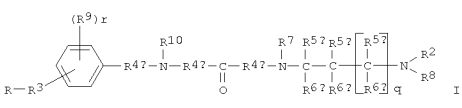
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-755732P P 20051229

US 2006-835489P P 20060804

OTHER SOURCE(S): MARPAT 147:143472

GI



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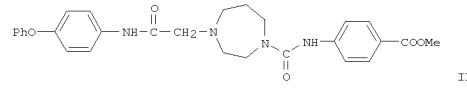


II

L3 ANSWER 67 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AB This invention is directed to compds. of formula (I) (where r = 0-4; q = 0-2; R = (un)substituted benzyl, (un)substituted heteroaryl, etc.; R2 is H, alkyl, haloalkyl, etc., or forms part of a heterocyclic ring; R3 is a direct bond, -O-, (un)substituted straight or branched alkylene chain, etc.; R4a, R4b and R4c are independently a direct bond, (un)substituted straight or branched alkylene chain, etc.; each R5a, R5b, R5c, R6a, R6b and R6c is independently H, alkyl, haloalkyl, etc., or in some cases form part of a cycloalkyl ring; R7 is H, alkyl, haloalkyl, etc., or forms part of a heterocyclic ring; R8 is H, alkyl, haloalkyl, haloalkenyl, (un)substituted aralkyl; each R9 is independently alkyl, hydroxyalkyl, halo, aryl, etc.; each R10 is independently H, alkyl, haloalkyl, etc.) are described herein, or pharmaceutically acceptable salts, solvates, polymorphs, ammonium ions, N-oxides or prodrugs thereof; which are leukotriene A4 hydrolase inhibitors and which are therefore useful in treating inflammatory disorders. Pharmaceutical compns. comprising the compds. of the invention and methods of using and preparing the compds. of the invention are also disclosed. Example compound II was prepared by reacting hexahydro-N-(4-phenoxyphenyl)-1H-1,4-diazepine-1-acetamide with Me 4-isocyanatobenzoate. Compds. of the invention, when tested in the LTA4 hydrolase homogeneous time resolved fluorescence assay, demonstrated the ability to inhibit LTA4 hydrolase activity at IC50 values of less than 100 μM, preferably at less than 1 μM.

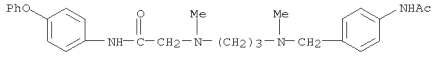
IT 943786-73-0P, 2-[[3-[[[4-(Acetylamino)phenyl]methyl]methylamino]propyl](methyl)amino]-N-(4-phenoxyphenyl)acetamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; amide inhibitors of leukotriene A4 hydrolase for treating inflammatory disorders and other diseases)

RN 943786-73-0 CAPLUS

CN Acetamide, 2-[[3-[[[4-(acetylamino)phenyl]methyl]methylamino]propyl]methyl amino]-N-(4-phenoxyphenyl)- (CA INDEX NAME)



L3 ANSWER 68 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:728814 CAPLUS
DOCUMENT NUMBER: 147:143454
TITLE: Preparation of naphthyridines and pyridopyrimidines as
antiviral compounds for treatment of HCV infections
INVENTOR(S): Betebenner, David A.; Degoey, David A.; Maring, Clarence J.; Krueger, Allan C.; Iwasaki, Nobuhiko; Rockway, Todd W.; Cooper, Curt S.; Anderson, David D.;
Donner, Pamela L.; Green, Brian E.; Kempf, Dale J.; Liu, Dachun; McDaniel, Keith F.; Madigan, Darold L.; Motter, Christopher E.; Pratt, John K.; Shanley, Jason
P.; Tufano, Michael D.; Wagner, Rolf; Zhang, Rong; Molla, Akhteruzzaman; Mo, Hongmei; Pilot-Matias, Tami J.; Masse, Sherie V. L.; Carrick, Robert J.; He, Weping; Lu, Liangjun; Grampovnik, David J.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 394pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007076034	A2	20070705	WO 2006-US49079	20061220
WO 2007076034	A3	20071004		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006330924	A1	20070705	AU 2006-330924	20061220
CA 2633757	A1	20070705	CA 2006-2633757	20061220
US 20070232627	A1	20071004	US 2006-613810	20061220
EP 1979348	A2	20081015	EP 2006-848055	20061220
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
MX 2008008162	A	20080828	MX 2008-8162	20080620
IN 2008DN05528	A	20080926	IN 2008-DN5528	20080625
KR 2008080395	A	20080903	KR 2008-717660	20080718
CN 101384591	A	20090311	CN 2006-80053196	20080821

PRIORITY APPLN. INFO.: US 2005-752473P P 20051221
US 2006-613810 A 20061220

L3 ANSWER 68 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
WO 2006-US49079 W 20061220

OTHER SOURCE(S): MARPAT 147:143454
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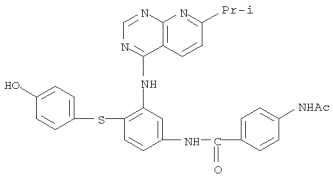

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CRN 76-05-1
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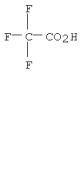

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention is related to the preparation of naphthyridines I [W1-W4 = independently N, CR33; R10, R17, R33, R35 = independently H, halo, alkyl, heterocyclyl, NO2, CO2H, etc.; Z = a bond, CR41R41', NR41; R41, R41' = independently H, alk(en/yn)yl; A = (un)substituted carbocyclyl, heterocyclyl; X = a bond, O, S, NHCO and derivs., SO, SO2, etc.; R22 = H, (un)substituted carbocyclyl, heterocyclyl, alk(en/yn)yl; Y = a bond, O, CO, COO, S, NH and derivs., etc.; R50 = L1-A1; A1 = (un)substituted carbocyclyl, heterocyclyl, alk(en/yn)yl; L1 = a bond, (un)substituted alk(en/yn)ylene], their tautomers, and pharmaceutically acceptable salts, as inhibitors of hepatitis C virus (HCV) replication and other viruses. The invention is also related to compns. comprising such compds., co-formulation or co-administration of such compds. with other anti-viral or therapeutic agents, and methods of using such compds. for the treatment
of HCV or other viral infections. Thus, pyridopyrimidine salt II•xTFA was prepared, in 9 steps, from Me iso-Pr ketone via dimethylformamidine III
intermediate. I inhibited HCV replicon replication with IC50 values in the range of from about 0.3 nM to about 100 μM.
IT 943777-71-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of naphthyridines and pyridopyrimidines as
antiviral compds. for treatment of HCV infections)
RN 943777-71-7 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[4-[(4-hydroxyphenyl)thio]-3-[[7-(1-methylethyl)pyrido[2,3-d]pyrimidin-4-yl]amino]phenyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 943777-70-6
CMF C31 H28 N6 O3 S

L3 ANSWER 69 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:728813 CAPLUS
DOCUMENT NUMBER: 147:143402
TITLE: Preparation of 1,6- and 1,8-naphthyridines as antiviral compounds for treatment of HCV infections
INVENTOR(S): Rockway, Todd W.; Betebenner, David A.; Krueger, Allan
C.; Iwasaki, Nobuhiko; Cooper, Curt S.; Anderson, David D.; Kempf, Dale J.; Madigan, Darold L.; Motter, Christopher E.; Shanley, Jason P.; Tufano, Michael D.;
Wagner, Rolf; Zhang, Rong; Molla, Akhteruzzaman; Mo, Hongmei; Pilot-Matias, Tami; Masse, Sherie V. L.; Carrick, Robert J.; He, Weping; Lu, Liangjun
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 211pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007076035	A2	20070705	WO 2006-US49080	20061220
WO 2007076035	A3	20071004		
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
CA 2633760	A1	20070705	CA 2006-2633760	20061220
US 20070232645	A1	20071004	US 2006-613836	20061220
EP 1979349	A2	20081015	EP 2006-848056	20061220
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
MX 2008008164	A	20080829	MX 2008-8164	20080620
IN 2008DN05472	A	20081024	IN 2008-DN5472	20080624
CN 101384592	A	20090311	CN 2006-80053207	20080821

PRIORITY APPLN. INFO.: US 2005-752473P P 20051221
US 2006-613836 A 20061220
WO 2006-US49080 W 20061220

OTHER SOURCE(S): MARPAT 147:143402
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

L3 ANSWER 69 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AB The invention is related to the preparation of naphthyridines I and II [Z = NR41; A = (un)substituted carbocyclyl, heterocyclyl; R10, R17, R31, R33, R35, R41 = independently H, halo, alkyl, heterocyclyl, NH2, CN, etc.; X = a bond, S, O, CONH, OCONH, etc.; R22 = H, (un)substituted carbocyclyl, heterocyclyl, carbocyclylalkyl, heterocyclylalkyl, alk(en/yn)yl; Y = a bond, OSO2, NHCOO, etc.; R50 = L1-A1; A1 = (un)substituted carbocyclyl, heterocyclyl, alk(en/yn)yl; L1 = a bond, (un)substituted alk(en/yn)ylene] as inhibitors of hepatitis C virus (HCV) replication and other viruses. The invention is also related to compns. comprising such compds., co-formulation or co-administration of such compds. with other anti-viral or therapeutic agents, and methods of using such compds. for the treatment of HCV or other viral infections. Thus, naphthyridine III•xHCl was prepared, in 7 steps, from 2-methyl-5-aminopyridine via di-Et malonate IV. Naphthyridines I inhibited HCV replicon replication with IC50 values in the range of from about 30 nM to about 100 μM.

IT 943617-13-8P 943619-79-2P, N-[4-[[3-Chloro-5-[(7-methyl-[1,8]naphthyridin-4-yl)amino]phenoxy]methyl]phenyl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of naphthyridines as inhibitors of hepatitis C virus replication for treating HCV infections)

RN 943617-13-8 CAPLUS

CN Acetamide, N-[4-[[4-methyl-2-[(7-methyl-1,8-naphthyridin-4-yl)amino]phenoxy]methyl]phenyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 943617-12-7
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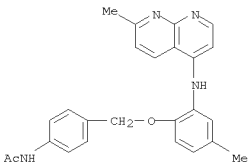
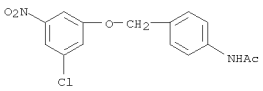


CM 2

L3 ANSWER 69 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

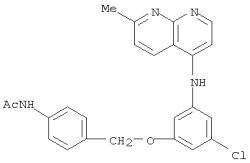


L3 ANSWER 69 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CRN 76-05-1
CMF C2 H F3 O2

RN 943619-79-2 CAPLUS

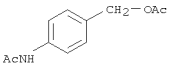
CN Acetamide, N-[4-[[3-chloro-5-[(7-methyl-1,8-naphthyridin-4-yl)amino]phenoxy]methyl]phenyl]- (CA INDEX NAME)



IT 943619-80-5P, Acetic acid 4-acetylaminobenzyl ester 943619-81-6P, N-[4-[(3-Chloro-5-nitrophenoxy)methyl]phenyl]acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of naphthyridines as inhibitors of hepatitis C virus replication for treating HCV infections)

RN 943619-80-5 CAPLUS

CN Acetamide, N-[4-[(acetyloxy)methyl]phenyl]- (CA INDEX NAME)



RN 943619-81-6 CAPLUS

CN Acetamide, N-[4-[(3-chloro-5-nitrophenoxy)methyl]phenyl]- (CA INDEX NAME)

L3 ANSWER 70 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:724457 CAPLUS
DOCUMENT NUMBER: 147:143449
TITLE: Preparation of triazolopyridazines as tyrosine kinase modulators
INVENTOR(S): Lu, Tianbao; Alexander, Richard; Connors, Richard W.; Cummings, Maxwell D.; Galemmo, Robert A.; Hufnagel, Heather Rae; Johnson, Dana L.; Khalil, Ehab; Leonard, Kristi A.; Markotan, Thomas P.; Maroney, Anna C.; Sechler, Jan L.; Travins, Jeremy M.; Tuman, Robert W.
PATENT ASSIGNEE(S): Janssen Pharmaceutica, N. V., Belg.
SOURCE: PCT Int. Appl., 220pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007075567	A1	20070705	WO 2006-US48241	20061218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
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AU 2006331912	A1	20070705	AU 2006-331912	20061218
CA 2634721	A1	20070705	CA 2006-2634721	20061218
US 20070203136	A1	20070830	US 2006-612020	20061218
EP 1966214	A1	20080910	EP 2006-847749	20061218
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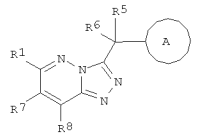
IN 2008KN02675	A	20090123	IN 2008-KN2675	20080702
NO 2008003013	A	20080919	NO 2008-3013	20080703
KR 2008085154	A	20080923	KR 2008-716333	20080704
CN 101374843	A	20090225	CN 2006-80052966	20080818
PRIORITY APPLN. INFO.:			US 2005-752634P P	20051221
			WO 2006-US48241 W	20061218

OTHER SOURCE(S): MARPAT 147:143449
GI

L3 ANSWER 70 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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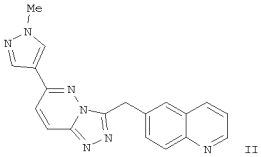


II

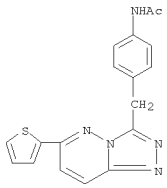
AB Title compds. I [R1 = mono or bicyclic heteroaryl, or pyridin-2-on-yl (wherein said heteroaryl is optionally substituted with Ra); Ra = -NH2, halo, alkoxy, etc.; A = Ph, mono or bicyclic heteroaryl, 3-(4-methoxybenzyl)-3H-quinazolin-4-on-6-yl, etc. (wherein said Ph, heteroaryl or benzo-fused heterocyclyl are optionally substituted with -OH, alkyl, Ph, etc.); R5, R6 = H, F, alkyl, etc.; R7, R8 = H, halo, alkyl] and N-oxides, prodrugs, pharmaceutically acceptable salts, solvates, and stereochem. isomers thereof were prepared For example, Pd(PPh3)4 catalyzed coupling reaction of 3,6-dichloropyridazine with 1-methyl-4-(4,4,5,5-tetramethyl-[1,3,2]dioxaborolan-2-yl)-1H-pyrazole followed by treatment with quinolin-6-ylacetic acid hydrazide afforded compound II. In cell based ELISA assay for c-Met phosphorylation, compound II showed the IC50 value of 0.014 μM. Compds. I are claimed useful for the treatment of cancers and other cell proliferative disorders.

IT 943540-43-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of triazolopyridazines as tyrosine kinase modulators)

RN 943540-43-0 CAPLUS

CN Acetamide, N-[4-[[6-(2-thienyl)-1,2,4-triazolo[4,3-b]pyridazin-3-yl]methyl]phenyl]- (CA INDEX NAME)

L3 ANSWER 70 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 71 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:705111 CAPLUS

DOCUMENT NUMBER: 147:143660

TITLE: Preparation of 3-chloro-4-isopropoxybenzamide and 3-cyano-4-isopropoxybenzamide derivatives as inhibitors of mitotic kinesins

INVENTOR(S): Qian, Xiangping; Ashcraft, Luke W.; Wang, Jianchao; Yao, Bing; Jiang, Hong; Bergnes, Gustave; Morgan, Bradley P.; Morgans, David J.; Dhanak, Dashyant; Knight, Steven D.; Adams, Nicholas D.; Parrish, Cynthia A.; Duffy, Kevin J.; Fitch, Duke; Tedesco, Rosanna

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 171pp., Cont.-in-part of U.S. Ser. No. 271,147.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

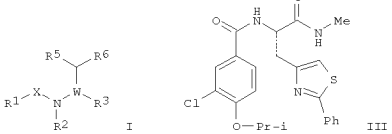
FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070149516	A1	20070628	US 2006-598250	20061108
US 20060247289	A1	20061102	US 2005-271147	20051109
US 7504413	B2	20090317		
PRIORITY APPLN. INFO.:			US 2005-271147	A2 20051109
			US 2004-569510P	P 20040506
			US 2005-121709	A2 20050503
			US 2005-124608	A2 20050506

OTHER SOURCE(S): MARPAT 147:143660

GI



I III

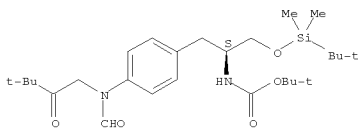
AB The title compds. [I; R1 = 3-halo-4-((R)-1,1,1-trifluoropropan-2-yloxy)phenyl, 3-cyano-4-((R)-1,1,1-trifluoropropan-2-yloxy)phenyl, 3-halo-4-isopropylaminophenyl, 3-cyano-4-isopropylaminophenyl, 3-halo-4-((R)-1,1,1-trifluoropropan-2-ylamino)phenyl, 3-cyano-4-((R)-1,1,1-trifluoropropan-2-ylamino)phenyl; X = CO, SO2; R2 = H, (un)substituted lower alkyl; W = CR4, CH2CR4, N; R3 = COR7, H, each (un)substituted substituted alkyl, heterocycloalkyl, heteroaryl, or aryl, cyano, sulfonyl; R4 = H, (un)substituted alkyl; R5 = H, HO, each (un)substituted amino, cycloalkyl, heterocycloalkyl, heteroaryl, or lower alkyl; R6 = H, CONH2, (un)substituted alkyl, alkoxy, aryloxy, heteroaryloxy, alkoxycarbonyl, aryl, heteroaryl, cycloalkyl, or heterocycloalkyl; R7 = HO, each (un)substituted lower alkyl, aryl, amino, aralkoxy, or alkoxy; provided that if W is N, then R5 is not hydroxy or (un)substituted amino, and R6 is not optionally substituted alkoxy, optionally substituted aralkoxy, optionally substituted heteroaralkoxy, or optionally substituted amino] are prepd. (1R)-1-(methoxycarbonylamino)-1-[4-[4-[(2S)-2-[[[4-(((1R)-2,2,2-trifluoroisopropyl)oxy)-3-chlorophenyl]carbonyl]amino]-4-hydroxybutyl]phenyl]-1-ethylimidazol-2-yl]ethane. These compds. including N-benzoyl-amino alcs., N-benzoyl-amino acid amide, N-benzoylsemicarbazide, and N-benzoyl-diamine derivs. are inhibitors of one or more mitotic kinesins and are useful in the treatment of cellular proliferative diseases, for example cancer, hyperplasias, restenosis, cardiac hypertrophy, immune disorders, fungal disorders, and inflammation by modulating the activity of one or more mitotic kinesins. Thus, cyclocondensation of (2S)-2-(tert-butoxycarbonylamino)-5-bromo-4-oxopentanoic acid Me ester with thiobenzamide in the presence of diisopropylethylamine in methanol under refluxing for 24 h gave (2S)-2-(tert-butoxycarbonylamino)-3-(2-phenylthiazol-4-yl)propanoic acid which was treated with CF3CO2H in CH2Cl2 at room temp. for 10 min to give (2S)-2-amino-3-(2-phenylthiazol-4-yl)propanoic acid (II). II was condensed with 3-chloro-4-isopropoxybenzoic acid pentafluorophenyl ester in the presence of diisopropylethylamine in DMF at room temp. to give (2S)-N-methyl-2-[(3-chloro-4-isopropoxybenzoyl)amino]-3-(2-phenylthiazol-4-yl)propanamide (III). Many of the compds. I showed GI50 (50% growth inhibition concn.) of ≤10 μM against human ovarian tumor cells Skov-3.

IT 943297-04-9P, [[(3S)-2-(tert-Butoxycarbonylamino)-3-[4-[N-(3,3-dimethyl-2-oxobutyl)formylamino]phenyl]propyl]oxy](tert-butyl)dimethylsilane
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-benzoyl amino alcs., N-benzoyl-amino acid, and N-benzoylsemicarbazide derivs. as inhibitors of mitotic kinesins)

RN 943297-04-9 CAPLUS

CN Carbamic acid, N-[(1S)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-[[4-[(3,3-dimethyl-2-oxobutyl)formylamino]phenyl]methyl]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 71 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L3 ANSWER 72 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:671779 CAPLUS
DOCUMENT NUMBER: 147:95660
TITLE: Substituted pyrazolo[4,3-c]pyridine derivatives as tyrosine kinase inhibitors, particularly IGF-1R inhibitors, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Bandiera, Tiziano; Lombardi Borgia, Andrea; Polucci, Paolo; Villa, Manuela; Nesi, Marcella; Angiolini, Mauro; Varasi, Mario
PATENT ASSIGNEE(S): Nerviano Medical Sciences S.r.l., Italy
SOURCE: PCT Int. Appl., 238pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007068619	A1	20070621	WO 2006-EP69285	20061206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006326134	A1	20070621	AU 2006-326134	20061206
CA 2631853	A1	20070621	CA 2006-2631853	20061206
EP 1968976	A1	20080917	EP 2006-841281	20061206
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 20090023745	A1	20090122	US 2008-96979	20080617

PRIORITY APPLN. INFO.: EP 2005-111959 A 20051212
WO 2006-EP69285 W 20061206

OTHER SOURCE(S): MARPAT 147:95660
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

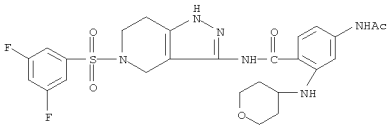
AB The invention is related to the preparation of substituted pyrrolo[3,4-c]pyrazole derivs. I [R = (un)substituted heterocyclo/cyclo/alkyl, aryl; R1 = H, halo, NO2, NH2 and derivs.,(un)substituted alkyl, etc.; A, B, D and E = N, CH, CR2, CR3, with

L3 ANSWER 72 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
a max. of 2 of A, B, D and E = N, CR2, CR3; R2, R3 = independently halo, CF3, NO2, OH and derivs., NH2 and derivs., etc.; Ra, Rb = independently H,

Me; with the proviso that when Ra = Rb = R1 = H, then at least one of A, B, D and E = N], their isomers, tautomers, carriers, metabolites, prodrugs, and pharmaceutically acceptable salts as inhibitors of tyrosine kinases, particularly insulin-like growth factor 1 receptor (IGF-1R). E.g., a multi-step synthesis starting from 4-fluoro-2-nitrobenzoic acid was given for pyrazolopyridine II. Pyrazolopyridine II displayed IC50 values of 0.049 μM and 0.08 μM for IGF-1R inhibition in a biochem. assay and a cell-based assay, resp. I are useful for the treatment of diseases caused by dysregulated protein kinase activity, such as cancer. Pharmaceutical compns. contg. I are disclosed.

IT 942470-95-3P, 4-Acetylamino-N-[5-(3,5-difluorophenylsulfonyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-[(tetrahydropyran-4-yl)amino]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrazolopyridine derivs. as tyrosine kinase inhibitors for treating cancer)

RN 942470-95-3 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[5-[(3,5-difluorophenyl)sulfonyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)



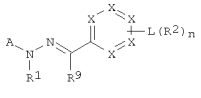
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 73 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:644097 CAPLUS
DOCUMENT NUMBER: 147:72786
TITLE: Preparation of (fused) pyrimidylhydrazones as antiproliferatives.
INVENTOR(S): Healey, Brian; Zhao, Zhong; Sutton, Amanda; Schwarz, Matthias
PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N. V., Neth. Antilles
SOURCE: PCT Int. Appl., 99pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007065940	A1	20070614	WO 2006-EP69460	20061208
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006323944	A1	20070614	AU 2006-323944	20061208
CA 2631291	A1	20070614	CA 2006-2631291	20061208
EP 1957469	A1	20080820	EP 2006-830464	20061208
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
US 20080287474	A1	20081120	US 2008-96110	20080604

PRIORITY APPLN. INFO.: US 2005-748575P P 20051208
EP 2006-111071 A 20060314
WO 2006-EP69460 W 20061208

OTHER SOURCE(S): MARPAT 147:72786
GI



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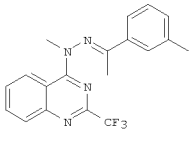


II

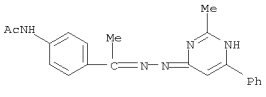
L3 ANSWER 73 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AB Title compds. [I; A = (substituted) pyridyl, (fused) pyrimidinyl; R1, R6, R9 = H, alkyl; R2 = H, halo, cyano, acyl, alkyl, alkenyl, alkynyl, sulfonylamine, haloalkyl, alkoxy, OH, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc.; n = 1-5; X = N, CR6, NR6; L = bond, NR6], were prepared Thus, title compound (II) (prepared in 4 steps from anthranilamide, Et trifluoroacetate, methylhydrazine, and 3'-methylacetophenone) inhibited C26 colon cancer cell proliferation with IC50 <1 μM.

IT 941317-58-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of (fused) pyrimidylhydrazones as antiproliferatives)

RN 941317-58-4 CAPLUS

CN Acetamide, N-[4-[1-[2-(2-methyl-6-phenyl-4-pyrimidinyl)hydrazinylidene]ethyl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 74 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:644089 CAPLUS
DOCUMENT NUMBER: 147:72756
TITLE: Benzimidazole derivatives as GABAA receptor complex modulators, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Larsen, Janus S.; Teuber, Lene; Ahring, Philip K.; Nielsen, Elsebet Oestergaard; Mirza, Naheed
PATENT ASSIGNEE(S): Neurosearch A/S, Den.
SOURCE: PCT Int. Appl., 46pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007065864	A1	20070614	WO 2006-EP69237	20061204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006324046	A1	20070614	AU 2006-324046	20061204
CA 2632395	A1	20070614	CA 2006-2632395	20061204
EP 1996556	A1	20081203	EP 2006-830303	20061204
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101291915	A	20081022	CN 2006-80039411	20080422
MX 2008006761	A	20080604	MX 2008-6761	20080526
US 20090048321	A1	20090219	US 2008-85769	20080530
IN 2008CN02770	A	20090306	IN 2008-CN2770	20080603
KR 2008077620	A	20080825	KR 2008-713766	20080605
NO 2008003045	A	20080904	NO 2008-3045	20080704

PRIORITY APPLN. INFO.: DK 2005-1719 A 20051205
US 2005-742535P P 20051206
DK 2006-1326 A 20061012
US 2006-851291P P 20061013
WO 2006-EP69237 W 20061204

OTHER SOURCE(S): MARPAT 147:72756
GI

L3 ANSWER 74 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

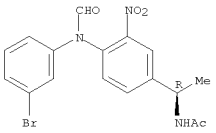
AB The invention relates to benzimidazole derivs. of formula I, which are modulators of the GABAA receptor complex. In compds. I, R1, R2, and R3 are independently selected from H, OH, alkyl, cycloalkyl, cycloalkyl-alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkyl, formyl, alkylcarbonyl, or alkoxyalkylcarbonyl; and R4 is (un)substituted aryl; including N-oxides, isomers, and pharmaceutically acceptable salts thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of a compound I together with at least one pharmaceutically acceptable carrier, excipient, or diluent, as well as to the use of the compns. for the treatment of central nervous system diseases and disorders, particularly for combating anxiety and related diseases. Acetylation of (R)-1-(4-fluorophenyl)ethylamine followed by nitration, substitution with N-formyl-3-bromoaniline, and hydrogenation gave diamine II, which was cyclized with tri-Et orthoformate and coupled with 2-cyanophenylboronic acid derivative to give benzimidazole III. The compds. of the invention are modulators of GABA receptor complex, e.g., compound III expressed IC50 value of 3.7 nM in a binding assay for GABA receptor complex.

IT 941581-29-9P
RL: BYP (Byproduct); PREP (Preparation)
(byproduct; preparation of benzimidazole derivs. as GABAA receptor complex modulators)

RN 941581-29-9 CAPLUS

CN Acetamide, N-[(1R)-1-[4-[(3-bromophenyl)formylamino]-3-nitrophenyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 75 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

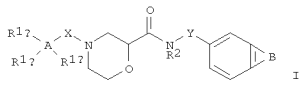
ACCESSION NUMBER: 2007:642442 CAPLUS
DOCUMENT NUMBER: 147:72771
TITLE: Preparation of morpholinecarboxamides as prokineticin 2 receptor antagonists
INVENTOR(S): Thompson, Wayne J.; Melamed, Jeffrey Y.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 100pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007067511	A2	20070614	WO 2006-US46330	20061204
WO 2007067511	A3	20080110		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006322067	A1	20070614	AU 2006-322067	20061204
CA 2630517	A1	20070614	CA 2006-2630517	20061204
EP 1959959	A2	20080827	EP 2006-838978	20061204
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

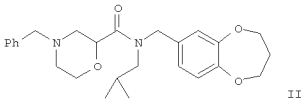
PRIORITY APPLN. INFO.: US 2005-742770P P 20051206
US 2006-830242P P 20060712
US 2006-856984P P 20061106
WO 2006-US46330 W 20061204

OTHER SOURCE(S): MARPAT 147:72771
GI

L3 ANSWER 75 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I



II

AB Title compds. [I; A = Ph, naphthyl, heteroaryl; B = atoms to form (substituted) dioxanyl, pyranyl, cyclohexyl, Ph, pyridyl, etc.; X, Y = (substituted) alkylene; R1a, R1b, R1c = null, H, halo, OH, CO2H, cyano, NO2, (substituted) alkyl, alkoxy, alkoxycarbonyl, Ph, PhO, PhO2C, etc.; R2 = H, (substituted) alkyl, cycloalkyl, Ph], were prepared Thus, title compound (II) was prepared in 3 steps from 1,3-dibromopropane, 3,5-dihydroxybenzaldehyde, isobutylamine, and 4-benzylmorpholine-2-carboxylic acid hydrochloride. I generally showed prokineticin 2 receptor antagonism with IC50 <10 μM.

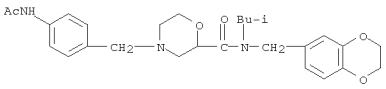
IT 941707-64-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of morpholinecarboxamides as prokineticin 2 receptor antagonists)

RN 941707-64-8 CAPLUS

CN 2-Morpholinecarboxamide, 4-[[4-(acetylamino)phenyl]methyl]-N-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-N-(2-methylpropyl)- (CA INDEX NAME)



L3 ANSWER 76 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:640321 CAPLUS

DOCUMENT NUMBER: 147:46155

TITLE: Drugs containing aminocyanopyrimidine derivatives having adenosine A2A receptor agonistic effects

INVENTOR(S): Kato, Masaya; Sato, Norisuke; Okada, Minoru; Uno, Tetsuyuki; Ito, Nobuaki; Takeji, Yasuhiro; Shinohara, Hisashi; Fuwa, Masahiro

PATENT ASSIGNEE(S): Ohtsuka Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 292pp. CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

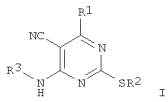
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007145828	A	20070614	JP 2006-293353	20061027
PRIORITY APPLN. INFO.:			JP 2005-315444 A	20051028

OTHER SOURCE(S): MARPAT 147:46155

GI



I

AB The invention provide drugs having adenosine A2A receptor agonistic effects, suitable for use in treatment of eye disease, e.g. glaucoma, wherein the drugs contain compds. represented by a formula I (R1 = (un)substituted aryl, heterocyclic; R2 = C3-6 alkyl, lower alkenyl, etc.; R3 = H, lower alkyl, acyl) or their salts as active components. For example, N-[4-[6-amino-5-cyano-2-(6-methylpyridin-2-ylmethylsulfanyl)pyrimidin-4-yl]phenyl]acetamide was prepared, and examined for its effect on adenosine A2A receptor in vitro, and intraocular pressure in rabbits.

IT 939777-50-1P 939778-96-8P 939779-68-7P 939780-47-9P 939781-92-7P 939782-87-3P 939783-77-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

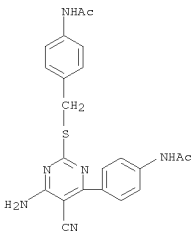
(drugs containing aminocyanopyrimidine derivs. having adenosine A2A receptor agonistic effects)

RN 939777-50-1 CAPLUS

CN Acetamide, N-[4-[[[4-[4-(acetylamino)phenyl]-6-amino-5-cyano-2-

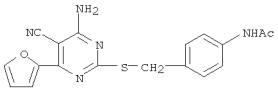
L3 ANSWER 76 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

pyrimidinyl]thio]methyl]phenyl]- (CA INDEX NAME)



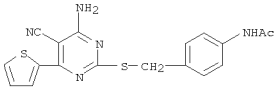
RN 939778-96-8 CAPLUS

CN Acetamide, N-[4-[[[4-amino-5-cyano-6-(2-furanyl)-2-pyrimidinyl]thio]methyl]phenyl]- (CA INDEX NAME)



RN 939779-68-7 CAPLUS

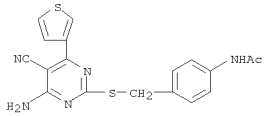
CN Acetamide, N-[4-[[[4-amino-5-cyano-6-(2-thienyl)-2-pyrimidinyl]thio]methyl]phenyl]- (CA INDEX NAME)



RN 939780-47-9 CAPLUS

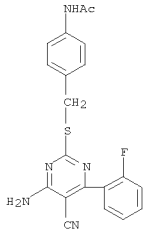
CN Acetamide, N-[4-[[[4-amino-5-cyano-6-(3-thienyl)-2-pyrimidinyl]thio]methyl]phenyl]- (CA INDEX NAME)

L3 ANSWER 76 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



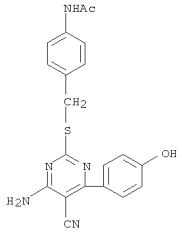
RN 939781-92-7 CAPLUS

CN Acetamide, N-[4-[[[4-amino-5-cyano-6-(2-fluorophenyl)-2-pyrimidinyl]thio]methyl]phenyl]- (CA INDEX NAME)



RN 939782-87-3 CAPLUS

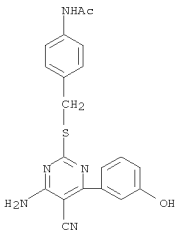
CN Acetamide, N-[4-[[[4-amino-5-cyano-6-(4-hydroxyphenyl)-2-pyrimidinyl]thio]methyl]phenyl]- (CA INDEX NAME)



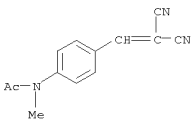
RN 939783-77-4 CAPLUS

CN Acetamide, N-[4-[[[4-amino-5-cyano-6-(3-hydroxyphenyl)-2-

L3 ANSWER 76 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
pyrimidinyl]thio]methyl]phenyl]- (CA INDEX NAME)



IT 939787-94-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of drugs containing aminocyanopyrimidine derivs. having adenosine
A2A receptor agonistic effects)
RN 939787-94-7 CAPLUS
CN Acetamide, N-[4-(2,2-dicyanoethenyl)phenyl]-N-methyl- (CA INDEX NAME)



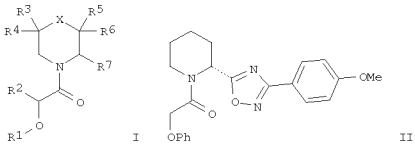
L3 ANSWER 77 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:619478 CAPLUS
DOCUMENT NUMBER: 147:52814
TITLE: Heteroaryl substituted piperidine derivatives as L-CPT1 inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Ackermann, Jean; Bleicher, Konrad; Ceccarelli Grenz, Simona M.; Chomienne, Odile; Mattei, Patrizio; Schulz-Gasch, Tanja
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 179pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007063012	A1	20070607	WO 2006-EP68745	20061122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006319247	A1	20070607	AU 2006-319247	20061122
CA 2630460	A1	20070607	CA 2006-2630460	20061122
EP 1959951	A1	20080827	EP 2006-819660	20061122
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 20070129544	A1	20070607	US 2006-605904	20061129
MX 2008006776	A	20080602	MX 2008-6776	20080526
NO 2008002388	A	20080826	NO 2008-2388	20080526
CN 101321525	A	20081210	CN 2006-80045344	20080602
IN 2008DN04829	A	20080815	IN 2008-DN4829	20080605
KR 2008072097	A	20080805	KR 2008-715998	20080630

PRIORITY APPLN. INFO.: EP 2005-111560 A 20051201
WO 2006-EP68745 W 20061122

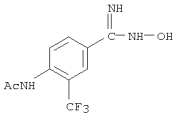
OTHER SOURCE(S): MARPAT 147:52814
GI

L3 ANSWER 77 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I II

AB The invention is concerned with substituted piperidine derivs. of formula I as well as physiol. acceptable salts and esters thereof. Compds. of formula I wherein X is (un)substituted CH2, NH and derivs., O,S, SO and SO2; R1 is (un)substituted phenyl; R2 is H and lower alkyl; R3, R4, R5 and
R6 are independently H, halo, lower alkyl and lower alkoxy; R3R4 and R5R6 may independently be taken together to form a =O; R7 is (un)substituted oxadiazolyl and (un)substituted triazolyl; and their pharmaceutically acceptable salts and esters thereof, are claimed. These compds. inhibit L- CPT1 and can be used as medicaments. Example compound II was prepared by a
multistep procedure (procedure given). All the invention compds. were evaluated for their L-CPT1 inhibitory activity.
IT 939999-40-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of heteroaryl substituted piperidine derivs.
as L-CPT1 inhibitors useful as therapeutic and prophylactic agents)
RN 939999-40-3 CAPLUS
CN Acetamide, N-[4-[(hydroxyamino)iminomethyl]-2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 78 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:591945 CAPLUS
DOCUMENT NUMBER: 147:31369
TITLE: Preparation of L-phenylalanine derivatives as α5β1 integrin inhibitors for treating especially solid tumors
INVENTOR(S): Kettle, Jason Grant; Barry, Simon Thomas; Rudge, David
Alan
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 210pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007060408	A2	20070531	WO 2006-GB4337	20061122
WO 2007060408	A3	20070802		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
IN 2008DN04220	A	20080801	IN 2008-DN4220	20080516
CN 101360711	A	20090204	CN 2006-80051565	20080722

PRIORITY APPLN. INFO.: US 2005-739456P P 20051123
WO 2006-GB4337 W 20061122

OTHER SOURCE(S): MARPAT 147:31369
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

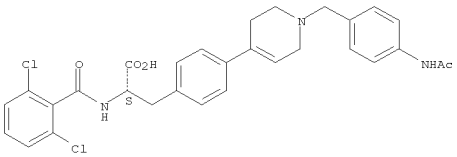
AB The invention is related to the preparation of L-phenylalanine derivs. I [X =
O, NH and derivs., S, SO, SO2; Z = (CH2)n; T = (CH2)m; m, n = independently 0-2; R2a, R2b, R2c = independently H, halo, OH, alkyl, alkoxy, or if 2 of R2a, R2b, R2c are attached to the same C, they may form
an oxo group; R3a, R3b, R3c, R3d = independently H, halo, alkyl, alkoxy; R4 = H, ar/heteroar/alkyl, (hetero)aryl; R5 = aryl which is ortho-substituted with at least one group selected from alkyl, alkoxy or halo and which is further optionally substituted with 1 or 2 groups], their pharmaceutical acceptable salts, prodrugs and hydrates, as α5β1 integrin inhibitors, their pharmaceutical compns. and their use alone or in combination with another agent for treatment of

L3 ANSWER 78 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
diseases that have a significant angiogenesis or vascular component such as solid tumors. The invention also relates to compds. that inhibit α5β1 integrin and that exhibit appropriate selectivity profile(s) against other integrins. Thus, a multi-step synthesis starting from N-(tert-butoxycarbonyl)tyrosine Me ester was given for L-phenylalanine deriv. II. I inhibited the α5β1 integrin in an in vitro binding assay (IC50 values in the range of 0.01 to 300 μM) and in an in vitro cell adhesion assay (IC50 values in the range of 0.01 to 50 μM).

IT 938197-37-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of L-phenylalanine derivs. as α5β1 integrin inhibitors for treating especially solid tumors)

RN 938197-37-6 CAPLUS
CN L-Phenylalanine, 4-[1-[[4-(acetylamino)phenyl]methyl]-1,2,3,6-tetrahydro-4-pyridinyl]-N-(2,6-dichlorobenzoyl)- (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 79 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

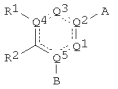
ACCESSION NUMBER: 2007:561754 CAPLUS
DOCUMENT NUMBER: 147:9930
TITLE: Preparation of pyridazine, pyrimidine, and pyridine heterocyclic compounds as antiviral agents against hepatitis C virus
INVENTOR(S): Ueno, Hiroshi; Shimada, Takashi; Aoyagi, Kouichi; Katoh, Susumu; Shinkai, Hisashi; Motomura, Takahisa; Komoda, Yasumasa; Otsubaki, Tomoko; Soejima, Yuki; Kawahara, Iichiro
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 1247pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007058392	A1	20070524	WO 2006-JP323637	20061121
WO 2007058392	A9	20070705		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
JP 2007291059	A	20071108	JP 2006-314905	20061121
EP 1953147	A1	20080806	EP 2006-833441	20061121
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

PRIORITY APPLN. INFO.:
JP 2005-336429 A 20051121
US 2005-742308P P 20051205
JP 2006-92163 A 20060329
US 2006-790837P P 20060410
WO 2006-JP323637 W 20061121

OTHER SOURCE(S): MARPAT 147:9930
GI

L3 ANSWER 79 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



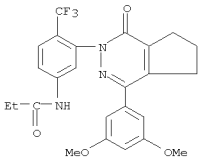
I

AB The heterocyclic compds. represented by the general formula (I) [Q1, Q3 = N, CR50, CO; R50 = H, C1-6 alkyl, C1-6 alkoxy; Q2, Q5 = N, C; Q4 = N, C, CH; R1 = H, halo, cyano, NO2, (un)substituted C1-6 alkyl, C2-6 alkenyl, or C2-6 alkynyl, etc.; R2 = H, C1-6 alkyl; or R1 and R2 together represent a carbocyclic or heterocyclic ring; the ring A = (un)substituted monocyclic aryl or heteroaryl; the ring B = (un)substituted monocyclic aryl or heteroaryl, C3-8 cycloalkyl, or C3-8 cycloalkenyl] or pharmaceutically acceptable salts are prepared These compds. including 2H-pyridazin-3-one, 2,5,6,7-tetrahydrocyclopenta[d]pyridazin-1-one, 1,2,3,6-tetrahydro-pyrrolo[2,3-d]pyridazin-7-one, 3,5-dihydro-2H-furo[2,3-d]pyridazin-4-one, 3,5-dihydro-2H-thieno[2,3-d]pyridazin-4-one, 1,3,4,7-tetrahydro-2H-pyrido[2,3-d]pyridazin-8-one, 2H-phthalazin-1-one, 2,5,6,7-tetrahydrocyclopenta[c]pyridin-1-one, and 7H-pyrido[2,3-d]pyridazin-8-one have an inhibition activity against entry (infection) of hepatitis C virus (HCV) into cells. Thus, cyclocondensation of Me 2-(3,5-dimethoxybenzoyl)cyclopent-1-ene-1-carboxylate with (5-bromo-2-trifluoromethylphenyl)hydrazine in the presence of CF3CO2H in methanol at 80° for 2 h gave 2-(5-bromo-2-trifluoromethylphenyl)-4-(3,5-dimethoxyphenyl)-2,5,6,7-tetrahydrocyclopenta[d]pyridazin-1-one which underwent methoxycarbonylation with methanol and carbon monoxide in the presence of palladium acetate and 1,3-bis(diphenylphosphino)propane in DMSO at 65° for 19 h and at 60° for 30 h and then in the presence of 1,1'-bis(diphenylphosphino)ferrocene palladium(II) dichloride-dichloromethane complex and 1,1'-bis(diphenylphosphino)ferrocene at 60° for 22 h to give Me 3-[4-(3,5-dimethoxyphenyl)-1-oxo-1,5,6,7-tetrahydrocyclopenta[d]pyridazin-2-yl]-4-trifluoromethylbenzoate (II). II showed IC50 of <100 nM against HCV infection of HepG2 cells. A tablet containing II was formulated.

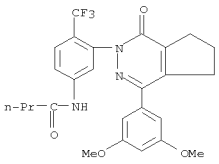
IT 937190-08-4P 937190-35-7P 937191-10-1P 937191-37-2P 937197-15-4P 937197-17-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridazine, pyrimidine, and pyridine heterocyclic compds. as antiviral agents against hepatitis C virus)

RN 937190-08-4 CAPLUS
CN Propanamide, N-[3-[4-(3,5-dimethoxyphenyl)-1,5,6,7-tetrahydro-1-oxo-2H-cyclopenta[d]pyridazin-2-yl]-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

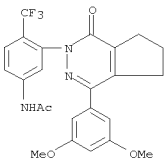
L3 ANSWER 79 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 937190-35-7 CAPLUS
CN Butanamide, N-[3-[4-(3,5-dimethoxyphenyl)-1,5,6,7-tetrahydro-1-oxo-2H-cyclopenta[d]pyridazin-2-yl]-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

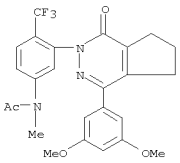


RN 937191-10-1 CAPLUS
CN Acetamide, N-[3-[4-(3,5-dimethoxyphenyl)-1,5,6,7-tetrahydro-1-oxo-2H-cyclopenta[d]pyridazin-2-yl]-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

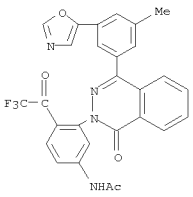


RN 937191-37-2 CAPLUS
CN Acetamide, N-[3-[4-(3,5-dimethoxyphenyl)-1,5,6,7-tetrahydro-1-oxo-2H-cyclopenta[d]pyridazin-2-yl]-4-(trifluoromethyl)phenyl]-N-methyl- (CA INDEX NAME)

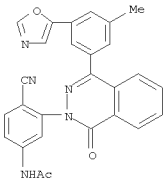
L3 ANSWER 79 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 937197-15-4 CAPLUS
CN Acetamide, N-[3-[4-[3-methyl-5-(5-oxazolyl)phenyl]-1-oxo-2(1H)-phthalazinyl]-4-(2,2,2-trifluoroacetyl)phenyl]- (CA INDEX NAME)



RN 937197-17-6 CAPLUS
CN Acetamide, N-[4-cyano-3-[4-[3-methyl-5-(5-oxazolyl)phenyl]-1-oxo-2(1H)-phthalazinyl]phenyl]- (CA INDEX NAME)



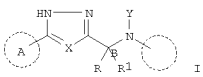
REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS

L3 ANSWER 79 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 80 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:505118 CAPLUS
DOCUMENT NUMBER: 146:482074
TITLE: Preparation of azole heterocyclic compounds as G protein-coupled receptor kinase (GRK) inhibitors
INVENTOR(S): Kawamoto, Tetsuji; Okawa, Tomohiro; Hosono, Hiroshi; Ogino, Masaki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 175pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007112789	A	20070510	JP 2006-249474	20060914
PRIORITY APPLN. INFO.:			JP 2005-276722 A	20050922

OTHER SOURCE(S): MARPAT 146:482074
GI



I

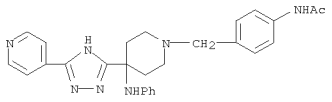
AB The title compds. [I; R = each (un)substituted amino-lower alkyl, N-containing heterocyclyl-lower alkyl, or N-containing heterocyclyl; R1 = H, lower alkyl, each (un)substituted amino-lower alkyl, N-containing heterocyclyl-lower alkyl, or N-containing heterocyclyl; or R and R1 are bonded to each other to form a N-containing heterocyclic ring; ring A = (un)substituted N-containing heterocyclic ring; ring B = (un)substituted aromatic ring; X = N, C-R2; R2 = H, halo, each (un)substituted hydrocarbyl, heterocyclyl, NH2, HO, or CONH2, NO2, cyano, optionally esterified CO2H, acyl; Y = H, each (un)substituted hydrocarbyl, heterocyclyl, or CONH2, optionally esterified CO2H, acyl] or salts thereof are prepared These compds. are useful as preventive and therapeutic agents of circulatory diseases such as heart failure, hypertension, and arteriosclerosis, etc., based on the potent GRK inhibitory action. Thus, (2S)-2-phenylamino-4-[(tert-butoxycarbonyl)amino]butanoic acid hydrazide underwent cycloaddn. reaction with 4-cyanopyridine NaOEt in ethanol at 95° for 15 h to give 3-[(tert-Butoxycarbonyl)amino]-1-phenylamino-1-[3-(4-pyridyl)-1H-1,2,4-triazol-5-yl]propane which was stirred in concentrated HCl at room temperature for 30 min to give 3-amino-1-phenylamino-1-[3-(4-pyridyl)-1H-1,2,4-triazol-5-yl]propane trihydrochloride (II). II in vitro inhibited the GRK2-dependent phosphorylation of bovine tubulin with IC50 of ≤250 μM. II and 2-amino-1-(3-chlorophenyl)amino-1-[3-(4-pyridyl)-1H-1,2,4-triazol-5-yl]ethane trihydrochloride promoted the accumulation of cAMP in HEK293 cells overexpressing human β2 receptor with EC50 of 3.0 and 0.58 μM, resp. Pharmaceutical formulations, e.g. a capsule contg. II, were prepd.

IT 935781-32-1P, N-[4-[[4-Anilino-4-[3-(4-pyridyl)-1H-1,2,4-triazol-5-yl]piperidin-1-yl]methyl]phenyl]acetamide tris(trifluoroacetate)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azole heterocyclic compds. as G protein-coupled receptor kinase (GRK) inhibitors for prevention or treatment of circulatory diseases)

RN 935781-32-1 CAPLUS
CN Acetamide, N-[4-[[4-(phenylamino)-4-[3-(4-pyridinyl)-1H-1,2,4-triazol-5-yl]-1-piperidinyl]methyl]phenyl]-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 935781-31-0
CMF C27 H29 N7 O



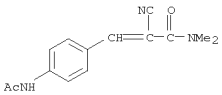
CM 2

CRN 76-05-1
CMF C2 H F3 O2

L3 ANSWER 81 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:494610 CAPLUS
DOCUMENT NUMBER: 147:95960
TITLE: Novel copolymers of styrene and some ring-substituted 2-cyano-N,N-dimethyl-3-phenyl-2-propenamides
AUTHOR(S): Kharas, Gregory B.; Tian, Xue; Castle, Whitney K.; Culson, Marie B.; Fath, Maria R.; Nord, Bridget; Stankovich, Daniel S.; Szarek, Agnieszk A.; Webb, Justin A.
CORPORATE SOURCE: Chemistry Department, DePaul University, IL, USA
SOURCE: Journal of Macromolecular Science, Part A: Pure and Applied Chemistry (2007), 44(4), 355-358
CODEN: JSPCE6; ISSN: 1060-1325
PUBLISHER: Taylor & Francis, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Electrophilic trisubstituted ethylene monomers, ring-substituted 2-cyano-N,N-dimethyl-3-phenyl-2-propenamides, RC6H4CH=C(CN)CON(CH3)2 (where R is 4-(CH3)2N, 4-CH3CO2, 4-CH3CONH, 2-CN, 3-CN, 4-CN, 4-(C2H5)2N) were synthesized by potassium hydroxide catalyzed Knoevenagel condensation of ring-substituted benzaldehydes and N,N-dimethylcyanoacetamide, and characterized by CHN elemental anal., IR, 1H- and 13C-NMR. Novel copolymers of the ethylenes and styrene were prepared at equimolar monomer feed composition by solution copolymn. in the presence of a radical initiator, ABCN at 70°C. The composition of the copolymers was calculated from nitrogen anal., and the structures were analyzed by IR, 1H and 13C NMR, GPC, DSC, and TGA. High Tg of the copolymers in comparison with that of polystyrene indicates a substantial decrease in chain mobility of the copolymer due to the high dipolar character of the trisubstituted ethylene monomer unit. The gravimetric anal. indicated that the copolymers decompose in the 300-450°C range.

IT 942202-80-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(monomer; ring-substituted cyanodimethylphenylpropenamide preparation and polymerization with styrene)
RN 942202-80-4 CAPLUS
CN 2-Propenamide, 3-[4-(acetylamino)phenyl]-2-cyano-N,N-dimethyl- (CA INDEX NAME)

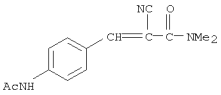


IT 942202-85-9P

L3 ANSWER 81 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(ring-substituted cyanodimethylphenylpropenamide prepn. and polymn. with styrene)
RN 942202-85-9 CAPLUS
CN 2-Propenamide, 3-[4-(acetylamino)phenyl]-2-cyano-N,N-dimethyl-, polymer with ethenylbenzene (CA INDEX NAME)

CM 1

CRN 942202-80-4
CMF C14 H15 N3 O2



CM 2

CRN 100-42-5
CMF C8 H8

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

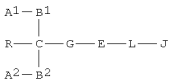
L3 ANSWER 82 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:482987 CAPLUS
DOCUMENT NUMBER: 146:482066
TITLE: Preparation of heterocyclic compounds containing basic group as CXCR4 antagonists
INVENTOR(S): Kokubo, Masaya; Tanaka, Motoyuki; Ochiai, Hiroshi; Takaoka, Yoshikazu; Shibayama, Shiro
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 218pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007049771	A1	20070503	WO 2006-JP321569	20061027
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1942108	A1	20080709	EP 2006-822530	20061027
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

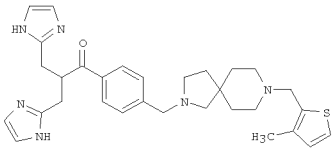
PRIORITY APPLN. INFO.: JP 2005-313796 A 20051028
WO 2006-JP321569 W 20061027

OTHER SOURCE(S): MARPAT 146:482066
GI

L3 ANSWER 82 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

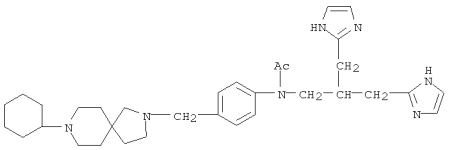


II

AB Title compds. I [A1, A2 = substituent containing basic group; B1, B2 = bond, spacer; E = spacer; L = bond, spacer; J = aliphatic hydrocarbon, monocyclic or condensed cyclic ring, spiro cyclic ring, etc. (wherein each aliphatic hydrocarbon, monocyclic, condensed cyclic, and spiro cyclic ring is substituted with basic group and optionally has addnl. substituent.); G = GA, G1A-G2A-G3A; GA = bond, (un)substituted carbon, (un)substituted nitrogen; G1A = (un)substituted carbon; G2A = (un)substituted carbon, (un)substituted nitrogen, oxygen, etc.; G3A = bond, (un)substituted carbon; R = H, substituent] and salts, solvates or prodrugs thereof were prepared Compound II, prepared from (4-bromophenyl)methanol in 8 steps, inhibited binding of human SDF-1 to CXCR4 expressed on CEM cells (IC50 = 11 nM). Compds. I are claimed useful for the treatment of HIV, cancer, etc.

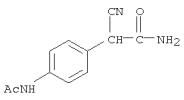
IT 935861-92-0P, N-[4-[(8-Cyclohexyl-2,8-diazaspiro[4.5]dec-2-yl)methyl]phenyl]-N-[3-(1H-imidazol-2-yl)-2-(1H-imidazol-2-ylmethyl)propyl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. containing basic group as CXCR4 antagonists)
RN 935861-92-0 CAPLUS
CN Acetamide, N-[4-[(8-cyclohexyl-2,8-diazaspiro[4.5]dec-2-yl)methyl]phenyl]-N-[3-(1H-imidazol-2-yl)-2-(1H-imidazol-2-ylmethyl)propyl]- (CA INDEX NAME)

L3 ANSWER 82 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 83 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:414456 CAPLUS
DOCUMENT NUMBER: 147:9747
TITLE: A novel synthesis of indole derivatives by the reaction of N-arylhydroxamic acids with malononitrile
AUTHOR(S): Tomioka, Yukihiko; Ohkubo, Kimiko; Maruoka, Hiroshi
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Fukuoka University, 8-19-1 Nanakuma, Jonan-ku, Fukuoka, 814-0180, Japan
SOURCE: Journal of Heterocyclic Chemistry (2007), 44(2), 419-424
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:9747
AB An approach to indole derivs. from N-arylhydroxamic acids and malononitrile via a [3,3]-sigmatropic rearrangement and intramol. cyclization is described. Reactions of N-arylhydroxamic acids with malononitrile in the presence of Et3N at room temperature gave the corresponding α-cyanoacetamide derivs. Subsequent thermal treatment with a base, e.g. Et3N and NaOMe, caused intramol. cyclization and deacylation to afford the corresponding 2-amino-3-indolecarboxamides.
IT 937394-77-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of indoles by reaction of N-arylhydroxamates and malononitrile with [3,3]-sigmatropic rearrangement and subsequent cyclization)
RN 937394-77-9 CAPLUS
CN Benzeneacetamide, 4-(acetylamino)-α-cyano- (CA INDEX NAME)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

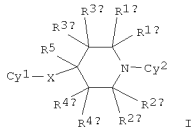
L3 ANSWER 84 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:405401 CAPLUS
DOCUMENT NUMBER: 146:421857
TITLE: Preparation of bridged cyclic amine compounds as pest control agents
INVENTOR(S): Hamamoto, Isami; Takahashi, Jun; Yano, Makio; Kawaguchi, Masahiro; Hanai, Daisuke; Iwasa, Takao
PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan
SOURCE: PCT Int. Appl., 98pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007040282	A1	20070412	WO 2006-JP320133	20061006
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006298048	A1	20070412	AU 2006-298048	20061006
CA 2624558	A1	20070412	CA 2006-2624558	20061006
EP 1932844	A1	20080618	EP 2006-811460	20061006
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
KR 2008041297	A	20080509	KR 2008-707883	20080401
IN 2008KN01308	A	20080822	IN 2008-KN1308	20080401
CN 101277955	A	20081001	CN 2006-80036769	20080402
MX 2008004486	A	20080521	MX 2008-4486	20080403

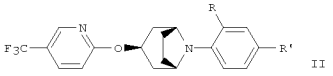
PRIORITY APPLN. INFO.:
JP 2005-294126 A 20051006
JP 2005-294127 A 20051006
JP 2005-297803 A 20051012
JP 2005-297804 A 20051012
JP 2006-16877 A 20060125
JP 2006-182314 A 20060630
WO 2006-JP20133 W 20061006
WO 2006-JP320133 W 20061006

OTHER SOURCE(S): MARPAT 146:421857
GI

L3 ANSWER 84 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

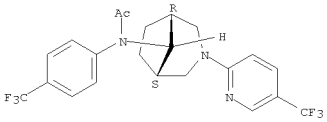


II

AB Title compds. I [Cy1 = (un)substituted aromatic ring; X = oxygen, sulfur, (un)substituted nitrogen, etc.; R1a and R2a, R1a and R4a, R2a and R3a, or R3a and R4a may combine to form a saturated ring.; R1a-R4a, R1b-R4b and R5 = H, hydroxy, halo, etc.; Cy2 = (un)substituted aromatic ring; when R1a and R2a may combine to form saturated ring and Cy1 is a (un)substituted Ph, Cy2 is a (un)substituted aromatic heterocycle.; when Cy1 is a (un)substituted Ph and Cy2 is a pyridin-2-yl, Cy2 is a pyridin-2-yl substituted with one or more cyano groups.], salts or N-oxides thereof were prepared For example, reaction of tropine with 2-chloro-5-trifluoromethylpyridine followed by treatment with 2,2,2-trichloroethyl chloroformate, reduction using Zn/acetic acid and O-arylation with 2-fluoro-5-trifluoromethylbenzaldehyde afforded compound II [R = CHO; R' = CF3]. Compound II [R = OCH2CH2CH3; R' = CF3] controlled two-spotted spider mite by 100%.
IT 933798-50-6P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bridged cyclic amine compds. as pest control agents)
RN 933798-50-6 CAPLUS
CN Acetamide, N-[4-(trifluoromethyl)phenyl]-N-[(8-syn)-3-[5-(trifluoromethyl)-2-pyridinyl]-3-azabicyclo[3.2.1]oct-8-yl]- (CA INDEX NAME)

Relative stereochemistry.

L3 ANSWER 84 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



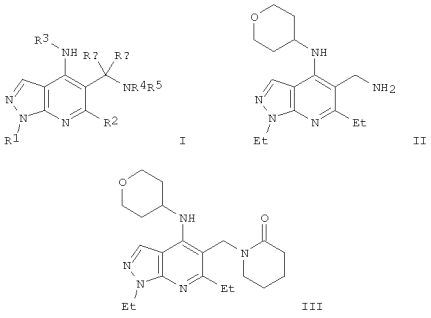
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 85 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:385265 CAPLUS
DOCUMENT NUMBER: 146:379968
TITLE: Preparation of 1H-pyrazolo[3,4-b]pyridines as phosphodiesterase, especially PDE4B, inhibitors for treatment of inflammatory and/or allergic diseases
INVENTOR(S): Edlin, Christopher David; Holman, Stuart; Jones, Paul Spencer; Keeling, Suzanne Elaine; Lindvall, Mika Kristian; Mitchell, Charlotte Jane; Trivedi, Naimisha
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 263pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007036733	A1	20070405	WO 2006-GB3626	20060929
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1940835	A1	20080709	EP 2006-779578	20060929
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				
JP 2009510043	T	20090312	JP 2008-532873	20060929
PRIORITY APPLN. INFO.:			US 2005-721597P	P 20050929
			WO 2006-GB3626	W 20060929

OTHER SOURCE(S): MARPAT 146:379968
GI

L3 ANSWER 85 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

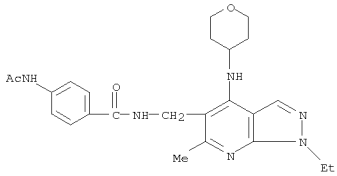


AB Title compds. I [R1 = fluoro/alkyl, CH2CH2OH; R2 = H, Me, Et, n-Pr, i-Pr, n-Bu, fluoroalkyl, cyclopropyl, etc.; R3 = (un)substituted cycloalkyl, mono-unsatd. cycloalkenyl, heterocyclyl, bicyclyl with provisos; Rb = H, Me; Ra = Rb, Et; when Rb = Me, then Ra = Me and R2 = H; R4 = H, Me, Et, n-Pr, COMe, CO-fluoroalkyl; provided that when R4 = COMe or CO-fluoroalkyl, then R5 = CH2-Ar; R5 = CO(CH2)nAr, CO-fluoroalkyl, SO2-alkyl, CH2Ar, etc.; n = 0-2; Ar = 1-methyl-pyrazol-5-yl, 2-trifluoromethyl-1,3-thiazol-5-yl, (un)substituted Ph, pyridin-4-yl, thiophen-2-yl, 1H-imidazol-4-yl, etc.; or R4 and R5 taken together are (CH2)p, CO-(CH2)p', etc.; p = 4-6; p' = 3-5; or NR4R5 = 1-oxo-2,3-dihydro-1H-insoindol-2-yl, 6-oxo-4,5-dihydro-6H-pyrrolo[3,4-d][1,2,3]thiadiazol-5-yl; 4-oxo-5,6-dihydro-4H-furo[2,3-c]pyrrol-5-yl, etc.; and salts thereof] were prepared as selective phosphodiesterase 4 (PDE4), especially PDE4B, inhibitors. The invention also provides for the use of I for the treatment and/or prophylaxis of an inflammatory and/or allergic disease, such as chronic obstructive pulmonary disease (COPD), asthma, rheumatoid arthritis, allergic rhinitis, psoriasis, or atopic dermartitis. Thus, acylation of amine II (preparation given) with 5-chlorovaleryl chloride, and cyclization in DMF in the presence of NaH gave pyrazolopyridine III. Selected I inhibited PDE4B with pIC50 in the range of about 10.0 to about 11.0. Pharmaceutical comps. containing I are described.

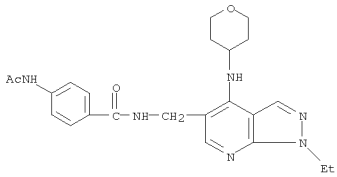
IT 932111-06-3P, 4-(Acetylamino)-N-[[1-ethyl-6-methyl-4-[(tetrahydro-2H-pyran-4-yl)amino]-1H-pyrazolo[3,4-b]pyridin-5-yl]methyl]benzamide 932111-46-1P 932111-65-4P 932112-27-1P, 4-(Acetylamino)-N-[[1,6-diethyl-4-[(tetrahydro-2H-pyran-4-yl)amino]-1H-pyrazolo[3,4-b]pyridin-5-yl]methyl]benzamide 932112-74-8P 932112-75-9P 932112-84-0P 932112-94-2P 932113-09-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of pyrazolo[3,4-b]pyridines as PDE4 inhibitors for treatment of inflammatory and/or allergic diseases)

L3 ANSWER 85 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 932111-06-3 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[[1-ethyl-6-methyl-4-[(tetrahydro-2H-pyran-4-yl)amino]-1H-pyrazolo[3,4-b]pyridin-5-yl]methyl]- (CA INDEX NAME)



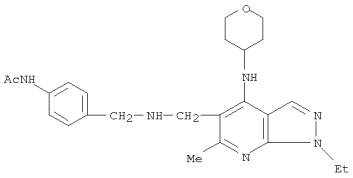
RN 932111-46-1 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[[1-ethyl-4-[(tetrahydro-2H-pyran-4-yl)amino]-1H-pyrazolo[3,4-b]pyridin-5-yl]methyl]- (CA INDEX NAME)



RN 932111-65-4 CAPLUS
CN Formic acid, compd. with N-[4-[[[[1-ethyl-6-methyl-4-[(tetrahydro-2H-pyran-4-yl)amino]-1H-pyrazolo[3,4-b]pyridin-5-yl]methyl]amino]methyl]phenyl]acetamide (1:?) (CA INDEX NAME)

CM 1

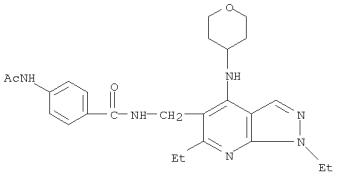
CRN 932111-64-3
CMF C24 H32 N6 O2



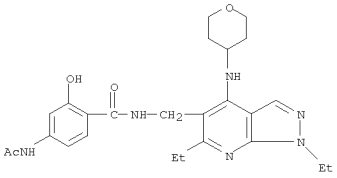
CM 2

CRN 64-18-6
CMF C H2 O2

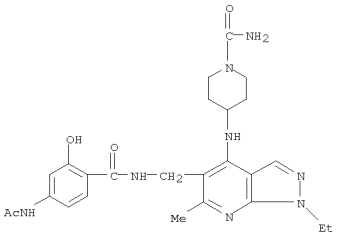
RN 932112-27-1 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[[1,6-diethyl-4-[(tetrahydro-2H-pyran-4-yl)amino]-1H-pyrazolo[3,4-b]pyridin-5-yl]methyl]- (CA INDEX NAME)



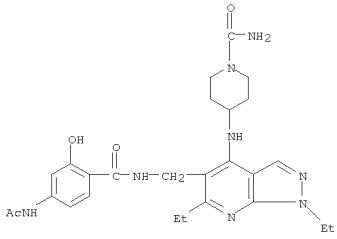
RN 932112-74-8 CAPLUS
CN 1-Piperidinecarboxamide, 4-[[5-[[[4-(acetylamino)-2-hydroxybenzoyl]amino]methyl]-1,6-diethyl-1H-pyrazolo[3,4-b]pyridin-4-yl]amino]- (CA INDEX NAME)



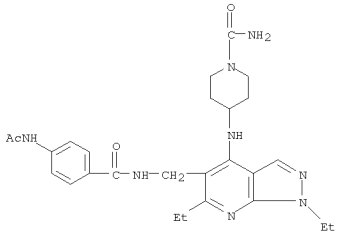
RN 932112-94-2 CAPLUS
CN 1-Piperidinecarboxamide, 4-[[5-[[[4-(acetylamino)-2-hydroxybenzoyl]amino]methyl]-1-ethyl-6-methyl-1H-pyrazolo[3,4-b]pyridin-4-yl]amino]- (CA INDEX NAME)



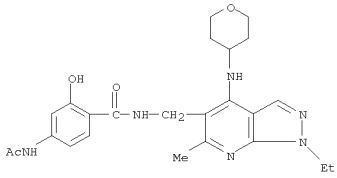
RN 932113-09-2 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[[1-ethyl-6-methyl-4-[(tetrahydro-2H-pyran-4-yl)amino]-1H-pyrazolo[3,4-b]pyridin-5-yl]methyl]-2-hydroxy- (CA INDEX NAME)



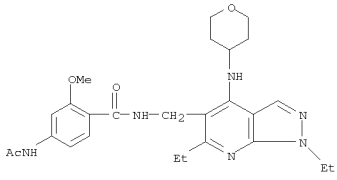
RN 932112-75-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-[[5-[[[4-(acetylamino)benzoyl]amino]methyl]-1,6-diethyl-1H-pyrazolo[3,4-b]pyridin-4-yl]amino]- (CA INDEX NAME)



RN 932112-84-0 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[[1,6-diethyl-4-[(tetrahydro-2H-pyran-4-yl)amino]-1H-pyrazolo[3,4-b]pyridin-5-yl]methyl]-2-hydroxy- (CA INDEX NAME)



IT 932111-87-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolo[3,4-b]pyridines as PDE4 inhibitors for treatment of
inflammatory and/or allergic diseases)
RN 932111-87-0 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[[1,6-diethyl-4-[(tetrahydro-2H-pyran-4-yl)amino]-1H-pyrazolo[3,4-b]pyridin-5-yl]methyl]-2-methoxy- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 86 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:351990 CAPLUS
DOCUMENT NUMBER: 146:358852
TITLE: Aryl-substituted imidazo[1,2-a]pyridine derivatives as
C3a receptor antagonists, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Claffey, Michelle Marie; Goldstein, Steven Wayne; Jung, Stanley; Nagel, Arthur; Shulze, Volker
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 97pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

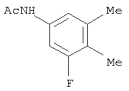
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007034282	A2	20070329	WO 2006-IB2568	20060918
WO 2007034282	A3	20070518		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
PRIORITY APPLN. INFO.:			US 2005-718517P	P 20050919

OTHER SOURCE(S): MARPAT 146:358852
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to aryl-substituted imidazo[1,2-a]pyridines and related compds. of general formula I, which are antagonists of the mammalian C3a receptor. In compds. I, n is 3, 4, or 5; each Z is independently selected from CR1, CHR1, C(=O), N, NR1, N(=O), S, and O, where the ring containing Z is a heterocyclyl or heteroaryl ring containing 1-3
heteroatoms independently selected from N, O, and S, and each R1 is independently H, halo, (un)substituted C1-8 alkyl, (un)substituted C1-6 alkoxy, (un)substituted sulfamoyl, (un)substituted C3-10 cycloalkyl, etc.,
and a bond between two groups Z may be a single bond or a double bond; U, V, X, and Y are independently selected from CH, CF, and N, where the ring contains no more than two nitrogen atoms; W is CH or N; R2, R3, and R4 are

L3 ANSWER 86 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
independently selected from H, halo, (un)substituted C1-6 alkyl, (un)substituted C2-6 alkenyl, (un)substituted C3-10 cycloalkyl, (un)substituted C2-7 acyl, (un)substituted C1-6 alkoxycarbonyl, etc; and R5 is H or F. The invention also relates to the prepn. of I, pharmaceutical compns. comprising a compd. I and optionally a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment of chronic inflammatory diseases including inflammations
in the central nervous system, peripheral nervous system, lungs, and bone joints. Suzuki coupling of 4-bromoacetophenone with 3,4-dimethylphenylboronic acid and α- bromination resulted in the formation of bromomethyl ketone II, which underwent heterocyclization with 2-amino-5-bromopyridine to give imidazopyridine III. Coupling of III with Zn(CN)2 followed by heterocyclization with trimethylsilyl azide gave tetrazolylimidazopyridine IV. The compds. of the invention are antagonists of C3a receptors, e.g., compd. IV expressed IC50 value of 7 nM.
IT 930599-55-6P, N-(3-Fluoro-4,5-dimethylphenyl)acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of imidazopyridine derivs. as C3a receptor antagonists)
RN 930599-55-6 CAPLUS
CN Acetamide, N-(3-fluoro-4,5-dimethylphenyl)- (CA INDEX NAME)



L3 ANSWER 87 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:284167 CAPLUS
DOCUMENT NUMBER: 146:337900
TITLE: Preparation of triazole derivatives as Axl inhibitors
INVENTOR(S): Singh, Rajinder; Sylvain, Catherine; Holland, Sacha; Zhang, Jing; Partridge, John J.; Clough, Jeffrey
PATENT ASSIGNEE(S): Rigel Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 424pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007030680	A2	20070315	WO 2006-US34970	20060907
WO 2007030680	A3	20070518		
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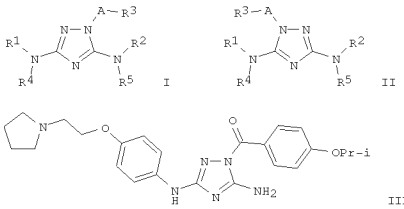
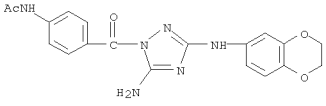
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
CA 2621503	A1	20070315	CA 2006-2621503	20060907
US 20070213375	A1	20070913	US 2006-518550	20060907
EP 1922310	A2	20080521	EP 2006-814315	20060907
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2009507080	T	20090219	JP 2008-530213	20060907
PRIORITY APPLN. INFO.:			US 2005-714673P	P 20050907
			US 2006-780166P	P 20060307
			US 2006-813143P	P 20060612
			WO 2006-US34970	W 20060907

OTHER SOURCE(S): MARPAT 146:337900
GI

L3 ANSWER 87 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



AB Triazole derivs. I and II [A = CO, CS, COO, CONH and derivs., etc.; R1 = (un)substituted (hetero)aryl, cycloalkyl, etc.; R2, R4, R5 = independently
H, alkyl, aryl, aralkyl, etc.; R3 = (un)substituted aryl, alkyl, cycloalkyl, aralkyl; and their stereoisomers and tautomers, and their pharmaceutically acceptable salts, hydrates, solvates, N-oxides, and prodrugs; with provisos] and pharmaceutical compns. containing them are disclosed as inhibitors of the activity of the receptor protein tyrosine kinase Axl. Methods of using triazoles I and II in treating diseases or conditions associated with Axl catalytic activity are also disclosed. Thus,
reacting 4-[2-(pyrrolidin-1-yl)ethoxy]aniline with cyanocarbonimidic acid di-Ph ester, followed by cyclization with hydrazine, and acylation with 4-isopropoxybenzoic acid gave acylated triazole III. Selected triazoles I
and II inhibited the activity of Axl with an IC50 < 1 μM.
IT 929263-15-0P, 1-[[4-(Acetylamino)phenyl]carbonyl]-5-amino-3-[(1,4-benzodioxan-6-yl)amino]-1H-1,2,4-triazole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of triazole derivs. as Axl inhibitors)
RN 929263-15-0 CAPLUS
CN Acetamide, N-[4-[[5-amino-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)amino]-1H-1,2,4-triazol-1-yl]carbonyl]phenyl]- (CA INDEX NAME)

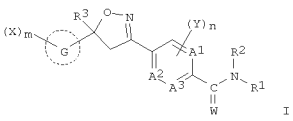


L3 ANSWER 88 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:257960 CAPLUS
DOCUMENT NUMBER: 146:316900
TITLE: Preparation of isoxazoline-substituted benzamide compounds as pesticides
INVENTOR(S): Mita, Takeshi; Furukawa, Yuki; Toyama, Ken-Ichi; Yaosaka, Manabu; Ikeda, Eitatsu; Masuzawa, Yoshihide; Komoda, Mitsuaki
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 400pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007026965	A1	20070308	WO 2006-JP317797	20060901
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006285613	A1	20070308	AU 2006-285613	20060901
CA 2621228	A1	20070308	CA 2006-2621228	20060901
JP 2007308471	A	20071129	JP 2006-237617	20060901
EP 1932836	A1	20080618	EP 2006-797653	20060901
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
KR 2008049091	A	20080603	KR 2008-707962	20080401

PRIORITY APPLN. INFO.:
JP 2005-254446 A 20050902
JP 2005-254449 A 20050902
JP 2005-254451 A 20050902
JP 2005-257344 A 20050906
JP 2006-45804 A 20060222
JP 2006-85597 A 20060327
JP 2006-113060 A 20060417
JP 2006-139953 A 20060519
WO 2006-JP317797 W 20060901

L3 ANSWER 88 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 146:316900
GI



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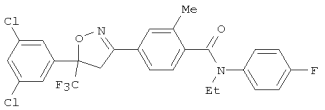
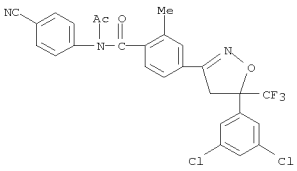


II

AB The title compds. (I) or salts thereof [A1, A2, A3 = C, N; ring G = benzene, furan, or thiophene ring, 6-membered aromatic heterocyclic ring containing N, 5-membered aromatic heterocyclic ring containing ≥heteroatoms selected from O, S and N; W = O, S; X = halo, cyano, NO2, N3, thiocyanato, substituted saturated heterocyclyl, each (un)substituted HO, NH2, C1-6 alkyl, C3-8 cycloalkyl, C2-6 alkenyl, C2-6 alkynyl, or Ph, etc.; Y = halo, cyano, NO2, N3, thiocyanato, substituted saturated heterocyclyl, each (un)substituted HO, NH2, C1-6 alkyl, C3-8 cycloalkyl, C2-6 alkynyl, or Ph, etc.; R1 = each (un)substituted CH:NOH, Ph, CONH2, C(S)NH2, 4,5-dihydroisoxazol-3-yl, or 5,6-dihydro-4H-1,2-oxazin-3-yl, ester of CO2H, C(O)SH, C(S)OH, or C(S)SH, etc.; R2 = CHO, cyano, C1-12 alkyl, C3-12 cycloalkenyl, C3-12 halocycloalkenyl, C3-12 alkynyl, C3-12 haloalkynyl, each (un)substituted Ph, tetrahydrofuran-2-yl, tetrahydrothiophen-2-yl, or pyrrolidin-2-yl, etc.; R3 = halo, cyano, C3-6 alkenyl, C3-6 alkynyl, (un)substituted C1-6 alkenyl, C3-8 cycloalkyl, C2-6 alkenyl, C3-6 alkynyl, saturated heterocyclyl, HO, NH2, or CONH2, etc.; m = an integer of 0-5; n = an integer of 0-4] are prepared
These compds. are useful as harmful organism-controlling agents, particularly insecticides or acaricides. Thus, amidation of 4-fluoroaniline with 4-[5-(3,5-dichlorophenyl)-5-trifluoromethyl-4,5-dihydroisoxazol-3-yl]-2-methylbenzoyl chloride in the presence of pyridine in CH2Cl2 at room temperature for 1 h gave 4-[5-(3,5-dichlorophenyl)-5-trifluoromethyl-4,5-dihydroisoxazol-3-yl]-4'-fluoro-2-methylbenzanilide which underwent N-alkylation by Et bromide in DMF at 80° for 5 h to give 4-[5-(3,5-dichlorophenyl)-5-trifluoromethyl-4,5-dihydroisoxazol-3-yl]-N-ethyl-4'-fluoro-2-

L3 ANSWER 88 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
methylbenzanilide (II). II at 500 ppm controlled ≥80% 2nd instar larvae of Plutella xylostella on cabbage leaves.
IT 928785-79-9P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of isoxazoline-substituted benzamide compds. as pesticides such as insecticides and acaricides)
RN 928785-79-9 CAPLUS
CN Benzamide, N-acetyl-N-(4-cyanophenyl)-4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-2-methyl- (CA INDEX NAME)



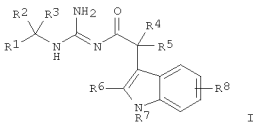
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 89 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:230740 CAPLUS
DOCUMENT NUMBER: 146:274227
TITLE: Preparation of indoleacetic acid acyl guanidines as β-secretase (BACE) inhibitors
INVENTOR(S): Thompson, Lorin A.; Shi, Jianliang; Zusi, F. Christopher; Dee, Michael F.; Macor, John E.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: U.S. Pat. Appl. Publ., 27pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070049589	A1	20070301	US 2006-508481	20060823

PRIORITY APPLN. INFO.:
US 2005-713316P P 20050901

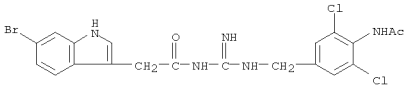
OTHER SOURCE(S): CASREACT 146:274227; MARPAT 146:274227
GI



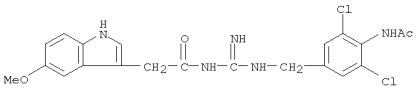
I

AB Title compds. [I; R1 = (substituted) Ph; R2, R3 = H, Me, HOCH2; R4, R5 = H, Me; R6 = H, alkyl, cyano, ANHCO; A = alkyl; R7 = H, alkyl, (substituted) phenylmethyl; R8 = H, halo, alkyl, alkoxy, cyano, OH, NH2, benzyloxy, CF3], were prepared Thus, N-[4-[N'-[2-(5-bromo-1H-indol-3-yl)acetyl]guanidinomethyl]-2,6-dichlorophenyl]acetamide (preparation outlined) inhibited BACE with IC50 <0.1 μM.
IT 927676-12-8P 927676-14-0P 927676-28-6P
927676-29-7P 927676-30-0P 927676-31-1P
927676-32-2P 927676-34-4P 927676-36-6P
927676-38-8P 927676-42-4P 927676-43-5P
927676-44-6P 927676-45-7P 927676-46-8P
927676-47-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of indoleacetic acid acyl guanidines as β-secretase inhibitors)
RN 927676-12-8 CAPLUS
CN 1H-Indole-3-acetamide, N-[[[[4-(acetylamino)-3,5-dichlorophenyl]methyl]amino]iminomethyl]-6-bromo- (CA INDEX NAME)

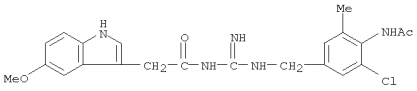
L3 ANSWER 89 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



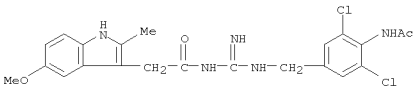
RN 927676-14-0 CAPLUS
CN 1H-Indole-3-acetamide, N-[[[[4-(acetylamino)-3,5-dichlorophenyl]methyl]amino]iminomethyl]-5-methoxy- (CA INDEX NAME)



RN 927676-28-6 CAPLUS
CN 1H-Indole-3-acetamide, N-[[[[4-(acetylamino)-3-chloro-5-methylphenyl]methyl]amino]iminomethyl]-5-methoxy- (CA INDEX NAME)

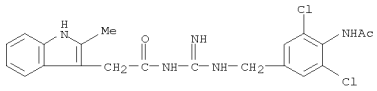


RN 927676-29-7 CAPLUS
CN 1H-Indole-3-acetamide, N-[[[[4-(acetylamino)-3,5-dichlorophenyl]methyl]amino]iminomethyl]-5-methoxy-2-methyl- (CA INDEX NAME)

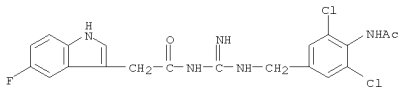


RN 927676-30-0 CAPLUS
CN 1H-Indole-3-acetamide, N-[[[[4-(acetylamino)-3,5-dichlorophenyl]methyl]amino]iminomethyl]-2-methyl- (CA INDEX NAME)

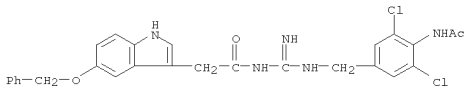
L3 ANSWER 89 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



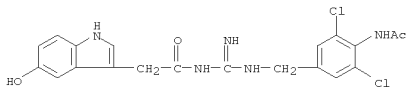
RN 927676-31-1 CAPLUS
CN 1H-Indole-3-acetamide, N-[[[[4-(acetylamino)-3,5-dichlorophenyl]methyl]amino]iminomethyl]-5-fluoro- (CA INDEX NAME)



RN 927676-32-2 CAPLUS
CN 1H-Indole-3-acetamide, N-[[[[4-(acetylamino)-3,5-dichlorophenyl]methyl]amino]iminomethyl]-5-(phenylmethoxy)- (CA INDEX NAME)

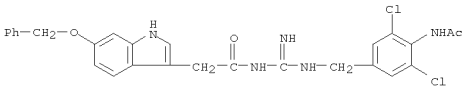


RN 927676-34-4 CAPLUS
CN 1H-Indole-3-acetamide, N-[[[[4-(acetylamino)-3,5-dichlorophenyl]methyl]amino]iminomethyl]-5-hydroxy- (CA INDEX NAME)

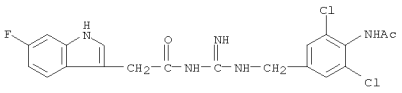


RN 927676-36-6 CAPLUS
CN 1H-Indole-3-acetamide, N-[[[[4-(acetylamino)-3,5-dichlorophenyl]methyl]amino]iminomethyl]-6-(phenylmethoxy)- (CA INDEX NAME)

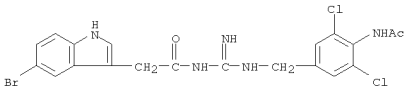
L3 ANSWER 89 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



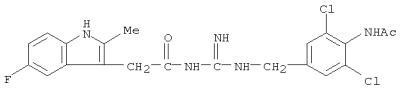
RN 927676-38-8 CAPLUS
CN 1H-Indole-3-acetamide, N-[[[[4-(acetylamino)-3,5-dichlorophenyl]methyl]amino]iminomethyl]-6-fluoro- (CA INDEX NAME)



RN 927676-42-4 CAPLUS
CN 1H-Indole-3-acetamide, N-[[[[4-(acetylamino)-3,5-dichlorophenyl]methyl]amino]iminomethyl]-5-bromo- (CA INDEX NAME)

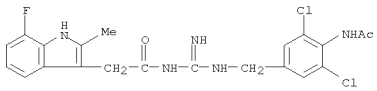


RN 927676-43-5 CAPLUS
CN 1H-Indole-3-acetamide, N-[[[[4-(acetylamino)-3,5-dichlorophenyl]methyl]amino]iminomethyl]-5-fluoro-2-methyl- (CA INDEX NAME)

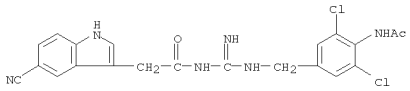


RN 927676-44-6 CAPLUS
CN 1H-Indole-3-acetamide, N-[[[[4-(acetylamino)-3,5-dichlorophenyl]methyl]amino]iminomethyl]-7-fluoro-2-methyl- (CA INDEX NAME)

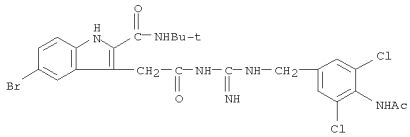
L3 ANSWER 89 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



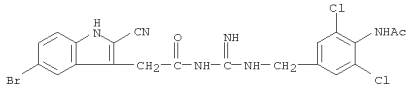
RN 927676-45-7 CAPLUS
CN 1H-Indole-3-acetamide, N-[[[[4-(acetylamino)-3,5-dichlorophenyl]methyl]amino]iminomethyl]-5-cyano- (CA INDEX NAME)



RN 927676-46-8 CAPLUS
CN 1H-Indole-3-acetamide, N-[[[[4-(acetylamino)-3,5-dichlorophenyl]methyl]amino]iminomethyl]-5-bromo-2-[[(1,1-dimethylethyl)amino]carbonyl]- (CA INDEX NAME)

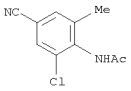


RN 927676-47-9 CAPLUS
CN 1H-Indole-3-acetamide, N-[[[[4-(acetylamino)-3,5-dichlorophenyl]methyl]amino]iminomethyl]-5-bromo-2-cyano- (CA INDEX NAME)

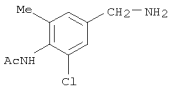


IT 917388-31-9P 917388-32-0P 918451-59-9P
927676-49-1P 927676-50-4P 927676-58-2P
927676-60-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indoleacetic acid acyl guanidines as β-secretase

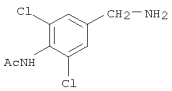
L3 ANSWER 89 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
inhibitors)
RN 917388-31-9 CAPLUS
CN Acetamide, N-(2-chloro-4-cyano-6-methylphenyl)- (CA INDEX NAME)



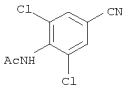
RN 917388-32-0 CAPLUS
CN Acetamide, N-[4-(aminomethyl)-2-chloro-6-methylphenyl]- (CA INDEX NAME)



RN 918451-59-9 CAPLUS
CN Acetamide, N-[4-(aminomethyl)-2,6-dichlorophenyl]- (CA INDEX NAME)

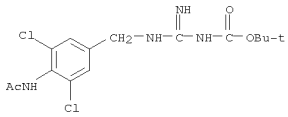


RN 927676-49-1 CAPLUS
CN Acetamide, N-(2,6-dichloro-4-cyanophenyl)- (CA INDEX NAME)

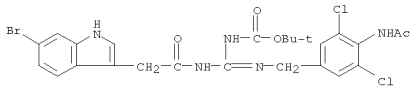


RN 927676-50-4 CAPLUS
CN Carbamic acid, N-[[[[4-(acetylamino)-3,5-dichlorophenyl]methyl]amino]iminomethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

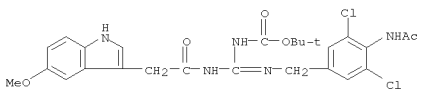
L3 ANSWER 89 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 927676-58-2 CAPLUS
CN Carbamic acid, N-[[[[4-(acetylamino)-3,5-dichlorophenyl]methyl]imino][[2-(6-bromo-1H-indol-3-yl)acetyl]amino]methyl]-, 1,1-dimethylethyl ester (CA
INDEX NAME)



RN 927676-60-6 CAPLUS
CN Carbamic acid, N-[[[[4-(acetylamino)-3,5-dichlorophenyl]methyl]imino][[2-(5-methoxy-1H-indol-3-yl)acetyl]amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



L3 ANSWER 90 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:201757 CAPLUS
DOCUMENT NUMBER: 146:251861
TITLE: Preparation of bis-aryl amide compounds for treating Lck and c-kit kinase mediated diseases
INVENTOR(S): Dimauro, Erin F.; Bemis, Jean E.; Chaffee, Stuart; Chen, Ning; Hu, Essa; Kunz, Roxanne; Martin, Matthew W.; McGowen, David C.; Rumfelt, Shannon
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 229 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007022380	A2	20070222	WO 2006-US32183	20060815
WO 2007022380	A3	20070621		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20070072862	A1	20070329	US 2006-503551	20060811
AU 2006279372	A1	20070222	AU 2006-279372	20060815
CA 2618393	A1	20070222	CA 2006-2618393	20060815
EP 1928844	A2	20080611	EP 2006-824821	20060815
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

PRIORITY APPLN. INFO.:	US 2005-708720P	P	20050815
	US 2006-503551	A	20060811
	WO 2006-US32183	W	20060815

OTHER SOURCE(S): MARPAT 146:251861
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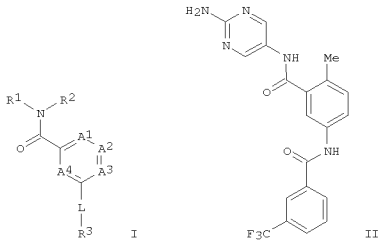
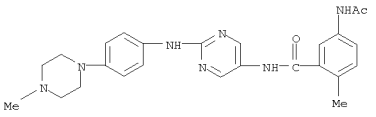
L3 ANSWER 90 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



AB The present invention comprises a new class of compds. useful for the prophylaxis and treatment of protein kinase mediated diseases, including autoimmune disease and inflammation. In one embodiment, the compds. have a general Formula I wherein A1 is CR4 or N; A2 is CR5 or N; A3 is CR6 or N; A4 is CR7 or N; L is -C(O)NR7-, -C(S)-NR7-, -NR7C(O)-, etc.; R1 is pyrimidyl, pyrazinyl, pyridazinyl, etc. ; R2 is H, C1-0-alkyl, C2-10-alkenyl, C2-10-alkynyl, etc.; R3 is C1-10-alkyl, C2-10-alkenyl, C2-10-alkynyl, C3-10-cycloalkyl, etc. ; each of R4, R5, R6 and R7, independently, is H, halo, haloalkyl, NO2, CN, etc. The invention also comprises pharmaceutical compns. including one or more compds. of the present invention, methods of use such as treatment of Lck and/or c-Kit kinase mediated diseases by administering the compds. of the invention, or
compns. including one or more compds. of the invention, and intermediates and processes useful for the preparation of compds. of the present invention.
Example compound II was prepared by reacting 5-Amino-N-(2-aminopyrimidin-5-yl)-2-methylbenzamide (preparation given) and
3-(trifluoromethyl)benzoyl chloride. The compds. tested, which included II, exhibited an average IC50 value of 10 μM or less in a human HTRF (homogeneous time resolved fluorescent) assay for the inhibition of the Lck kinase enzyme.
IT 925897-55-8P, 5-Acetamido-2-methyl-N-[2-[[4-(4-methylpiperazin-1-yl)phenyl]amino]pyrimidin-5-yl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of bis-aryl amide compds. for treating Lck and
c-kit kinase mediated diseases)
RN 925897-55-8 CAPLUS
CN Benzamide, 5-(acetylamino)-2-methyl-N-[2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-pyrimidinyl]- (CA INDEX NAME)

L3 ANSWER 90 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



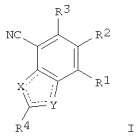
L3 ANSWER 91 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:198291 CAPLUS
DOCUMENT NUMBER: 146:274346
TITLE: Preparation of bicyclo heterocyclic compounds as antifungal agents
INVENTOR(S): Kawakami, Katsuhiro; Kanai, Kazuo; Horiuchi, Takao; Takeshita, Hiroshi; Kobayashi, Syozo; Sugimoto, Yuichi; Achiwa, Issei; Kuroyanagi, Junichi
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 418pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007020936	A1	20070222	WO 2006-JP316085	20060816
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1932837	A1	20080618	EP 2006-796445	20060816
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.:		
JP 2005-236837	A	20050817
JP 2005-242786	A	20050824
WO 2006-JP316085	W	20060816

OTHER SOURCE(S): MARPAT 146:274346
GI



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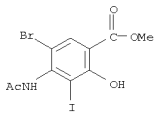
L3 ANSWER 91 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AB The title compds. [I; R1 = (un)substituted saturated or partially saturated heterocyclyl containing 1 or 2 N atom(s), (un)substituted 5- or 6-membered cyclic hydrocarbyl optionally containing a double bond, or C1-6 alkyl-X1- each containing a basic group selected from NH2, C1-6 alkylamino, di(C1-6 alkyl)amino, aminomethyl, C1-6 alkylaminomethyl, and di(C1-6 alkyl)aminomethyl; X1 = O, S, CH2, (un)substituted NH; R2 = halo, CH2OH, CHO, di(C1-6 alkyl)amino, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C2-8 alkoxycarbonyl, C3-6 cycloalkyl, C5 or 6 cycloalkenyl, mono- or bicyclic aryl or heteroaryl containing 1-4 heteroatoms selected from N, O, and S, etc.; R3 = H, linear or branched C1-4 alkyl , C3-4 cycloalkyl, C1-4 alkoxy, di(C2-4 alkyl)amino, halomethyl, (C1-3 alkoxy)methyl; R4 = each (un)substituted linear or branched C1-6 alkyl, C3-6 cycloalkyl, aromatic hydrocarbyl or 5- or 6-membered aromatic heterocyclyl containing 1-4 heteroatoms selected from N, O, and S, aromatic heterocyclyl-C1-3 alkyl, C1-6 alkylamino, NH2, di(C1-6 alkyl)amino, or 4- to 6-membered saturated N-containing heterocyclyl, etc.; X, Y = N, O, S, each (un)substituted NH or CH] or salts or hydrates thereof are prepared These compds. provide 1,6-β-glucan synthase inhibitors which strongly inhibit proliferation of fungi and are highly safe. They can specifically or selectively express an antifungal action on a broad spectrum based on the action mechanism of inhibiting the synthesis of 1,6-β-glucan. Further, a drug, in particular, an antifungal agent containing the above compound I, or its salt or a hydrate thereof is disclosed. Thus, 200 mg Et rel-(1R,2R)-2-[4-cyano-7-fluoro-5-methyl-6-phenyl-1,3-benzoxazol-2-yl]cyclopropanecarboxylate was dissolved in 5 mL DMSO, followed by adding 146 μL Et3N and 146 μL (3S)-3-(dimethylamino)pyrrolidine, and the resulting mixture was stirred at 95° for 4 h to give, after workup and preparative TLC, 42% Et (1R*,2R*)-2-[4-cyano-7-[(3S)-3-(dimethylamino)pyrrolidin-1-yl]-5-methyl-6-phenyl-1,3-benzoxazol-2-yl]cyclopropanecarboxylate (II). II showed the min. concentration (IG80) of 0.032, 0.063, and 0.032 μg/mL for inhibiting by ≥80% the growth of Candida albicans ATCC90028, C. albicans ATCC MYA-573, and C. glabrata ATCC48435, resp. Pharmaceutical formulations, e.g. a a capsule containing 4-Cyano-N,N-dimethyl-5-methyl-7-[(3S)-3-methyl-3-(methylamino)pyrrolidin-1-yl]-6-phenyl-1,3-benzoxazole-2-carboxamide, were described.

IT 927392-09-4P, 4-Acetylamino-5-bromo-3-iodosalicylic acid methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of bicyclo heterocyclic compds. as antifungal agents and 1,6-β-glucan synthesis inhibitors)

RN 927392-09-4 CAPLUS
CN Benzoic acid, 4-(acetylamino)-5-bromo-2-hydroxy-3-iodo-, methyl ester (CA

L3 ANSWER 91 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
INDEX NAME)



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REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 92 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:174109 CAPLUS
DOCUMENT NUMBER: 146:258962
TITLE: Novel salt forms of vildagliptin for therapeutic uses
INVENTOR(S): Reber, Jean-Louis; Villhauer, Edwin Bernard
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 59pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007019255	A2	20070215	WO 2006-US30335	20060802
WO 2007019255	A3	20070531		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2006278596	A1	20070215	AU 2006-278596	20060802
CA 2617327	A1	20070215	CA 2006-2617327	20060802
EP 1912938	A2	20080423	EP 2006-789345	20060802
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2009503108	T	20090129	JP 2008-525197	20060802
IN 2008DN00537	A	20080711	IN 2008-DN537	20080118
US 20080279932	A1	20081113	US 2008-996646	20080124
MX 2008001609	A	20080219	MX 2008-1609	20080201
KR 2008031936	A	20080411	KR 2008-702791	20080201
CN 101238099	A	20080806	CN 2006-80028825	20080204

PRIORITY APPLN. INFO.: US 2005-705592P P 20050804
WO 2006-US30335 W 20060802

AB The present invention relates to novel salt forms of (S)-1-[(3-hydroxy-1-adamantyl)amino]acetyl-2-cyano-pyrrolidine (LAF237, vildagliptin) and a pharmaceutically acceptable acid in a 1:1 stoichiometry. The salts are in crystalline, partially crystalline, amorphous or polymorphous forms. Thus, 13.0 g of LAF237 was treated with 4.88 g of fumaric acid in ethanol at 50° to afford vildagliptin hydrogen fumarate (yield 17.10 g, 97.1%). The salt showed improved stability compared to vildagliptin base.

IT 924666-96-6P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

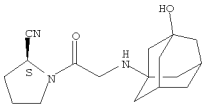
L3 ANSWER 92 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(prepn. and stability of vildagliptin salt forms for treatment of neurodegenerative/cognitive, metabolic and other disorders)

RN 924666-96-6 CAPLUS
CN Benzoic acid, 4-(acetylamino)-, compd. with (2S)-1-[2-[(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)amino]acetyl]-2-pyrrolidinecarbonitrile (1:1) (CA INDEX NAME)

CM 1

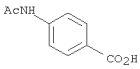
CRN 274901-16-5
CMF C17 H25 N3 O2

Absolute stereochemistry. Rotation (-).



CM 2

CRN 556-08-1
CMF C9 H9 N O3



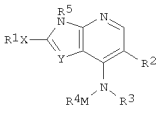
L3 ANSWER 93 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:61234 CAPLUS
DOCUMENT NUMBER: 146:184461
TITLE: Preparation of as azolopyridines as inhibitors of JAK3 janus protein kinase.
INVENTOR(S): Inoue, Takayuki; Tojo, Takashi; Morita, Masataka; Nakajima, Yutaka; Hatanaka, Keiko; Shirakami, Shohei; Sasaki, Hiroshi; Tanaka, Akira; Takahashi, Fumie; Mukoyoshi, Koichiro; Higashi, Yasuyuki; Okimoto, Akira; Hondo, Takeshi; Sawada, Hitoshi
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: PCT Int. Appl., 260pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007007919	A2	20070118	WO 2006-JP314326	20060713
WO 2007007919	A3	20070816		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
CA 2615291	A1	20070118	CA 2006-2615291	20060713
EP 1910358	A2	20080416	EP 2006-768317	20060713
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2009501130	T	20090115	JP 2008-502062	20060713
IN 2008CN00193	A	20080919	IN 2008-CN193	20080111
MX 2008000621	A	20080326	MX 2008-621	20080114
CN 101223168	A	20080716	CN 2006-80025631	20080114
KR 2008026654	A	20080325	KR 2008-703506	20080213
MX 2008008533	A	20080911	MX 2008-8533	20080627

PRIORITY APPLN. INFO.: US 2005-698928P P 20050714
JP 2005-378858 A 20051228
WO 2006-JP14326 W 20060713
WO 2006-JP314326 W 20060713
WO 2006-JP26327 W 20061225

OTHER SOURCE(S): MARPAT 146:184461
GI

L3 ANSWER 93 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



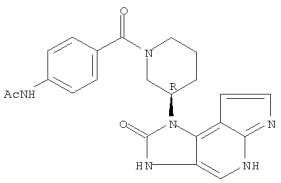
I

AB Title compds. [I; R1 = H, (substituted) alkyl, aryl; X = bond, NH, O; R2 = H, substituent; R3, R5 = H, alkyl; R4 = (substituted) cycloalkyl, heterocycloalkyl, alkyl, aryl, heteroaryl; M = (CH2)n; n = 0-4; Y = N, CR7; R7 = H, NO2, cyano, amino, halo, acyl, (substituted) alkyl; R2R3 = NR6CO; R6 = H, (substituted) alkyl; R3R4 = (substituted) alkylene; with provisos], were prepared Thus, Et 4-chloro-1H-pyrrolo[2,3-b]pyridine-5-carboxylate (preparation given) and (1S,2R)-2-methylcyclohexanamine were refluxed with diisopropylethylamine in BuOH in a sealed tube at 160° under microwave irradiation to give Et 4-[methyl[(1S,2R)-2-methylcyclohexyl]amino]-1H-pyrrolo[2,3-b]pyridine-5-carboxylate. The latter inhibited JAK3 by >50% at 10-5 M.

IT 920964-25-6P 920965-49-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of as azolopyridines as inhibitors of JAK3 janus protein kinase)

RN 920964-25-6 CAPLUS
CN Acetamide, N-[4-[[(3R)-3-(3,6-dihydro-2-oxoimidazo[4,5-d]pyrrolo[2,3-b]pyridin-1(2H)-yl)-1-piperidinyl]carbonyl]phenyl]- (CA INDEX NAME)

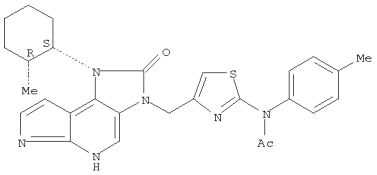
Absolute stereochemistry.



RN 920965-49-7 CAPLUS
CN Acetamide, N-[4-[[1,6-dihydro-1-[(1R,2S)-2-methylcyclohexyl]-2-oxoimidazo[4,5-d]pyrrolo[2,3-b]pyridin-3(2H)-yl]methyl]-2-thiazolyl]-N-(4-methylphenyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

L3 ANSWER 93 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

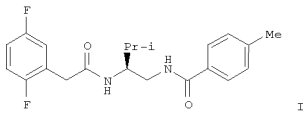


L3 ANSWER 94 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:54858 CAPLUS
DOCUMENT NUMBER: 146:142382
TITLE: Preparation of diamine compounds as agricultural fungicides
INVENTOR(S): Niki, Toshio; Saito, Hirohisa; Nishioka, Masanori
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 98pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007008914	A	20070118	JP 2005-310879	20051026
PRIORITY APPLN. INFO.:			JP 2004-311667 A	20041027
			JP 2005-152076 A	20050525
			JP 2005-158397 A	20050531
			JP 2005-158406 A	20050531

OTHER SOURCE(S): MARPAT 146:142382
GI



I

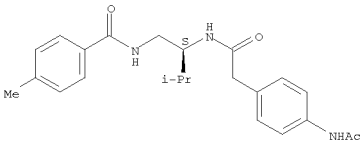
AB The title compds. with general formula of Ar1-C(Ra)(Rb)-C(=X1)-N(R1)-C(R3)(R4)-C(R5)(R6)-N(R2)-C(=X2)-Ar2 [wherein R1 and R2 = independently H or alkyl; R4 and R5 = H; R3 and R6 = independently H or alkyl with exclusion of R3 = R6 = H; Ar1 and Ar2 = independently (un)substituted Ph or heterocyclyl; Ra and Rb = independently halogen, cyano, etc.; X1 and X2 = independently O or S] or salts thereof are prepared as agricultural fungicides. Thus, the compound I was prepared in a multi-step synthesis. Some of the invention compds. showed good fungicidal activities against pyricularia oryzae.

IT 919483-93-5P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(fungicide; preparation of diamine compds. as agricultural fungicides)

L3 ANSWER 94 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

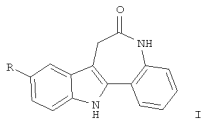
RN 919483-93-5 CAPLUS
CN Benzeneacetamide, 4-(acetylamino)-N-[(1S)-2-methyl-1-[[(4-methylbenzoyl)amino]methyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 95 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1319218 CAPLUS
DOCUMENT NUMBER: 146:229213
TITLE: New route to the 5,12-dihydro-7H-benzo[2,3]azepino[4,5-b]indol-6-one core via a tin-mediated indole synthesis
AUTHOR(S): Henry, Nicolas; Blu, Jerome; Beneteau, Valerie; Merour, Jean-Yves
CORPORATE SOURCE: Institut de Chimie Organique et Analytique, UMR CNRS 6005, Universite d'Orleans, Orleans, 45067/2, Fr.
SOURCE: Synthesis (2006), (22), 3895-3901
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:229213
GI

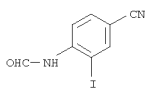


I

AB A new route to the paullone scaffold was designed. The key step consisted in a free radical indole formation from an o-alkenyl arylisonitrile followed by Stille coupling with N-Boc-o-iodoaniline. After deprotection and closure of the seven-membered ring by lactamization, parent or cyano-substituted paullones, e.g., I (R = H or CN), were obtained in moderate to good yields.

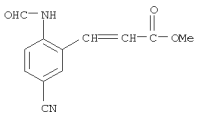
IT 924627-26-9P 924627-31-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzazepinoindolone derivs. via tin-mediated Fukuyama free radical indolic cyclization of alkenyl arylisonitriles followed by palladium-catalyzed Stille coupling with N-Boc-iodoanilines as key steps)

RN 924627-26-9 CAPLUS
CN Formamide, N-(4-cyano-2-iodophenyl)- (CA INDEX NAME)



RN 924627-31-6 CAPLUS
CN 2-Propenoic acid, 3-[5-cyano-2-(formylamino)phenyl]-, methyl ester (CA INDEX NAME)

L3 ANSWER 95 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



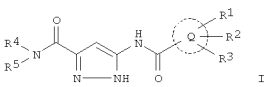
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 96 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1252442 CAPLUS
DOCUMENT NUMBER: 146:27826
TITLE: Preparation of pyrazole compounds as hepatic glycogen phosphorylase inhibitors and therapeutic agents for diabetes
INVENTOR(S): Takagi, Masaki; Nakamura, Takeshi; Matsuda, Isamu; Fukuda, Kenji; Ozawa, Koichi; Ueda, Nobuhisa; Sakata, Kaoru; Nomura, Yukihiro
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 490pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006126695	A1	20061130	WO 2006-JP310603	20060522
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006250354	A1	20061130	AU 2006-250354	20060522
CA 2609394	A1	20061130	CA 2006-2609394	20060522
JP 2007191461	A	20070802	JP 2006-141015	20060522
EP 1884513	A1	20080206	EP 2006-756652	20060522
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
US 20070032529	A1	20070208	US 2006-438489	20060523
KR 2008012304	A	20080211	KR 2007-727179	20071122
MX 2007014866	A	20080214	MX 2007-14866	20071123
CN 101208306	A	20080625	CN 2006-80018194	20071123
IN 2007CN05312	A	20080627	IN 2007-CN5312	20071123
NO 2007006524	A	20080204	NO 2007-6524	20071218

PRIORITY APPLN. INFO.:		
JP 2005-148847	A	20050523
US 2005-685037P	P	20050526
JP 2005-367286	A	20051220
US 2006-755820P	P	20060103
WO 2006-JP10603	W	20060522
WO 2006-JP310603	W	20060522

L3 ANSWER 96 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 146:27826
GI

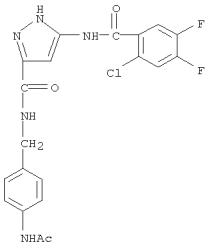


AB The title compds. (I) or pharmacol. acceptable salts thereof [ring Q = aryl or aromatic heterocyclic group; R1 = H, halo, C1-6 alkyl, C1-6 alkoxy;
R2 = halo, C1-6 alkyl, C1-6 alkoxy, azido; R3 = halo, hydroxyl, C1-6 alkyl, halo-C1-6 alkyl, C1-6 alkoxy, azido, amino, acylamino, C1-6 alkylsulfonylamino; R4, R5 independently = H, C2-6 alkenyl, C2-6 alkynyl, (un)substituted C1-6 alkyl, C3-8 cycloalkyl, C3-8 cycloalkyl-C1-6 alkyl, 5- or 6-membered saturated monocyclic heterocyclic group, aryl, C7-14 C7-14
aralkyl, or 5- or 6-membered aromatic monocyclic heterocyclic group optionally fused to a benzene ring, etc.] are prepared These compds. have a
hepatic glycogen phosphorylase inhibitory activity and therefore is useful
as a therapeutic or prophylactic agent for diabetes. Thus, 6.00 g 5-(2-chloro-4,5-difluoro-benzoylamino)-1H-pyrazole-3-carboxylic acid imidazolide was suspended in 50 mL DMF, treated with 1.72 mL 3-picolylamine under ice-cooling, and stirred at room temperature overnight to
give 4.49 g 5-(2-chloro-4,5-difluoro-benzoylamino)-1H-pyrazole-3-carboxylic acid N-(pyridin-3-ylmethyl)amide (II). II showed IC50 of <100 nm against human hepatic glycogen phosphorylase.

IT 915784-71-3P, 5-(2-Chloro-4,5-difluorobenzoylamino)-1H-pyrazole-3-carboxylic acid N-(4-acetylaminobenzyl)amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazole compds. as hepatic glycogen phosphorylase inhibitors and therapeutic agents for diabetes)

RN 915784-71-3 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-[[4-(acetylamino)phenyl]methyl]-5-[(2-chloro-4,5-difluorobenzoyl)amino]- (CA INDEX NAME)

L3 ANSWER 96 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

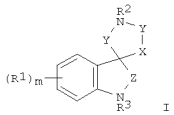
L3 ANSWER 97 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1250697 CAPLUS
DOCUMENT NUMBER: 146:27813
TITLE: Preparation of tricyclic spiro compounds as CRTH2 modulators
INVENTOR(S): Schwarz, Matthias; Sebille, Eric; Cleva, Christophe; Merlot, Cedric; Church, Dennis; Page, Patrick; Macritchie, Jacqueline A.; Atherall, John Frederick; Crosignani, Stefano; Pupowicz, Doris
PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N. V., Neth. Antilles
SOURCE: PCT Int. Appl., 164pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006125784	A1	20061130	WO 2006-EP62545	20060523
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006251138	A1	20061130	AU 2006-251138	20060523
CA 2602965	A1	20061130	CA 2006-2602965	20060523
EP 1891075	A1	20080227	EP 2006-763238	20060523
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008542238	T	20081127	JP 2008-512832	20060523
IN 2007DN07800	A	20071109	IN 2007-DN7800	20071010
MX 2007014256	A	20080326	MX 2007-14256	20071114
KR 2008031191	A	20080408	KR 2007-729797	20071220
CN 101300259	A	20081105	CN 2006-80026640	20080121

PRIORITY APPLN. INFO.: EP 2005-104428 A 20050524
US 2005-688631P P 20050608
WO 2006-EP62545 W 20060523

OTHER SOURCE(S): MARPAT 146:27813
GI

L3 ANSWER 97 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

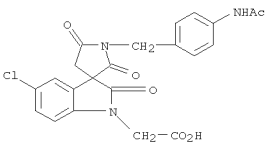


I

AB Title compds. [I; R1 = H, alkyl, alkoxy, haloalkyl, haloalkoxy, halo, aryl, heteroaryl; m = 0-4; R2 = alkyl, (CH2)nR4, (CH2)nOR4, etc.; n = 1-4;
R4 = (substituted) alkyl, alkenyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; X = NH, CH2; Y = CO, CH2; Z = CO, CHR7; R7 = H, alkyl], were prepared Thus, [5-chloro-1'-[(3-methyl-5-phenylisoxazol-4-yl)methyl]-2,5'-dioxospiro[indole-3,3'-pyrrolidin]-1(2H)-yl]acetic acid (preparation outlined)
showed Ki = 3.4 nM for inhibition of binding of [3H]PGD2 to CRTH2.

IT 916047-13-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of tricyclic spiro compds. as CRTH2 modulators)

RN 916047-13-7 CAPLUS
CN Spiro[3H-indole-3,3'-pyrrolidine]-1(2H)-acetic acid, 1'-[[4-(acetylamino)phenyl]methyl]-5-chloro-2,2',5'-trioxo- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

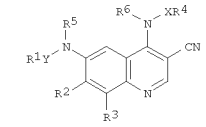
L3 ANSWER 98 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1229196 CAPLUS
DOCUMENT NUMBER: 146:7837
TITLE: Preparation of 3-cyanoquinolines as Tpl-2 kinase inhibitors for treating inflammatory diseases
INVENTOR(S): Green, Neal Jeffrey; Hu, Yonghan; Kaila, Neelu; Janz, Kristin Marie; Thomason, Jennifer R.; Li, Huan-Qiu; Hotchandani, Rajeev; Wu, Junjun; Gopalsamy, Ariamala; Tam, Steve Y.; Lin, Lih-Ling; Cuozzo, John William; Guler, Satenig Y.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 240pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006124692	A2	20061123	WO 2006-US18582	20060512
WO 2006124692	A3	20070412		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006247520	A1	20061123	AU 2006-247520	20060512
CA 2608540	A1	20061123	CA 2006-2608540	20060512
EP 1888529	A2	20080220	EP 2006-752533	20060512
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008540656	T	20081120	JP 2008-512382	20060512
US 20060264460	A1	20061123	US 2006-436485	20060518
MX 2007014261	A	20080122	MX 2007-14261	20071114
IN 2007KN04372	A	20090102	IN 2007-KN4372	20071114
CN 101223143	A	20080716	CN 2006-80026241	20080117

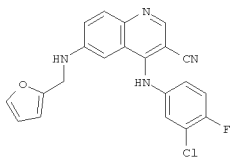
PRIORITY APPLN. INFO.: US 2005-682331P P 20050518
WO 2006-US18582 W 20060512

OTHER SOURCE(S): MARPAT 146:7837
GI

L3 ANSWER 98 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I



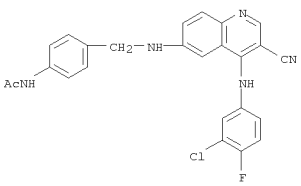
II

AB The invention is related to the preparation of cyanoquinolines I [R1 = (un)substituted cycloalkyl, hetero/aryl, cycloheteroalkyl; R2 = H, halo, CN, NO2, (un)substituted alk(en/yn)yl, aryl, etc.; R3 = H, halo, (un)substituted halo/alkyl, alkoxy, etc.; R4 = (un)substituted cyclo/alkyl, hetero/aryl, 3-10 membered cycloheteroalkyl; R5, R6 = independently H, CHO and derivs., CO2H and derivs., (un)substituted hetero/aryl, alk(en/yn)yl, etc.; Y = (CR72)m; X = (CR82)n; R7, R8 = independently H, halo, OH and derivs., NH2 and derivs., etc.; or CR72, CR82 = independently C:O; m = 0-4; n = 0-1; with the exception of two specified compds.], their analogs, and their pharmaceutically acceptable salts as Tpl-2 kinase inhibitors. The invention is also related to methods of using title compds. I for treating inflammatory diseases, such as rheumatoid arthritis (no data). Thus, cyclization of 2-cyano-3-(4-nitrophenylamino)acrylic acid Et ester, aromatization of quinolone with POCl3, amination of the chloride with 3-chloro-4-fluoroaniline, reduction
of the nitro compound, and reductive alkylation of the amine with 2-furaldehyde gave cyanoquinoline II. Cyanoquinoline II inhibited Tpl-2 kinase with an IC50 value of 0.24 μM.

IT 915360-47-3P, N-[4-[[[4-(3-Chloro-4-fluorophenylamino)-3-cyanoquinolin-6-yl]amino]methyl]phenyl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 3-cyanoquinolines as Tpl-2 kinase inhibitors
for treating inflammatory diseases)

RN 915360-47-3 CAPLUS
CN Acetamide, N-[4-[[[4-[(3-chloro-4-fluorophenyl)amino]-3-cyano-6-quinolinyl]amino]methyl]phenyl]- (CA INDEX NAME)

L3 ANSWER 98 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L3 ANSWER 99 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

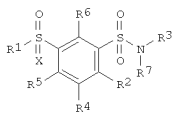
ACCESSION NUMBER: 2006:1225258 CAPLUS
DOCUMENT NUMBER: 146:7703
TITLE: Preparation of diarylsulfone sulfonamides and their use as secreted frizzled related protein-1 modulators for bone disorders such as osteoporosis
INVENTOR(S): Gopalsamy, Ariamala; Moore, William Jay; Kern, Jeffery
Curtis; Molinari, Albert John; Shi, Mengxiao; Welmaker, Gregory Scott; Wilson, Matthew Allan; Krishnamurthy, Girija; Commons, Thomas Joseph; Webb, Michael Byron; Woodworth, Richard P.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 516pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006124875	A2	20061123	WO 2006-US18886	20060512
WO 2006124875	A3	20070118		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20060276464	A1	20061207	US 2006-432788	20060510
AU 2006247334	A1	20061123	AU 2006-247334	20060512
CA 2607326	A1	20061123	CA 2006-2607326	20060512
EP 1879859	A2	20080123	EP 2006-770422	20060512
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008540579	T	20081120	JP 2008-511473	20060512
IN 2007DN08624	A	20080627	IN 2007-DN8624	20071107
NO 2007005781	A	20080205	NO 2007-5781	20071112
MX 2007014240	A	20080507	MX 2007-14240	20071113
KR 2008012361	A	20080211	KR 2007-729176	20071213
CN 101208299	A	20080625	CN 2006-80023300	20071227

PRIORITY APPLN. INFO.: US 2005-681080P P 20050513
US 2006-432788 A 20060510
WO 2006-US18886 W 20060512

OTHER SOURCE(S): MARPAT 146:7703
GI

L3 ANSWER 99 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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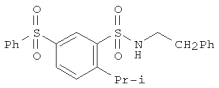


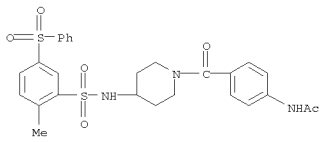
II

AB Title compds. I [R1 = (un)substituted Ph, naphthyl, pyridinyl, pyrrolyl, imidazolyl, etc.; X = O, or an electron pair; R2 = H, perfluoro/alkyl, alkoxy, halo, etc.; R4 = H, halo, perfluoro/cyclo/alkyl, perfluoro/alkoxy; or R2CCR4 = 5-7 membered (un)substituted cycloalkyl; R5, R6 = independently H, perfluoro/alkyl, aryl, alkoxy, halo; R3, R7 = independently H, (un)substituted cyclo/alkyl, alkylaryl, heterocycloalkylcarbonyl, etc.; or R3NR7 = (un)substituted 5-6 membered heterocycloalkyl; with the exception of specified compds.; and their pharmaceutically acceptable salts] were prepared as modulators of secreted frizzled related protein-1 (SFRP-1). Thus, reacting 4-isopropylbenzenesulfonyl chloride with benzene in the presence of AlCl3, followed by chlorosulfonation of diaryl sulfone with chlorosulfonic acid and treatment of 2-(phenyl)ethylamine with sulfonyl chloride gave benzenesulfonamide II (no data for the intermediates). In a fluorescence polarization binding assay, sulfonamide II displayed affinity for SFRP-1 (IC50 = 0.3 μM). In a cell-based assay, selected I were inhibitors of SFRP-1. I, and their pharmaceutical compns., are useful for treating a variety of disorders, including osteoporosis.

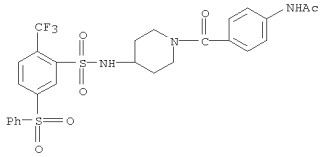
IT 915757-39-0P, N-[4-[[4-[[[2-Methyl-5-(phenylsulfonyl)phenyl]sulfonyl]amino]piperidin-1-yl]carbonyl]phenyl]acetamide 915759-76-1P, N-[4-[[4-[[[5-(Phenylsulfonyl)-2-(trifluoromethyl)phenyl]sulfonyl]amino]piperidin-1-yl]carbonyl]phenyl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of diarylsulfone sulfonamides and their use as secreted frizzled related protein-1 modulators)

RN 915757-39-0 CAPLUS
CN Acetamide, N-[4-[[4-[[[2-methyl-5-(phenylsulfonyl)phenyl]sulfonyl]amino]-1-piperidinyl]carbonyl]phenyl]- (CA INDEX NAME)

L3 ANSWER 99 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 915759-76-1 CAPLUS
CN Acetamide, N-[4-[[4-[[[5-(phenylsulfonyl)-2-(trifluoromethyl)phenyl]sulfonyl]amino]-1-piperidinyl]carbonyl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

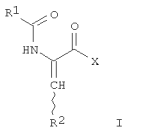
L3 ANSWER 100 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1206578 CAPLUS
DOCUMENT NUMBER: 145:505217
TITLE: Preparation of acrylamide derivatives as bone resorption inhibitors
INVENTOR(S): Aoki, Kazumasa; Suda, Koji; Kaneko, Toshio; Kimura, Tomio
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan
SOURCE: PCT Int. Appl., 232pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006121095	A1	20061116	WO 2006-JP309445	20060511
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006244905	A1	20061116	AU 2006-244905	20060511
CA 2608180	A1	20061116	CA 2006-2608180	20060511
EP 1880720	A1	20080123	EP 2006-746254	20060511
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
KR 2008007361	A	20080118	KR 2007-726142	20071109
MX 2007014213	A	20080205	MX 2007-14213	20071112
IN 2007KN04647	A	20080606	IN 2007-KN4647	20071130
NO 2007006396	A	20080201	NO 2007-6396	20071211
CN 101272774	A	20080924	CN 2006-80025605	20080114

PRIORITY APPLN. INFO.: JP 2005-140019 A 20050512
WO 2006-JP309445 W 20060511
WO 2006-JP9445 W 20060511

OTHER SOURCE(S): MARPAT 145:505217
GI

L3 ANSWER 100 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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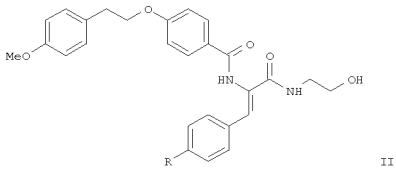
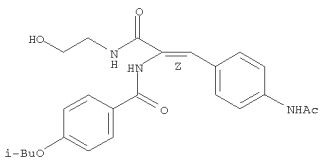


II

AB Title compds. I [R1 = optionally substituted aryl with hydroxy, nitro, cyano, etc., optionally substituted heteroaryl with hydroxy, nitro, cyano, etc.; R2 = optionally substituted aryl with hydroxy, nitro, cyano, etc., optionally substituted heteroaryl with hydroxy, nitro, cyano, etc., optionally substituted heterocyclyl with hydroxy, nitro, cyano, etc.; X = hydroxy, alkoxy, alkoxy substituted with hydroxy, etc.] and their pharmacol. acceptable salts were prepared For example, reaction of N-[4-[2-(4-methoxyphenyl)ethoxy]benzoyl]glycine, e.g., prepared from 4-benzyloxybenzoic acid in 4 steps, with 4-chlorobenzaldehyde followed by treatment with 2-aminoethanol afforded compound II [R = Cl]. Compound II [R = cyclopropyl] decreased the serum calcium concentration by 27.6%.
IT 915012-36-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acrylamide derivs. as bone resorption inhibitors)
RN 915012-36-1 CAPLUS
CN Benzamide, N-[(1Z)-2-[4-(acetylamino)phenyl]-1-[[(2-hydroxyethyl)amino]carbonyl]ethenyl]-4-(2-methylpropoxy)- (CA INDEX NAME)

Double bond geometry as shown.

L3 ANSWER 100 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

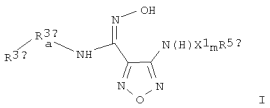
L3 ANSWER 101 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1206440 CAPLUS
DOCUMENT NUMBER: 145:489247
TITLE: Preparation of 4-amino-N'-hydroxy-1,2,5-oxadiazole-3-carboximidamides and related compounds as modulators of indoleamine 2,3-dioxygenase for inhibiting immunosuppression and treating various disorders
INVENTOR(S): Combs, Andrew P.; Yue, Eddy W.
PATENT ASSIGNEE(S): Incyte Corporation, USA
SOURCE: PCT Int. Appl., 154pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006122150	A1	20061116	WO 2006-US17983	20060509
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006244068	A1	20061116	AU 2006-244068	20060509
CA 2606783	A1	20061116	CA 2006-2606783	20060509
US 20060258719	A1	20061116	US 2006-430441	20060509
EP 1879573	A1	20080123	EP 2006-759438	20060509
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008540548	T	20081120	JP 2008-511287	20060509
IN 2007KN04130	A	20080328	IN 2007-KN4130	20071026
MX 2007013977	A	20080205	MX 2007-13977	20071108
NO 2007005693	A	20080207	NO 2007-5693	20071108
KR 2008005954	A	20080115	KR 2007-726204	20071109
CN 101212967	A	20080702	CN 2006-80024326	20080103

PRIORITY APPLN. INFO.: US 2005-679507P P 20050510
WO 2006-US17983 W 20060509

OTHER SOURCE(S): CASREACT 145:489247; MARPAT 145:489247
GI

L3 ANSWER 101 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

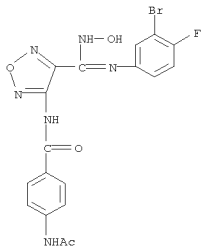
AB The present invention is directed to modulators of indoleamine 2,3-dioxygenase (no data) as well as compns. and pharmaceutical methods thereof. In addition to a very broad claim, I is claimed (e.g. 4-Amino-N-(3-fluorophenyl)-N'-hydroxy-1,2,5-oxadiazole-3-carboximidamide (1)), in which X1 is (CRaRb)t, or (CRaRb)uC(O)(CRaRb)v; R3a is C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, aryl, cycloalkyl, heteroaryl, or heterocycloalkyl, each (un)substituted; R3b is H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, aryl, cycloalkyl, heteroaryl, or heterocycloalkyl, each (un)substituted; R5b is H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, aryl, cycloalkyl, heteroaryl, or heterocycloalkyl, each (un)substituted; Ra and Rb = H, halo, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-4 haloalkyl, aryl, cycloalkyl, heteroaryl, et al.; a = 0-1; m = 0-1; t = 1-6; u = 0-6; and v = 0-6; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data for 290 examples of I are included. For example, 1 was prepared in 2 steps (21 and 29 % yields, resp.) by 1st converting 4-amino-N'-hydroxy-1,2,5-oxadiazole-3-carboximidamide to 4-amino-N-hydroxy-1,2,5-oxadiazole-3-carboximidoyl chloride, followed by substitution with 3-fluoroaniline.

IT 914472-86-9P, 4-(Acetylamino)-N-[4-[[(3-bromo-4-fluorophenyl)amino](hydroxyimino)methyl]-1,2,5-oxadiazol-3-yl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 4-amino-N'-hydroxy-1,2,5-oxadiazole-3-carboximidamides and related compds. as modulators of indoleamine 2,3-dioxygenase for inhibiting immunosuppression and treating various disorders)

RN 914472-86-9 CAPLUS

CN Benzamide, 4-(acetylamino)-N-[4-[[(3-bromo-4-fluorophenyl)imino](hydroxyamino)methyl]-1,2,5-oxadiazol-3-yl]- (CA INDEX NAME)

L3 ANSWER 101 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 102 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1164054 CAPLUS
DOCUMENT NUMBER: 147:72903
TITLE: Study of alkaloids of the Siberian and Altai flora 12.
Synthesis of new lappaconitine derivatives containing olefinic substituents
AUTHOR(S): Osadchii, S. A.; Shul'ts, E. E.; Polukhina, E. V.; Shakirov, M. M.; Tolstikov, G. A.
CORPORATE SOURCE: N. N. Vorozhtsov Novosibirsk Institute of Organic Chemistry, Siberian Branch of the Russian Academy of Sciences, Novosibirsk, 630090, Russia
SOURCE: Russian Chemical Bulletin (2006), 55(6), 1077-1084
CODEN: RCBUEY; ISSN: 1066-5285
PUBLISHER: Springer
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:72903

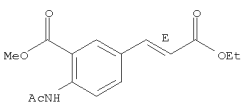
AB Lappaconitine and N-deacetyllappaconitine derivs. containing bromine and iodine atoms in the aromatic moiety were synthesized. The Heck cross-coupling of these halides with Et acrylate or 2-methyl-5-vinylpyridine afforded new olefinated lappaconitine derivs.

IT 941601-18-9P 941601-19-0P 941601-21-4P
941601-22-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of new lappaconitine derivs. containing olefinic substituents
via Heck cross-coupling reaction)

RN 941601-18-9 CAPLUS

CN Benzoic acid, 2-(acetylamino)-5-[(1E)-3-ethoxy-3-oxo-1-propen-1-yl]-, methyl ester (CA INDEX NAME)

Double bond geometry as shown.

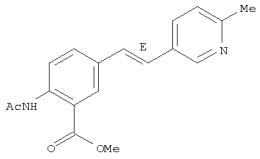


RN 941601-19-0 CAPLUS

CN Benzoic acid, 2-(acetylamino)-5-[(1E)-2-(6-methyl-3-pyridinyl)ethenyl]-, methyl ester (CA INDEX NAME)

Double bond geometry as shown.

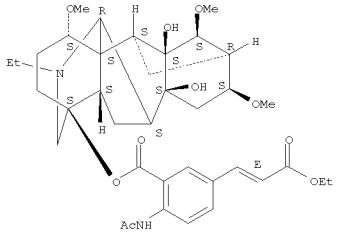
L3 ANSWER 102 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 941601-21-4 CAPLUS

CN Aconitane-4,8,9-triol, 20-ethyl-1,14,16-trimethoxy-, 4-[2-(acetylamino)-5-[(1E)-3-ethoxy-3-oxo-1-propen-1-yl]benzoate], (1α,14α,16β)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

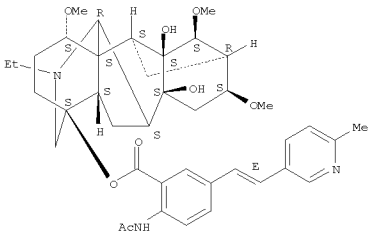


RN 941601-22-5 CAPLUS

CN Aconitane-4,8,9-triol, 20-ethyl-1,14,16-trimethoxy-, 4-[2-(acetylamino)-5-[(1E)-2-(6-methyl-3-pyridinyl)ethenyl]benzoate], (1α,14α,16β)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L3 ANSWER 102 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 103 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

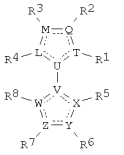
ACCESSION NUMBER: 2006:1147225 CAPLUS
DOCUMENT NUMBER: 145:489242
TITLE: Heterocyclic ortho-terphenyl analogs (thiazoles, oxazoles, isoxazoles, and pyrazoles, etc.) as inhibitors of p38 kinase, and methods of treating inflammatory disorders and other diseases using them
INVENTOR(S): Severance, Daniel L.; Gardiner, Elisabeth M. M.; Noble, Stewart A.; Lou, Boliang; Borchardt, Allen J.; Kahraman, Mehmet; Roppe, Jeffrey R.; Siegel, Dana L.; Scranton, Shawn A.
PATENT ASSIGNEE(S): Kalypsys, Inc., USA
SOURCE: PCT Int. Appl., 325pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006116355	A1	20061102	WO 2006-US15552	20060420
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2605603	A1	20061102	CA 2006-2605603	20060420
US 20060252807	A1	20061109	US 2006-409451	20060420
EP 1871770	A1	20080102	EP 2006-751314	20060420
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: US 2005-674047P P 20050422
US 2006-776594P P 20060224
WO 2006-US15552 W 20060420

OTHER SOURCE(S): MARPAT 145:489242
GI

L3 ANSWER 103 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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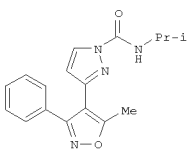


II

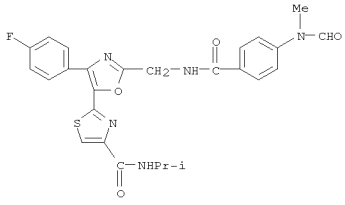
AB The invention relates to compds. I and methods of their use. I are useful as inhibitors of p38 kinase, and are thereby useful for the treatment or prevention of diseases such as inflammatory diseases, autoimmune diseases, destructive bone disorders, proliferative disorders, angiogenic disorders, infectious diseases, neurodegenerative diseases, and viral diseases. In compds. I, groups L, M, T, X and Y are independently N, C, O, or S; groups Q, U, V and W are independently N or C; group Z is N, C(O), C, O or S; R1 is alkoxy, lower alkyl, lower alkylacyl, lower alkylalkoxy, lower alkyl ether, amide, amino, lower aminoalkyl, halo, H, OH, or null, all optionally substituted; R2 is sidechain based on CO2H or SH or their derivs.; R3 is alkoxy, lower alkyl, lower alkyl ether, amino, lower aminoalkyl, halo, haloalkyl, H, OH, or null, all optionally substituted; R4 is lower alkyl, halo, haloalkyl, H, or null, all optionally substituted; R5 and R6 are independently acyl, alkanoyl, alkoxy, alkoxyaryl, lower alkyl, alkylene, amido, amino, aminoalkyl, aryl, aralkyl, carboxy, cyano, cycloalkyl, cycloalkylalkyl, cycloalkyloxy, ester, guanidino, halo, haloalkoxy, haloalkyl, heteroaralkyl, heterocycloalkyl, heterocycloalkylalkyl, H, OH, imino, iminohydroxy, nitro, null, O-carbamoyl, N-carbamoyl, S-sulfonamido, thio or ureido, all optionally substituted; or R5 and R6 may combine to form heteroaryl or heterocycloalkyl, both optionally substituted; R7 is lower alkylacyl, lower alkyl, lower alkyl ether, halo, H, OH, lower hydroxyalkyl, or null, all optionally substituted; R8 is aryl or heteroaryl, both optionally substituted; including salts, esters, tautomers, and prodrugs. Approx. 2200 examples are listed, with synthetic details given for about 150 compds., and SMILES strings for the remainder.

Inhibitory activity toward p38α kinase is given for all compds. For instance, invention compound II was prepared in 4 steps: (1) cyclocondensation of α-chlorobenzoyl oxime with acetylacetone to give 1-(5-methyl-3-phenylisoxazol-4-yl)ethanone (65%); (2) α-dimethylaminomethylenation of the ketone with DMF-DMA (66%); (3) cyclocondensation of the resultant keto enamine with hydrazine to give a pyrazole derivative (96%); and (4) N-carbamoylation of the pyrazole with iso-Pr isocyanate (66.8%). Compound II was a potent inhibitor of p38α kinase, with an IC50 ≤ 1 μM. Some compds. I were also tested for inhibition of TNF-α production in LPS-stimulated mice. For instance, compound II gave >15% inhibition at 30 mg/kg orally.

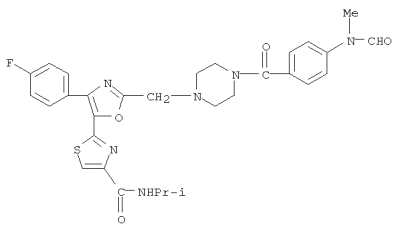
L3 ANSWER 103 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

IT 914267-93-9P 914270-27-2P 914272-58-5P 914275-28-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heterocyclic ortho-terphenyl analogs as inhibitors of p38 kinase for treatment of inflammatory disorders)

RN 914267-93-9 CAPLUS
CN 4-Thiazolecarboxamide, 2-[4-(4-fluorophenyl)-2-[[[4-(formylmethylamino)benzoyl]amino]methyl]-5-oxazolyl]-N-(1-methylethyl)- (CA INDEX NAME)

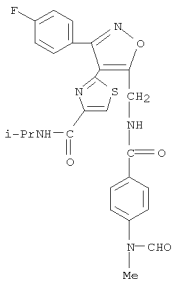


RN 914270-27-2 CAPLUS
CN 4-Thiazolecarboxamide, 2-[4-(4-fluorophenyl)-2-[[4-[4-(formylmethylamino)benzoyl]-1-piperazinyl]methyl]-5-oxazolyl]-N-(1-methylethyl)- (CA INDEX NAME)



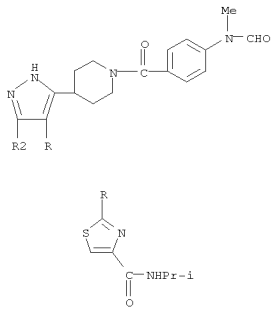
RN 914272-58-5 CAPLUS
CN 4-Thiazolecarboxamide, 2-[3-(4-fluorophenyl)-5-[[[4-(formylmethylamino)benzoyl]amino]methyl]-4-isoxazolyl]-N-(1-methylethyl)- (CA INDEX NAME)

L3 ANSWER 103 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



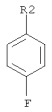
RN 914275-28-8 CAPLUS
CN 4-Thiazolecarboxamide, 2-[3-(4-fluorophenyl)-5-[1-[4-(formylmethylamino)benzoyl]-4-piperidinyl]-1H-pyrazol-4-yl]-N-(1-methylethyl)- (CA INDEX NAME)

PAGE 1-A



L3 ANSWER 103 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 2-A



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 104 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1097510 CAPLUS
DOCUMENT NUMBER: 145:438420
TITLE: Preparation of N-[[(ureido)phenoxy]hetero/aryl]benzamides and related derivatives as NPY antagonists and their use for treating obesity, and abnormal food behavior and for controlling food intake
INVENTOR(S): Botez, Iuliana; David-Basei, Christelle; Gourlaoueen, Nelly; Nicolaie, Eric; Balavoine, Fabrice; Valette, Gerard; Serradeil-Le Gal, Claudine
PATENT ASSIGNEE(S): Cerep, Fr.
SOURCE: PCT Int. Appl., 430pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006108965	A2	20061019	WO 2006-FR829	20060414
WO 2006108965	A3	20070329		
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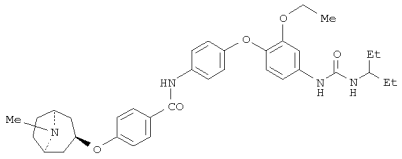
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
FR 2884516	A1	20061020	FR 2005-3795	20050415
FR 2884516	B1	20070622		
AU 2006234413	A1	20061019	AU 2006-234413	20060414
CA 2604773	A1	20061019	CA 2006-2604773	20060414
EP 1879887	A2	20080123	EP 2006-743700	20060414
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008538749	T	20081106	JP 2008-505929	20060414
MX 2007012847	A	20080325	MX 2007-12847	20071012
NO 2007005322	A	20080111	NO 2007-5322	20071017
IN 2007DN08214	A	20080704	IN 2007-DN8214	20071024
KR 2008009112	A	20080124	KR 2007-726216	20071112
CN 101198604	A	20080611	CN 2006-80021275	20071214

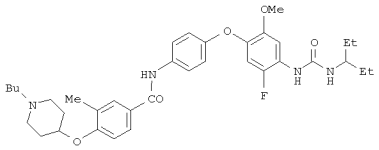
PRIORITY APPLN. INFO.: FR 2005-3795 A 20050415
WO 2006-FR829 W 20060414

OTHER SOURCE(S): MARPAT 145:438420
GI

L3 ANSWER 104 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



II



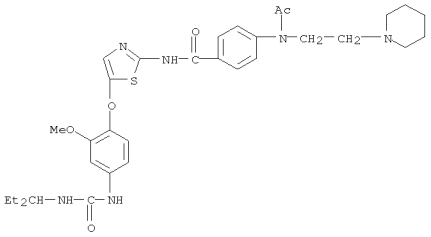
III

AB Title compds. R8R9N-L3-A-Ar3(R5R6)-L2-Ar2(R3R4)-L1-Ar1(R1R2)-Z-C(:Y)-X [I; X = di/alkylamino, hydrazino; Z = O, NH; Ar1 = Ph; Y = O, S; or Y = N, in which case Y, Z, and the Ph to which Z is attached form a benzimidazole or benzoxazole ring; R1, R2 = independently H, halo, OH, etc.; L1 = O, S, alkylene; Ar2 = hetero/aryl, heterocyclyl; R3 = independently H, halo, OH, CF3, OCF3, etc.; R1R2Ar1L1Ar2 = tricycle in which R1R3 = alkylene, L1 = O, S, and Ar2 = Ph; L2 = CONH and derivs., CH2O, OCH2, a bond with provisos; Ar3 = hetero/aryl, heterocyclyl; when L2 = a bond, Ar3 and Ar2 cannot be simultaneously heteroaryl or heterocyclyl; R5, R6 = independently H, halo, OH, alkyl, etc.; A = a bond, O, alkyl(id)ene, CONH, etc. L3 = (un)substituted cyclo/alkylene, bicyclo or polycycloalkyl(id)ene, etc. with proviso; or L3AAr3 = O heterocycle; R8, R9 = independently H, NH2, alkoxy/cyclo/alkyl, heterocyclyl, etc.; or NR8R9 = mono or poylcyclic N heterocycle; including quaternary ammonium compds. containing N+R8R9R10; R10 = alkyl; with provisos; and their pharmaceutically acceptable salts, solvates and hydrates, optical and geometrical isomers and their mixts.] were prepared as neuropeptide Y (NPY) antagonists, particularly selective NPY Y1 subtype antagonists, and their use in therapeutic or prophylactic treatment all NPY involving disorders. Pharmaceutical compns. comprising I and treating methods using them are also disclosed. Thus, II, isolated as HCl salt, was prepared by reacting tropine with 4-fluorobenzonitrile, followed by nitrile hydrolysis, activation of the acid in the presence of TBTU/HOBT in DMF, and reaction with 1-[4-(4-aminophenoxy)-3-ethoxyphenyl]-3-(1-ethylpropyl)urea. III bound specifically to NPY Y1 receptor (IC50 for neuropeptide Y1, Y2, Y4, and Y5 receptors = 1.80 nM, > 10,000 nM, 2620 NM, and > 10,000 nM, resp.). In a test measuring the effects of III on arterial hypertension induced by

L3 ANSWER 104 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
[Leu31,Pro34]NPY in anesthetized rats, 3 mg/kg III administered orally reduced the blood pressure by .apprx.10 mm Hg after 1.5 h. I are useful for treating diseases characterized by elevated neuropeptide Y activity such as obesity, and abnormal food behavior, and for controlling food intake.

IT 912943-81-8P, 4-[(Acetyl)[2-(piperidin-1-yl)ethyl]amino]-N-[5-[4-[3-(1-ethylpropyl)ureido]-2-methoxyphenoxy]thiazol-2-yl]benzamide
912943-85-2P, 4-[(Acetyl)[2-(piperidin-1-yl)ethyl]amino]-N-[4-[4-[3-(1-ethylpropyl)ureido]phenoxy]-3-methylphenyl]benzamide
912944-48-0P, 4-[(Acetyl)[3-(piperidin-1-yl)propyl]amino]-N-[4-[4-[3-(1-ethylpropyl)ureido]phenoxy]-3-methylphenyl]benzamide
912944-69-5P, 4-[(Acetyl)[3-(piperidin-1-yl)propyl]amino]-N-[5-[4-[3-(1-ethylpropyl)ureido]-2-methoxyphenoxy]thiazol-2-yl]benzamide
912944-70-8P, 2-[4-[4-[(Acetyl)(3-diethylaminopropyl)amino]benzoylamino]phenoxy]-5-[3-(1-ethylpropyl)ureido]-N-methylbenzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of NPY antagonists and their use for treating
obesity, and abnormal food behavior and for controlling food intake)

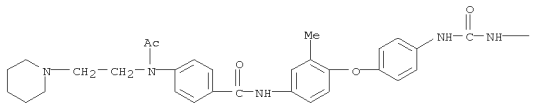
RN 912943-81-8 CAPLUS
CN Benzamide, 4-[acetyl[2-(1-piperidinyl)ethyl]amino]-N-[5-[4-[[[(1-ethylpropyl)amino]carbonyl]amino]-2-methoxyphenoxy]-2-thiazolyl]- (CA INDEX NAME)



RN 912943-85-2 CAPLUS
CN Benzamide, 4-[acetyl[2-(1-piperidinyl)ethyl]amino]-N-[4-[4-[[[(1-ethylpropyl)amino]carbonyl]amino]phenoxy]-3-methylphenyl]- (CA INDEX NAME)

L3 ANSWER 104 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-A

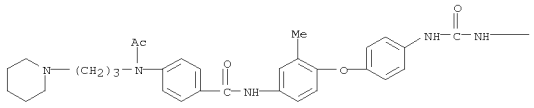


PAGE 1-B

— $CHEt_2$

RN 912944-48-0 CAPLUS
CN Benzamide, 4-[acetyl[3-(1-piperidinyl)propyl]amino]-N-[4-[4-[[[(1-ethylpropyl)amino]carbonyl]amino]phenoxy]-3-methylphenyl]- (CA INDEX NAME)

PAGE 1-A

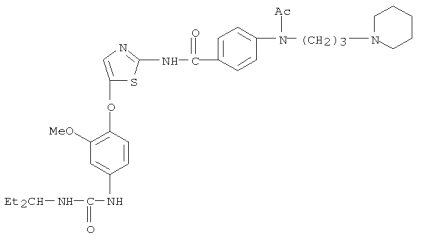


PAGE 1-B

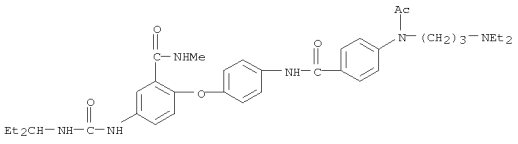
— $CHEt_2$

RN 912944-69-5 CAPLUS
CN Benzamide, 4-[acetyl[3-(1-piperidinyl)propyl]amino]-N-[5-[4-[[[(1-ethylpropyl)amino]carbonyl]amino]-2-methoxyphenoxy]-2-thiazolyl]- (CA INDEX NAME)

L3 ANSWER 104 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

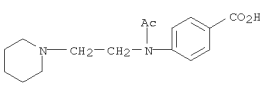


RN 912944-70-8 CAPLUS
CN Benzamide, 2-[4-[[4-[acetyl[3-(diethylamino)propyl]amino]benzoyl]amino]phenoxy]-5-[[[(1-ethylpropyl)amino]carbonyl]amino]-N-methyl- (CA INDEX NAME)

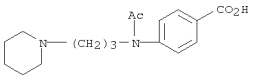


IT 912947-86-5P, 4-[(Acetyl)[2-(piperidin-1-yl)ethyl]amino]benzoic acid 912947-90-1P, 4-[(Acetyl)[3-(piperidin-1-yl)propyl]amino]benzoic acid 912947-94-5P, Ethyl 4-[(Acetyl)[3-(piperidin-1-yl)propyl]amino]benzoate 912947-96-7P, 4-[(Acetyl)(3-diethylaminopropyl)amino]benzoic acid 912948-03-9P, Methyl 4-[(Acetyl)(3-diethylaminopropyl)amino]benzoate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of NPY antagonists and their use for treating
obesity, and abnormal food behavior and for controlling food intake)

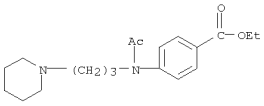
RN 912947-86-5 CAPLUS
CN Benzoic acid, 4-[acetyl[2-(1-piperidinyl)ethyl]amino]- (CA INDEX NAME)



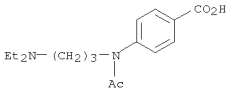
L3 ANSWER 104 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 912947-90-1 CAPLUS
CN Benzoic acid, 4-[acetyl[3-(1-piperidinyl)propyl]amino]- (CA INDEX NAME)



RN 912947-94-5 CAPLUS
CN Benzoic acid, 4-[acetyl[3-(1-piperidinyl)propyl]amino]-, ethyl ester (CA INDEX NAME)



RN 912947-96-7 CAPLUS
CN Benzoic acid, 4-[acetyl[3-(diethylamino)propyl]amino]- (CA INDEX NAME)



RN 912948-03-9 CAPLUS
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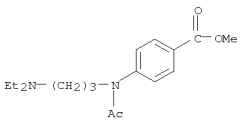

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 105 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1093812 CAPLUS
DOCUMENT NUMBER: 145:419171
TITLE: Preparation of 1H-quinazoline-2,4-diones as AMPA-receptor ligands
INVENTOR(S): Allgeier, Hans; Auberson, Yves; Carcache, David; Floersheim, Philipp; Guibourdenche, Christel; Froestl, Wolfgang; Kallen, Joerg; Koller, Manuel; Mattes, Henri; Nozulak, Joachim; Orain, David; Renaud, Johanne
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 157pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006108591	A1	20061019	WO 2006-EP3251	20060410
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006233639	A1	20061019	AU 2006-233639	20060410
CA 2601986	A1	20061019	CA 2006-2601986	20060410
EP 1871749	A1	20080102	EP 2006-724185	20060410
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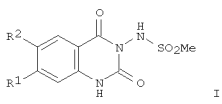
JP 2008536839	T	20080911	JP 2008-505790	20060410
IN 2007DN06940	A	20070928	IN 2007-DN6940	20070907
US 20080153836	A1	20080626	US 2007-911040	20071009
MX 2007012592	A	20071116	MX 2007-12592	20071010
KR 2007110919	A	20071120	KR 2007-723171	20071010
CN 101155789	A	20080402	CN 2006-80011666	20071011
NO 2007005749	A	20080111	NO 2007-5749	20071109

PRIORITY APPLN. INFO.: GB 2005-7298 A 20050411
WO 2006-EP3251 W 20060410

OTHER SOURCE(S): MARPAT 145:419171
GI

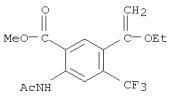


I

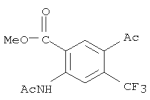
AB Title compds. represented by the formula I [wherein R1 = CF3, CHF2, CH2F, etc.; R2 = (un)substituted (heterocyclyl)alkyl, heterocyclyl or phenyl; and their salts thereof] were prepared as AMPA-receptor ligands. For example, I (R1 = CF3, R2 = MeCO) was provided in a multi-step synthesis starting from 2-nitro-4-trifluoromethylbenzoic acid. I [R1 = CF3, R2 = EtOCH(Me)] showed AMPA-receptor binding activity with IC50 value of 1 μM. Thus, title compds. and their pharmaceutical compns. are useful as AMPA-receptor ligands, in particular for the treatment of epilepsy or schizophrenia (no data).

IT 912573-58-1P, 2-Acetylamino-5-(1-ethoxyvinyl)-4-trifluoromethylbenzoic acid methyl ester 912573-59-2P, 5-Acetyl-2-acetylamino-4-trifluoromethylbenzoic acid methyl ester 912573-65-0P, 2-Acetylamino-5-(1-hydroxyethyl)-4-trifluoromethylbenzoic acid methyl ester 912573-74-1P, 2-Acetylamino-4-trifluoromethyl-5-vinylbenzoic acid methyl ester 912573-75-2P, 2-Acetylamino-5-formyl-4-trifluoromethylbenzoic acid methyl ester 912573-76-3P, 2-Acetylamino-5-(1-hydroxypropyl)-4-trifluoromethylbenzoic acid methyl ester 912573-77-4P, 2-Acetylamino-5-propionyl-4-trifluoromethylbenzoic acid methyl ester 912573-86-5P, 2-Acetylamino-5-(1-hydroxybutyl)-4-trifluoromethylbenzoic acid methyl ester 912573-87-6P, 2-Acetylamino-5-butyryl-4-trifluoromethylbenzoic acid methyl ester 912574-90-4P, 2-Acetylamino-5-((E)-3-dimethylamino-2-propenoyl)-4-trifluoromethylbenzoic acid methyl ester 912575-51-0P, 2-Acetylamino-5-[1-(ethoxycarbonylhydrazono)ethyl]-4-trifluoromethylbenzoic acid methyl ester 912575-53-2P, 2-Acetylamino-5-[2,2-dichloro-1-(ethoxycarbonylhydrazono)ethyl]-4-trifluoromethylbenzoic acid methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1H-quinazoline-2,4-diones as AMPA-receptor ligands)

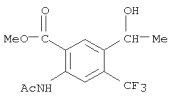
RN 912573-58-1 CAPLUS
CN Benzoic acid, 2-(acetylamino)-5-(1-ethoxyethenyl)-4-(trifluoromethyl)-, methyl ester (CA INDEX NAME)



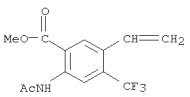
RN 912573-59-2 CAPLUS
CN Benzoic acid, 5-acetyl-2-(acetylamino)-4-(trifluoromethyl)-, methyl ester
(CA INDEX NAME)



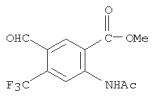
RN 912573-65-0 CAPLUS
CN Benzoic acid, 2-(acetylamino)-5-(1-hydroxyethyl)-4-(trifluoromethyl)-, methyl ester (CA INDEX NAME)



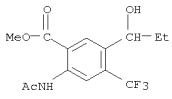
RN 912573-74-1 CAPLUS
CN Benzoic acid, 2-(acetylamino)-5-ethenyl-4-(trifluoromethyl)-, methyl ester
(CA INDEX NAME)



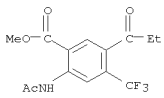
RN 912573-75-2 CAPLUS
CN Benzoic acid, 2-(acetylamino)-5-formyl-4-(trifluoromethyl)-, methyl ester
(CA INDEX NAME)



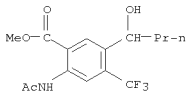
RN 912573-76-3 CAPLUS
CN Benzoic acid, 2-(acetylamino)-5-(1-hydroxypropyl)-4-(trifluoromethyl)-, methyl ester (CA INDEX NAME)



RN 912573-77-4 CAPLUS
CN Benzoic acid, 2-(acetylamino)-5-(1-oxopropyl)-4-(trifluoromethyl)-, methyl ester (CA INDEX NAME)

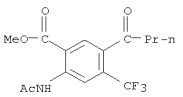


RN 912573-86-5 CAPLUS
CN Benzoic acid, 2-(acetylamino)-5-(1-hydroxybutyl)-4-(trifluoromethyl)-, methyl ester (CA INDEX NAME)



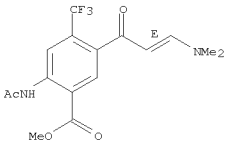
RN 912573-87-6 CAPLUS
CN Benzoic acid, 2-(acetylamino)-5-(1-oxobutyl)-4-(trifluoromethyl)-, methyl ester (CA INDEX NAME)

L3 ANSWER 105 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

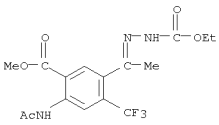


RN 912574-90-4 CAPLUS
CN Benzoic acid, 2-(acetylamino)-5-[(2E)-3-(dimethylamino)-1-oxo-2-propen-1-yl]-4-(trifluoromethyl)-, methyl ester (CA INDEX NAME)

Double bond geometry as shown.

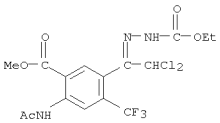


RN 912575-51-0 CAPLUS
CN Hydrazinecarboxylic acid, 2-[1-[4-(acetylamino)-5-(methoxycarbonyl)-2-(trifluoromethyl)phenyl]ethylidene]-, ethyl ester (CA INDEX NAME)



RN 912575-53-2 CAPLUS
CN Hydrazinecarboxylic acid, 2-[1-[4-(acetylamino)-5-(methoxycarbonyl)-2-(trifluoromethyl)phenyl]-2,2-dichloroethylidene]-, ethyl ester (CA INDEX NAME)

L3 ANSWER 105 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 106 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1065682 CAPLUS
DOCUMENT NUMBER: 145:419141
TITLE: Preparation of dihydrobenzimidazole moiety-containing propane-1,3-dione derivatives as GnRH receptor antagonists
INVENTOR(S): Hirano, Masaaki; Kinoyama, Isao; Matsumoto, Shunichiro; Kawaminami, Eiji; Ohnuki, Kei; Yamamoto, Hirofumi; Osoda, Kazuhiko; Takahashi, Tatsuhisa; Shin, Takashi; Koike, Takanori; Shimada, Itsuro; Hisamichi, Hiroyuki; Kusayama, Toshiyuki
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: PCT Int. Appl., 118pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

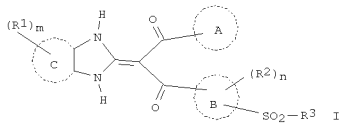
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006106812	A1	20061012	WO 2006-JP306641	20060330
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006232469	A1	20061012	AU 2006-232469	20060330
CA 2603185	A1	20061012	CA 2006-2603185	20060330
EP 1864976	A1	20071212	EP 2006-730589	20060330
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101142193	A	20080312	CN 2006-80008358	20070914
MX 2007011997	A	20071207	MX 2007-11997	20070927
IN 2007CN04340	A	20080125	IN 2007-CN4340	20071001
NO 2007005482	A	20071219	NO 2007-5482	20071030
KR 2007119716	A	20071220	KR 2007-725090	20071030

PRIORITY APPLN. INFO.:

JP 2005-101437	A	20050331
JP 2005-353577	A	20051207
WO 2006-JP306641	W	20060330
WO 2006-JP6641	W	20060330

OTHER SOURCE(S): MARPAT 145:419141
GI

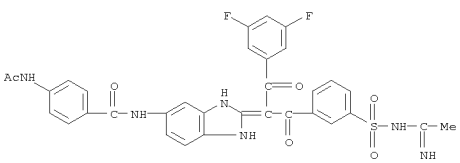
L3 ANSWER 106 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



AB The title compds. I [ring A = (un)substituted aryl, (un)substituted heteroaryl; ring B = benzene ring or thiophene ring; ring C = benzene ring, 5- to 7-membered aliphatic hydrocarbon ring; R1 = halo, (un)substituted hydrocarbon group, (un)substituted heterocyclyl, etc.; R2 = halo, alkyl, haloalkyl, etc.; m, n = 0 - 2; R3 = NR51R52, N(R73)NR74R75, etc.; further details on R3 are given; R51, R52 = H, (un)substituted alkyl, (un)substituted heteroaryl, etc.; R73, R74 = H, alkyl; R75 = H, alkyl, heteroaryl, etc.; a proviso is given] are prepared Thus, 3-[3-(3,5-difluorophenyl)-2-(1,3-dihydro-2H-benzimidazol-2-ylidene)-3-oxopropanoyl]-N-(iminomethyl)benzenesulfonamide was prepared in 2 steps from 1-(3,5-difluorophenyl)-2-(1,3-dihydro-2H-benzimidazol-2-ylidene)ethanone and 3-(chlorosulfonyl)benzoyl chloride. In an assay for gonadotropin-releasing hormone (GnRH) receptor antagonism, compds. of this invention showed IC50 values of 0.058 nM to 0.24 nM.

IT 912585-50-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dihydrobenzimidazole moiety-containing propane-1,3-dione derivs. as GnRH receptor antagonists)

RN 912585-50-3 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[2-[1-(3,5-difluorobenzoyl)-2-[3-[[(1-iminoethyl)amino]sulfonyl]phenyl]-2-oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 106 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L3 ANSWER 107 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1057923 CAPLUS
DOCUMENT NUMBER: 146:245741
TITLE: Utilization of aminophenone derivatives in toxicology
INVENTOR(S): Levine, Howard L.; Bologna, William J.; De Ziegler, Dominique
PATENT ASSIGNEE(S): Columbia Laboratories, Inc., USA
SOURCE: Rom., 12pp.
CODEN: RUXXA3
DOCUMENT TYPE: Patent
LANGUAGE: Romanian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

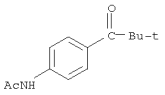
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RO 120815	B1	20060830	RO 1999-777	19990707
PRIORITY APPLN. INFO.:			RO 1999-777	19990707

OTHER SOURCE(S): MARPAT 146:245741

AB The invention relates to a novel utilization of aminophenone derivs. in toxicol., for treating intoxications with cyanogenic toxic substances. According to the invention, the utilization of aminophenone derivs. is made administering them i.m., this being an administering way allowing quick installation of the antidote effect, or orally, thus allowing a long term effect, useful in preventing the neurointoxications with cyanogenic products.

IT 925411-59-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(utilization of aminophenone derivs. in toxicol.)

RN 925411-59-2 CAPLUS
CN Acetamide, N-[4-(2,2-dimethyl-1-oxopropyl)phenyl]- (CA INDEX NAME)



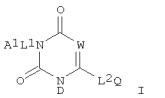
L3 ANSWER 108 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1031249 CAPLUS
DOCUMENT NUMBER: 145:397552
TITLE: Preparation of pyrimidinediones and triazinediones as prokineticin 1 (PK1) receptor antagonists.
INVENTOR(S): Coats, Steven J.; Dyatkin, Alexey B.; He, Wei; Lisko, Joseph; Ralbovsky, Janet L.; Schultz, Mark J.
PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.; Miskowski, Tamara, A.
SOURCE: PCT Int. Appl., 173pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006104715	A1	20061005	WO 2006-US9613	20060314
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006229793	A1	20061005	AU 2006-229793	20060314
CA 2602576	A1	20061005	CA 2006-2602576	20060314
US 20060235018	A1	20061019	US 2006-375407	20060314
EP 1866290	A1	20071219	EP 2006-738649	20060314
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008534500	T	20080828	JP 2008-503045	20060314
MX 2007011848	A	20080422	MX 2007-11848	20070924
IN 2007KN03798	A	20080125	IN 2007-KN3798	20071008
KR 2008006564	A	20080116	KR 2007-724515	20071024
CN 101326168	A	20081217	CN 2006-80017800	20071122
PRIORITY APPLN. INFO.:			US 2005-665002P P	20050324
			WO 2006-US9613 W	20060314

OTHER SOURCE(S): MARPAT 145:397552
GI

L3 ANSWER 108 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

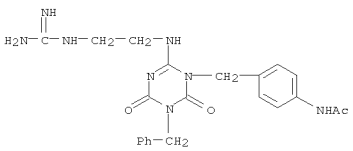


I

AB Title compds. [I; A1 = H, (substituted) aryl, heteroaryl, cycloalkyl, heterocyclyl; L1 = (substituted) (CH2)r, CH2CH2X(CH2)s; r = 1-5; s = 1-3; X = O, S; D = PA2; when A2 = H, P = (CH2)4-6; when A2 ≠ H, P = CH2, CH2CH2, CH2CH:CH; A2 = H, (substituted) benzodioxolyl, heteroaryl, cycloalkyl, Ph; W = N, CRw; Rw = H, alkyl; L2 = pyrrolidinylene, piperidinylene, etc.; Q = CmNRaG; m = 0, 1; G = C(:NRb)NRcRd; Ra, Rd = H, (substituted) alkyl, alkenyl, alkynyl; Rb = H, cyano, alkyl, alkenyl, alkynyl, alkoxycarbonyl; Rc = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, etc.; RbRc = atoms to form a 5-8 membered (oxo-substituted) ring; with provisos], were prepared Thus, N-[2-[5-(4-ethylbenzyl)-1-(4-methoxybenzyl)-4,6-dioxo-1,4,5,6-tetrahydro-1,3,5-triazin-2-ylamino]ethyl]guanidine (preparation from 4-methoxybenzylthiourea, N-chlorocarbonyl isocyanate, 4-ethylbenzyl alc., ethylenediamine, and pyrazole-1-carboxamidine given) inhibited PK1 in a Ca2+ mobilization assay with IC50 = 0.058 μM.

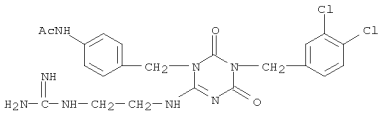
IT 910113-40-5P 910113-64-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of pyrimidinediones and triazinediones as prokineticin 1 receptor antagonists)

RN 910113-40-5 CAPLUS
CN Acetamide, N-[4-[[6-[[2-[(aminoiminomethyl)amino]ethyl]amino]-3,4-dihydro-2,4-dioxo-3-(phenylmethyl)-1,3,5-triazin-1(2H)-yl]methyl]phenyl]- (CA INDEX NAME)



RN 910113-64-3 CAPLUS
CN Acetamide, N-[4-[[6-[[2-[(aminoiminomethyl)amino]ethyl]amino]-3-[(3,4-dichlorophenyl)methyl]-3,4-dihydro-2,4-dioxo-1,3,5-triazin-1(2H)-yl]methyl]phenyl]- (CA INDEX NAME)

L3 ANSWER 108 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

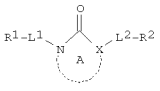
L3 ANSWER 109 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1031178 CAPLUS
DOCUMENT NUMBER: 145:419138
TITLE: Preparation of 3-benzylpyrrolidin-2-one and N-benzylimidazolidin-2-one derivatives as prophylactic/therapeutic agents for diabetes
INVENTOR(S): Cho, Nobuo; Kasai, Shizuo; Yamashita, Toshiro
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 743pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006104280	A1	20061005	WO 2006-JP307402	20060331
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1864971	A1	20071212	EP 2006-731350	20060331
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: JP 2005-102913 A 20050331
JP 2005-306397 A 20051020
WO 2006-JP307402 W 20060331

GI



I

AB 11β-Hydroxysteroid dehydrogenase 1 inhibitors comprising compds. represented by the formula (I) or salts thereof or prodrugs of the compds.

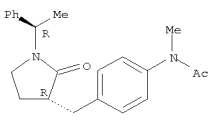
L3 ANSWER 109 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

or the salts [R1 = (un)substituted cyclic group; R2 = H, (un)substituted cyclic group; X = N, CR3; R3 = H, substituent; L1, L2 = a bond, (un)substituted bivalent aliph. hydrocarbon group, -(akn1)m-Y-(akn2)n; akn1, akn2 = (un)substituted C1-6 alkylene; m, n = 0, 1; Y = O, S, SO, SO2, NR4, SO2NR4, NR4SO2; R4 = H, (un)substituted C1-6 alkyl; ring A = (un)substituted 4- to 7-membered nonarom. heterocyclic ring optionally fused to a ring] are disclosed. These compds. have an excellent inhibitory activity against 11β-hydroxysteroid dehydrogenase 1 and are useful as prophylactic/therapeutic agents for diabetes, insulin resistance, obesity, lipid metabolic abnormality, hypertension, or arteriosclerosis. Thus, 2 M lithium diisopropylamide/THF (1.32 M, 1.32 mL) was added to a mixt. of 0.50 g 1-(2-methylbenzyl)pyrrolidin-2-one in 10 mL THF at -78° and the resulting mixt. was stirred for 10 min. The resulting soln. was treated with a soln. of 0.52 g α,2,6-trichlorotoluene in 5 mL THF, stirred at -78° for 10 min, and warmed to room temp. to give, after workup and silica gel chromatog., 80% 3-(2,6-dichlorobenzyl)-1-(2-methylbenzyl)pyrrolidin-2-one (II). 1-Cyclohexyl-3-(2,6-dichlorobenzyl)pyrrolidin-2-one (similarly prepd. from 1-cyclohexylpyrrolidin-2-one and α,2,6-trichlorotoluene) showed IC50 of 7.9 nM against of human 11β-Hydroxysteroid dehydrogenase 1. A gelatin capsule and a tablet formulation contg. the compd. II were described.

IT 911718-46-2P 911718-47-3P 911720-12-2P
911722-99-1P 911724-42-0P 911725-08-1P
911725-12-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-benzylpyrrolidin-2-one and N-benzylimidazolidin-2-one derivs. as 11β-Hydroxysteroid dehydrogenase 1 inhibitors and prophylactic/therapeutic agents for diabetes)

RN 911718-46-2 CAPLUS
CN Acetamide, N-methyl-N-[4-[[(3R)-2-oxo-1-[(1R)-1-phenylethyl]-3-pyrrolidinyl]methyl]phenyl]- (CA INDEX NAME)

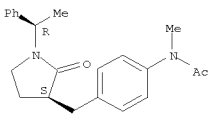
Absolute stereochemistry.



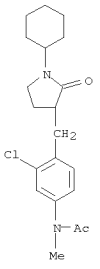
RN 911718-47-3 CAPLUS
CN Acetamide, N-methyl-N-[4-[[(3S)-2-oxo-1-[(1R)-1-phenylethyl]-3-pyrrolidinyl]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

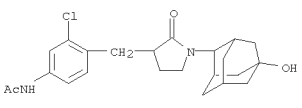
L3 ANSWER 109 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 911720-12-2 CAPLUS
CN Acetamide, N-[3-chloro-4-[(1-cyclohexyl-2-oxo-3-pyrrolidinyl)methyl]phenyl]-N-methyl- (CA INDEX NAME)

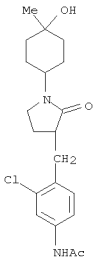


RN 911722-99-1 CAPLUS
CN Acetamide, N-[3-chloro-4-[[1-(5-hydroxytricyclo[3.3.1.13,7]dec-2-yl)-2-oxo-3-pyrrolidinyl]methyl]phenyl]- (CA INDEX NAME)

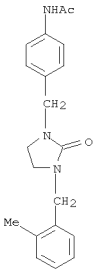


RN 911724-42-0 CAPLUS
CN Acetamide, N-[3-chloro-4-[[1-(4-hydroxy-4-methylcyclohexyl)-2-oxo-3-pyrrolidinyl]methyl]phenyl]- (CA INDEX NAME)

L3 ANSWER 109 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

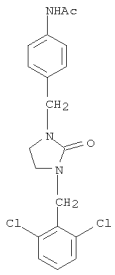


RN 911725-08-1 CAPLUS
CN Acetamide, N-[4-[[3-[(2-methylphenyl)methyl]-2-oxo-1-imidazolidinyl]methyl]phenyl]- (CA INDEX NAME)



RN 911725-12-7 CAPLUS
CN Acetamide, N-[4-[[3-[(2,6-dichlorophenyl)methyl]-2-oxo-1-imidazolidinyl]methyl]phenyl]- (CA INDEX NAME)

L3 ANSWER 109 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 71 THERE ARE 71 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

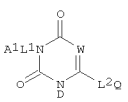
L3 ANSWER 110 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1030508 CAPLUS
DOCUMENT NUMBER: 145:397551
TITLE: Preparation of triazinediones and pyrimidinediones as prokineticin 2 receptor antagonists
INVENTOR(S): Coats, Steven J.; Dyatkin, Alexey B.; He, Wei; Lisko, Joseph; Ralbovsky, Janet L.; Schultz, Mark J.
PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.; Miskowski, Tamara A.
SOURCE: PCT Int. Appl., 164pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006104713	A1	20061005	WO 2006-US9607	20060314
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006229791	A1	20061005	AU 2006-229791	20060314
CA 2602510	A1	20061005	CA 2006-2602510	20060314
EP 1869006	A1	20071226	EP 2006-738643	20060314
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
US 20080045535	A1	20080221	US 2006-375242	20060314
JP 2008534499	T	20080828	JP 2008-503044	20060314
MX 2007011847	A	20080311	MX 2007-11847	20070924
NO 2007005406	A	20071214	NO 2007-5406	20071023
KR 2007116915	A	20071211	KR 2007-724511	20071024
IN 2007KN04080	A	20080307	IN 2007-KN4080	20071024
CN 101223147	A	20080716	CN 2006-80017790	20071122

PRIORITY APPLN. INFO.: US 2005-664865P P 20050324
WO 2006-US9607 W 20060314

OTHER SOURCE(S): CASREACT 145:397551; MARPAT 145:397551
GI



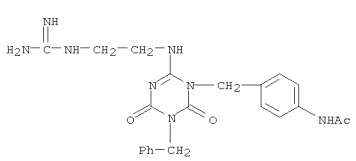
I

L3 ANSWER 110 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AB Title compds. [I; A1 = H, (substituted) aryl, heteroaryl, cycloalkyl; L1 = (CH2)r, CH2CH2X(CH2)s; r = 1-5; s = 1-3; X = O, S; D = PA2; when A2 = H, P = (CH2)4-6; when A2 ≠ H, then P = CH2, CH2CH2, CH2CH:CH; A2 = H, (substituted) benzodioxolyl, heteroaryl, cycloalkyl, Ph; W = N, CRw; Rw = H alkyl; L2 = pyrrolidinylene, piperidinylene, aminocycloalkyl(alkyl), X1(CH2)0-4, etc.; X1 = NH, O, S, bond; Q = OmNRaG; m = 0, 1; G = C(:NRb)NRcRd; Ra, Rd = H, (substituted) alkyl, alkenyl, alkynyl; Rb = H, alkyl, alkenyl, alkynyl, alkoxycarbonyl, cyano; RbRc = atoms to form a 5-8 membered ring optionally substituted by oxo; Rc = H, alkyl, alkenyl, alkynyl, cycloalkyl, adamantyl, amino, arylcarbonyl, heteeroaryl, aryl, heterocyclyl, heteroarylcarbonyl, etc.; with provisos], were prepared N-[2-[5-(4-fluorobenzyl)-1-(4-methoxybenzyl)-4,6-dioxo-1,4,5,6-tetrahydro-1,3,5-triazin-2-ylamino]ethyl]guanidine (preparation from S-methylisothiouronium sulfate, 4-fluorobenzyl isocyanate, Me chloroformate, 4-methoxybenzyl alc., ethylenediamine, and pyrazole-1-carboxamidine hydrochloride given) at 10 μM gave 97-100% inhibition of Ca2+ mobilization in a prokineticin 1 receptor assay.

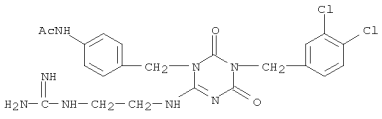
IT 910113-40-5P 910113-64-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of triazinediones and pyrimidinediones as prokineticin 2 receptor antagonists)

RN 910113-40-5 CAPLUS
CN Acetamide, N-[4-[[6-[[2-[(aminoiminomethyl)amino]ethyl]amino]-3,4-dihydro-2,4-dioxo-3-(phenylmethyl)-1,3,5-triazin-1(2H)-yl]methyl]phenyl]- (CA INDEX NAME)



RN 910113-64-3 CAPLUS
CN Acetamide, N-[4-[[6-[[2-[(aminoiminomethyl)amino]ethyl]amino]-3-[(3,4-dichlorophenyl)methyl]-3,4-dihydro-2,4-dioxo-1,3,5-triazin-1(2H)-yl]methyl]phenyl]- (CA INDEX NAME)

L3 ANSWER 110 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

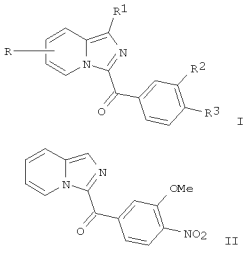
L3 ANSWER 111 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:977348 CAPLUS
DOCUMENT NUMBER: 145:356779
TITLE: Preparation of imidazo[1,5-a]pyridines as FGF inhibitors, particularly selective b-FGF antagonists, and angiogenesis inhibitors for treatment of cancer and cardiovascular diseases
INVENTOR(S): Alcouffe, Chantal; Badorc, Alain; Bono, Francoise; Bordes, Marie-Francoise
PATENT ASSIGNEE(S): Sanofi-Aventis, Fr.
SOURCE: PCT Int. Appl., 102pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006097625	A1	20060921	WO 2006-FR567	20060315
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
FR 2883286	A1	20060922	FR 2005-2590	20050316
FR 2883286	B1	20081003		
AU 2006224466	A1	20060921	AU 2006-224466	20060315
CA 2599643	A1	20060921	CA 2006-2599643	20060315
EP 1861403	A1	20071205	EP 2006-726093	20060315
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008533111	T	20080821	JP 2008-501368	20060315
IN 2007KN03270	A	20080104	IN 2007-KN3270	20070905
MX 2007011361	A	20071112	MX 2007-11361	20070914
KR 2007113227	A	20071128	KR 2007-721179	20070914
US 20080108648	A1	20080508	US 2007-855549	20070914
NO 2007005169	A	20071212	NO 2007-5169	20071010
CN 101160309	A	20080409	CN 2006-80012691	20071016

PRIORITY APPLN. INFO.: FR 2005-2590 A 20050316
WO 2006-FR567 W 20060315

OTHER SOURCE(S): MARPAT 145:356779
GI

L3 ANSWER 111 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

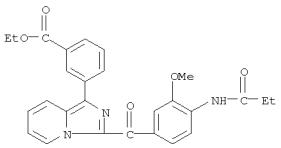


I

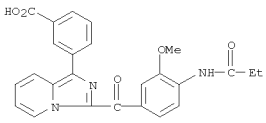
II

AB Title compds. I [R = a substituent on the pyridine ring selected from H, halo, alkyl, OH and derivs., NH2 and derivs., benzyloxy, etc.; R1 = H, halo, CN, (un)substituted Ph, heteroaryl, etc.; R2, R3 = independently OH and derivs., NH2 and derivs., CONHOH, etc.; or R2CCR3 = 6-memberred ring; and their salts, and their hydrates and solvates] were prepared as acidic fibroblast growth factor (a-FGF) and basic fibroblast growth factor (b-FGF) inhibitors, especially b-FGF antagonists and angiogenesis inhibitors.
For example, reacting imidazo[1,5-a]pyridine with 3-methoxy-4-nitrobenzoyl chloride in 1,2-dichloroethane in the presence of TEA gave imidazopyridine II (m.p. = 183°). I inhibited the growth of b-FGF- or a-FGF-expressing tumor cell lines (HUVEC) with a specific activity in the range of 10-9 M to 10-5 M. I exhibited a specific activity in the range of 10-11 M to 10-7 M in an angiogenesis test in vitro. I are active by oral administration of doses of 0.1 to 30 mg/kg. Thus, I are useful for treatment of cancer, certain cardiovascular diseases, diabetic retinopathy, chronic inflammations, obesity, macular degeneration, hypo- and achondroplasia.
IT 910094-89-2P 910094-94-9P, 3-[3-[3-Methoxy-4-(propionylamino)benzoyl]imidazo[1,5-a]pyridin-1-yl]benzoic acid sodium salt
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of imidazopyridines as FGF inhibitors)
RN 910094-89-2 CAPLUS
CN Benzoic acid, 3-[3-[3-methoxy-4-[(1-oxopropyl)amino]benzoyl]imidazo[1,5-a]pyridin-1-yl]-, ethyl ester (CA INDEX NAME)

L3 ANSWER 111 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

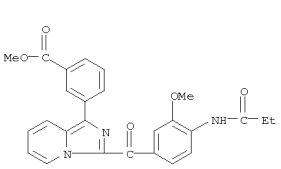


RN 910094-94-9 CAPLUS
CN Benzoic acid, 3-[3-[3-methoxy-4-[(1-oxopropyl)amino]benzoyl]imidazo[1,5-a]pyridin-1-yl]-, sodium salt (1:1) (CA INDEX NAME)



● Na

IT 910095-07-7, Methyl 3-[3-[3-methoxy-4-(propionylamino)benzoyl]imidazo[1,5-a]pyridin-1-yl]benzoate
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of imidazopyridines as FGF inhibitors)
RN 910095-07-7 CAPLUS
CN Benzoic acid, 3-[3-[3-methoxy-4-[(1-oxopropyl)amino]benzoyl]imidazo[1,5-a]pyridin-1-yl]-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

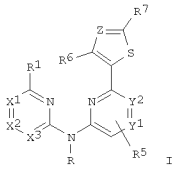
L3 ANSWER 112 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:916886 CAPLUS
DOCUMENT NUMBER: 145:314980
TITLE: Preparation of aminopyridine compounds with spleen tyrosine kinase (Syk)-inhibitory activity
INVENTOR(S): Kodama, Yoshitoshi; Noji, Satoru; Imamura, Katsuaki; Mizojiri, Ryo; Aoki, Kenta; Takagi, Hideo; Naka, Yuichi; Ito, Goro; Shinoda, Kiyotaka; Fujiwara, Akihito; Kurihara, Kazunori; Tanaka, Masaru
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 467pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006093247	A1	20060908	WO 2006-JP304034	20060224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006219231	A1	20060908	AU 2006-219231	20060224
CA 2599544	A1	20060908	CA 2006-2599544	20060224
EP 1854793	A1	20071114	EP 2006-728596	20060224
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
US 20060205731	A1	20060914	US 2006-363563	20060228
MX 2007010459	A	20071011	MX 2007-10459	20070827
KR 2007099047	A	20071008	KR 2007-719698	20070828
IN 2007CN03771	A	20071123	IN 2007-CN3771	20070828
CN 101166734	A	20080423	CN 2006-80012848	20071017

PRIORITY APPLN. INFO.:	JP 2005-52469	A	20050228
	US 2005-658885P	P	20050304
	JP 2006-11751	A	20060119
	US 2006-763045P	P	20060127
	WO 2006-JP304034	W	20060224
	WO 2006-JP4034	W	20060224

OTHER SOURCE(S): MARPAT 145:314980

L3 ANSWER 112 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
GI



I

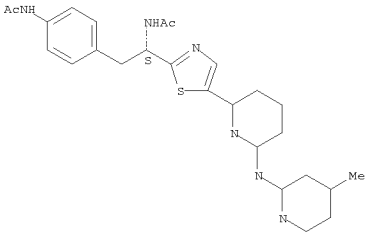
AB The title compds. [I; X1, X2, X3 = N, (un)saturated CH; Y1, Y2 = CH, N; R = H, C1-6 alkyl, acyl; R5 = H, C1-6 alkyl optionally substituted by HO or C1-6 alkoxy, CO2H, C1-6 alkoxycarbonyl, NO2; R6 = H, C1-6 alkyl optionally substituted by HO or C1-6 alkoxy, CO2H, C1-6 alkoxycarbonyl, each (un)substituted NH2 or CONH2, acyl; R7 = H, halo, NO2, cyano, each (un)substituted hydroxyalkyl or aminoalkyl, five-membered or six-membered saturated heterocyclic group, aromatic heterocyclic group, etc.] or salts thereof are prepared These compds. not only have high Syk inhibitory activity but also selectively inhibit Syk. They are useful for the treatment and/or prevention of allergic diseases, bronchial asthma, allergic rhinitis, allergic dermatitis, allergic conjunctivitis, or autoimmune diseases and for the treatment of articular rheumatism, systemic lupus erythematosus, multiple sclerosis, malignant tumor (in particular B lymphoma and B cell leukemia). Thus, 2-bromo-6-chloromethylpyridine and 2-thiocarbamoylpiperidine-4-carboxylic acid Et ester were heated in ethanol under refluxing for 2 h and the resulting mixture was cooled to room temperature, treated with DMF di-Me acetal and Et3N, and refluxed for 1 h to give 1-[5-(6-bromopyridin-2-yl)thiazol-2-yl]piperidine-4-carboxylic acid Et ester (II). II underwent amination with amination with 2-amino-4-picoline in the presence of rac-2,2'-bis(diphenylphosphino)-1,1'-binaphthyl, palladium acetate, and Cs2CO3 in toluene at 100° overnight to give

1-[5-[6-[(4-Methylpyridin-2-yl)amino]pyridin-2-yl]thiazol-2-yl]piperidine-4-carboxylic acid Et ester which was stirred with LiOH in aqueous methanol at 50° for 5 h, concentrated, and acidified with 0.1 N aqueous HCl solution to give

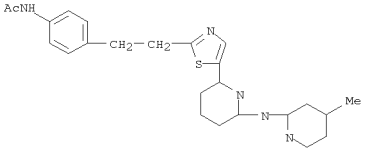
1-[5-[6-[(4-Methylpyridin-2-yl)amino]pyridin-2-yl]thiazol-2-yl]piperidine-4-carboxylic acid (III). III showed IC50 of ≤0.1 M μg/mL against.

L3 ANSWER 112 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
IT 909285-01-4P 909285-42-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopyridine compds. as spleen tyrosine kinase (Syk) inhibitors for treatment and/or prevention of allergic diseases)
RN 909285-01-4 CAPLUS
CN Acetamide, N-[4-[(2S)-2-(acetylamino)-2-[5-[6-[(4-methyl-2-pyridinyl)amino]-2-pyridinyl]-2-thiazolyl]ethyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 909285-42-3 CAPLUS
CN Acetamide, N-[4-[2-[5-[6-[(4-methyl-2-pyridinyl)amino]-2-pyridinyl]-2-thiazolyl]ethyl]phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 113 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:793001 CAPLUS
DOCUMENT NUMBER: 145:230542
TITLE: Preparation of 1-(piperidin-4-yl)-1H-indole derivatives with affinity for 5-HT1A receptor
INVENTOR(S): Suzuki, Yuichi; Ito, Koichi; Sasaki, Atsushi; Ueno, Koshi; Shinmyo, Daisuke; Sakai, Miyuki; Ishihara, Hiroki; Kubota, Atsuhiko
PATENT ASSIGNEE(S): Eisai R & D Management Co., Ltd., Japan
SOURCE: PCT Int. Appl., 218pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006082872	A1	20060810	WO 2006-JP301727	20060202
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1847535	A1	20071024	EP 2006-712870	20060202
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
US 20080119518	A1	20080522	US 2007-795923	20070724

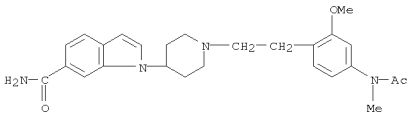
PRIORITY APPLN. INFO.:	JP 2005-28413	A	20050204
	WO 2006-JP301727	W	20060202

OTHER SOURCE(S): MARPAT 145:230542
GI

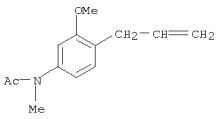
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = H, methyl; R2 = H, halo, alkyl, hydroxy; R3 = Q1, etc.; R4a, R4b, R4c = H, halo, hydroxy, etc.] and their pharmaceutically acceptable salts were prepared For example, oxidation of 2-(2,5-dimethoxyphenyl)propan-1-ol, e.g., prepared from (2,5-dimethoxyphenyl)acetic acid in 3 steps, followed by reductive amination with 1-(piperidin-4-yl)-1H-indole-6-carboxamide afforded compound II. In serotonin 1A (5-HT1A) receptor binding assays, the IC50 value of compound II was 0.51 nM. Compds. I are claimed useful for the treatment of increased urinary frequency and incontinence.
IT 905561-77-5P

L3 ANSWER 113 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-(piperidin-4-yl)-1H-indole derivs. with affinity for 5-HT1A receptor)
RN 905561-77-5 CAPLUS
CN 1H-Indole-6-carboxamide, 1-[1-[2-[4-(acetylmethylamino)-2-methoxyphenyl]ethyl]-4-piperidinyl]- (CA INDEX NAME)

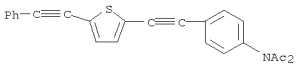


IT 905563-87-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 1-(piperidin-4-yl)-1H-indole derivs. with affinity for 5-HT1A receptor)
RN 905563-87-3 CAPLUS
CN Acetamide, N-[3-methoxy-4-(2-propen-1-yl)phenyl]-N-methyl- (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

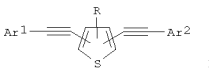
L3 ANSWER 114 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



L3 ANSWER 114 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:774776 CAPLUS
DOCUMENT NUMBER: 145:271632
TITLE: Preparation of alkynylthiophene compounds and pesticidal activities
INVENTOR(S): Xu, Hanhong; Wu, Renhai
PATENT ASSIGNEE(S): South China Agricultural University, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 16pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1810801	A	20060802	CN 2006-10011375	20060224
CN 100432068	C	20081112		
PRIORITY APPLN. INFO.:			CN 2006-10011375	20060224

OTHER SOURCE(S): CASREACT 145:271632; MARPAT 145:271632
GI



I

AB The title compds. have general formula I, wherein R is H, halogen, amino, carboxyl, nitro, cyano, or C1-6 alkyl or alkoxy or haloalkyl; and Ar1 and Ar2 are aryl or heteroaryl optionally and independently substituted with halogen, carboxyl, hydroxyl, amino, nitro, etc. The title preparation includes coupling reaction of arylacetylene with 2,5-dibromothiophene in inert solvent in the presence of organic base and catalyst system (Pd(II) or Pd(0) as main catalyst, CuI as cocatalyst, and triphenylphosphine as ligand at ratio of 1:3:2) at room temperature to generate the title compound; or coupling reaction arylacetylene with 2,5-dibromothiophene in the presence of sodamide in liquid ammonia at -40° to generate the title compds.
IT 906650-54-2P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of alkynylthiophene compds. and pesticidal activities)
RN 906650-54-2 CAPLUS
CN Acetamide, N-acetyl-N-[4-[2-[5-(2-phenylethynyl)-2-thienyl]ethynyl]phenyl]- (CA INDEX NAME)

L3 ANSWER 115 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:736382 CAPLUS
DOCUMENT NUMBER: 145:167240
TITLE: Preparation of substituted pyrazolopyridines as kinase inhibitors, and their compositions and use for treatment of cancer
INVENTOR(S): Ronan, Baptiste; Tabart, Michel; Halley, Frank; Bacque, Eric; Souaille, Catherine; Ugolini, Antonio; Viviani, Fabrice
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006077319	A1	20060727	WO 2006-FR114	20060118
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
FR 2880891	A1	20060721	FR 2005-555	20050119
FR 2880891	B1	20070223		
FR 2888579	A1	20070119	FR 2005-7505	20050713
AU 2006207442	A1	20060727	AU 2006-207442	20060118
CA 2595041	A1	20060727	CA 2006-2595041	20060118
EP 1845978	A1	20071024	EP 2006-709121	20060118
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008527025	T	20080724	JP 2007-551703	20060118
IN 2007KN02660	A	20070831	IN 2007-KN2660	20070717
US 20080039491	A1	20080214	US 2007-778870	20070717
MX 2007008790	A	20070911	MX 2007-8790	20070719
KR 2007098923	A	20071005	KR 2007-718866	20070817
CN 101146534	A	20080319	CN 2006-80008929	20070919
PRIORITY APPLN. INFO.:			FR 2005-555 A	20050119
			FR 2005-7505 A	20050713
			WO 2006-FR114 W	20060118

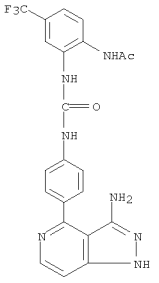
OTHER SOURCE(S): MARPAT 145:167240
GI

L3 ANSWER 115 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A, Ar = independently (un)substituted hetero/aryl, cycloalkyl, heterocyclyl; L = a bond, CO, NH, CONH, NHCO, NHSO, NH-CO-NH, etc.; one of X, Y and Z = N, NO, and the other of Z, Y and X = CR5, CR6; R5, R6 = independently H, halo, CN, OH, alkoxy, etc.] were prepared as kinase inhibitors for treatment especially of cancer. Thus, pyrazolo[3,4-b]pyridine II was prepared via Suzuki coupling of iodide III or its tri-Boc analog with arylpinacolborane IV, and one pot Boc-deprotection/cyclization in DCM in the presence of TFA containing 10% anisole. Its pyrazolo[4,3-c]pyridine analog inhibited FAK, KDR and Tie2 kinases with an IC50 of 73 nM, 33 nM, and 5 nM, resp. Thus, I and their pharmaceutical compns., are useful as anticancer agents (no data).

IT 900863-42-5P, N-[2-[3-[4-(3-Amino-1H-pyrazolo[4,3-c]pyridin-4-yl)phenyl]ureido]-4-trifluoromethylphenyl]acetamide 900863-44-7P 900863-47-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrazolopyridines as FAK, KDR and Tie2 kinase inhibitors and their use for treating cancer)

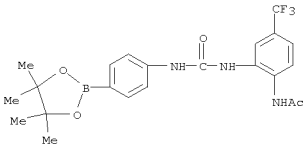
RN 900863-42-5 CAPLUS
CN Acetamide, N-[2-[[[4-(3-amino-1H-pyrazolo[4,3-c]pyridin-4-yl)phenyl]amino]carbonyl]amino]-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



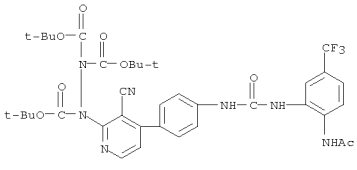
RN 900863-44-7 CAPLUS
CN Acetamide, N-[2-[[[4-(3-amino-1H-pyrazolo[3,4-b]pyridin-4-yl)phenyl]amino]carbonyl]amino]-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

L3 ANSWER 115 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
yl]ureido]-4-trifluoromethylphenyl]acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of pyrazolopyridines as FAK, KDR and Tie2 kinase inhibitors and their use for treating cancer)

RN 900863-43-6 CAPLUS
CN Acetamide, N-[2-[[[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]amino]carbonyl]amino]-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

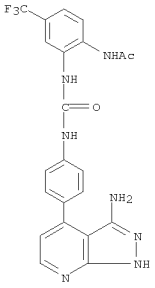


RN 900863-45-8 CAPLUS
CN 1,1,2-Hydrazinetricarboxylic acid, 2-[4-[4-[[[[2-(acetylamino)-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]-3-cyano-2-pyridinyl]-, 1,1,2-tris(1,1-dimethylethyl) ester (CA INDEX NAME)

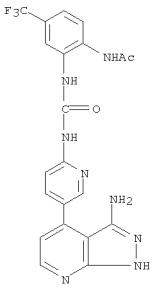


RN 900863-46-9 CAPLUS
CN 1,2-Hydrazinedicarboxylic acid, 1-[4-[4-[[[[2-(acetylamino)-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]-3-cyano-2-pyridinyl]-, 1,2-bis(1,1-dimethylethyl) ester (CA INDEX NAME)

L3 ANSWER 115 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

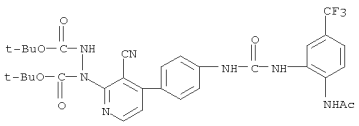


RN 900863-47-0 CAPLUS
CN Acetamide, N-[2-[[[5-(3-amino-1H-pyrazolo[3,4-b]pyridin-4-yl)-2-pyridinyl]amino]carbonyl]amino]-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

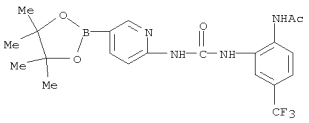


IT 900863-43-6P, N-[2-[3-[4-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]ureido]-4-trifluoromethylphenyl]acetamide 900863-45-8P 900863-46-9P 900863-48-1P, N-[2-[3-[5-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)pyridin-2-

L3 ANSWER 115 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

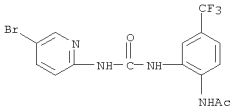


RN 900863-48-1 CAPLUS
CN Acetamide, N-[2-[[[5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2-pyridinyl]amino]carbonyl]amino]-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



IT 900863-49-2, N-[2-[3-(5-Bromopyridin-2-yl)ureido]-4-trifluoromethylphenyl]acetamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazolopyridines as FAK, KDR and Tie2 kinase inhibitors and their use for treating cancer)

RN 900863-49-2 CAPLUS
CN Acetamide, N-[2-[[[(5-bromo-2-pyridinyl)amino]carbonyl]amino]-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

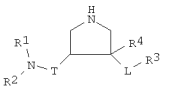
L3 ANSWER 116 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:634421 CAPLUS
DOCUMENT NUMBER: 145:103533
TITLE: Preparation of substituted pyrrolidines as renin inhibitors
INVENTOR(S): Breitenstein, Werner; Cottens, Sylvain; Ehrhardt, Claus; Jacoby, Edgar; Lorthiois, Edwige Liliane Jeanne; Maibaum, Juergen Klaus; Ostermann, Nils; Sellner, Holger; Simic, Oliver
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 455 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006066896	A2	20060629	WO 2005-EP13786	20051221
WO 2006066896	A3	20060831		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005318392	A1	20060629	AU 2005-318392	20051221
CA 2589331	A1	20060629	CA 2005-2589331	20051221
EP 1836163	A2	20070926	EP 2005-825434	20051221
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008525350	T	20080717	JP 2007-547339	20051221
IN 2007DN04446	A	20070824	IN 2007-DN4446	20070611
MX 2007007772	A	20070808	MX 2007-7772	20070622
KR 2007088806	A	20070829	KR 2007-716744	20070720
CN 101115715	A	20080130	CN 2005-80047843	20070807

PRIORITY APPLN. INFO.: GB 2004-28250 A 20041223
WO 2005-EP13786 W 20051221

OTHER SOURCE(S): MARPAT 145:103533
GI

L3 ANSWER 116 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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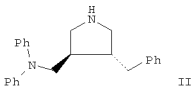


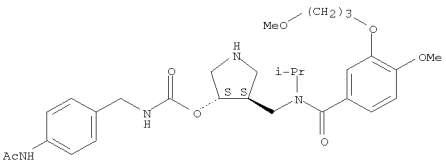
II

AB The invention is related to the preparation of 3-mono-, 3,4-di-, and 3,4,4-trisubstituted pyrrolidine compds. of formula I [R1 = (un)substituted aryl, cycloalkyl, mono- or bicyclic heterocyclyl, etc.; R2 = (un)substituted cyclo/alkyl, aryl, etc.; R3 = H, (un)substituted aryl/cyclo/alkyl, aryl, etc.; R4 = H, OH; L = a bond, CH2, O, S, etc.; or R3CLR4 = (un)substituted ring annealed to an (un)substituted aryl, heterocyclyl or cycloalkyl; or R3 and R4 together with L = thi/oxo, imino; T = CH2, CH2 monosubstituted by alkyl, C:O, C:S; with provisos], and their salts, their pharmaceutical formulations and their use in the diagnostic and therapeutic treatment of a disease that depends on inappropriate activity of renin. Thus, rel-II was prepared by amidation of rel-(3R,4R)-1,4-dibenzylpyrrolidine-3-carboxylic acid with diphenylamine, reduction of the amide, and N-debenzylation. I inhibited renin with IC50 values in the range of 10 nM to 20 μM in various in vitro tests.

IT 895242-95-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(renin inhibitor; preparation of pyrrolidines as renin inhibitors)

RN 895242-95-2 CAPLUS
CN Carbamic acid, [[4-(acetylamino)phenyl]methyl]-, (3R,4R)-4-[[[4-methoxy-3-(3-methoxypropoxy)benzoyl](1-methylethyl)amino]methyl]-3-pyrrolidinyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 116 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L3 ANSWER 117 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:630342 CAPLUS
DOCUMENT NUMBER: 145:103563
TITLE: Preparation of piperidine derivatives as antagonists of the CC chemokine receptor CCR1 and their use as anti-inflammatory agents
INVENTOR(S): Arnaiz, Damian O.; Chou, You-Ling; Kochanny, Monica J.; Lee, Wheeseong; Lu, Shou-Fu; Mengel, Anne; Phillips, Gary; Wei, Guo Ping; Yu, Hongyi
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 230 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006066948	A1	20060629	WO 2005-EP13938	20051220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20060167044	A1	20060727	US 2005-305322	20051219
EP 1928829	A1	20080611	EP 2005-824154	20051220
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008524154	T	20080710	JP 2007-545985	20051220

PRIORITY APPLN. INFO.: US 2004-638033P P 20041220
WO 2005-EP13938 W 20051220

OTHER SOURCE(S): CASREACT 145:103563; MARPAT 145:103563
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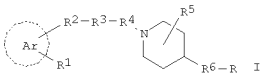
L3 ANSWER 117 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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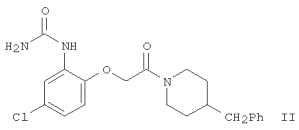


II

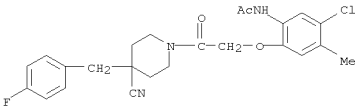
AB Title compds. represented by the formula I [wherein Ar = Ph, pyridinyl, (iso)quinolinyl; R1 = H, halo, (cyclo)alkyl, etc.; R2 = a bond, O, S, N(R8), N(R8)C(O) or C(R9)2; R3 = (un)substituted alkylene or alkenylene; R4 = CO, OCO, CS, CH2 or a bond; R5 = independently H, oxo, (halo)alkyl, etc.; R6 = CO, CS, C(R9)2, etc.; R8 = independently H, halo, (cyclo)alkyl, etc.; R9 = independently H, (halo)alkyl, aryl, etc.; R = (un)substituted Ph or 2-thienyl; and enantiomers, diastereomers, tautomers, salts, solvates and radiolabeled analogs thereof] were prepared as CC chemokine receptor CCR1 antagonists. For example, II was provided in a multi-step synthesis starting from 1-(5-chloro-2-hydroxyphenyl)urea. I and their pharmaceutical compns. are useful for the treatment of inflammatory disorders, such as multiple sclerosis, leukoencephalopathy, and etc.

IT 894770-46-8P, N-[5-Chloro-2-[2-[4-cyano -4-[(4-fluorophenyl)methyl]-1-piperidinyl]-2-oxoethoxy]-4-methylphenyl]acetamide 894770-47-9P, N-[2-[2-[4-Cyano -4-[(4-fluorophenyl)methyl]-1-piperidinyl]-2-oxoethoxy]-4-methylphenyl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted piperidine derivs. as antagonists of CC chemokine receptor CCR1 and their use as anti-inflammatory agents)

RN 894770-46-8 CAPLUS

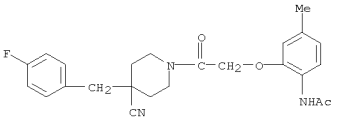
CN Acetamide, N-[5-chloro-2-[2-[4-cyano-4-[(4-fluorophenyl)methyl]-1-piperidinyl]-2-oxoethoxy]-4-methylphenyl]- (CA INDEX NAME)

L3 ANSWER 117 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 894770-47-9 CAPLUS

CN Acetamide, N-[2-[2-[4-cyano-4-[(4-fluorophenyl)methyl]-1-piperidinyl]-2-oxoethoxy]-4-methylphenyl]- (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 118 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:578347 CAPLUS

DOCUMENT NUMBER: 145:62907

TITLE: Preparation of heterocycle derivatives as histone deacetylase inhibitors

INVENTOR(S): Attenni, Barbara; Ferrigno, Federica; Jones, Philip; Ingenito, Raffaele; Kinzel, Olaf; Llauger Bufi, Laura; Ontoria Ontoria, Jesus Maria; Pescatore, Giovanna; Rowley, Michael; Scarpelli, Rita; Schultz, Carsten

PATENT ASSIGNEE(S): Istituto di Ricerche di Biologia Molecolare P. Angeletti S.p.A., Italy

SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

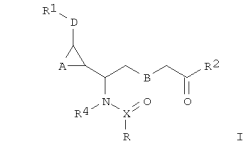
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006061638	A2	20060615	WO 2005-GB4743	20051209
WO 2006061638	A3	20060803		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005313108	A1	20060615	AU 2005-313108	20051209
CA 2590811	A1	20060615	CA 2005-2590811	20051209
EP 1828171	A2	20070905	EP 2005-818301	20051209
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008523041	T	20080703	JP 2007-544984	20051209
IN 2007DN04506	A	20070831	IN 2007-DN4506	20070613
CN 101115742	A	20080130	CN 2005-80047634	20070802
US 20090048228	A1	20090219	US 2008-792294	20080214

PRIORITY APPLN. INFO.: GB 2004-27138 A 20041210
GB 2005-16435 A 20050811
WO 2005-GB4743 W 20051209

OTHER SOURCE(S): CASREACT 145:62907; MARPAT 145:62907

GI

L3 ANSWER 118 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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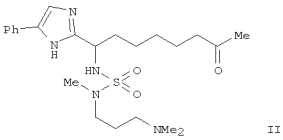


II

AB Title compds. I [R = -(NR5)m-(CR6R8)p-R3; p = 0-5; B = (CH2)q; q = 1-4; m = 0-1; R3 = H, halo, OH, CN, halo/alkyl, (un)substituted 6-13 membered partially saturated hydrocarbon ring, 4-6 membered partially/saturated heterocycle containing 1-4 heteroatoms, etc.; R5 = H, alkyl; R6, R8 = independently H, alkyl, (un)substituted 5-6 membered partially/saturated heterocycle containing 1-3 heteroatoms; or CR6R8 = C(:O); D = absent, (CH2)b, (CH:CH)d; b, d = independently 1-3; X = C, or S:O; A = (un)substituted 5 membered unsatd. heterocycle containing 1-4 heteroatoms selected from N, O, and S, but not more than 1 of which is O or S, or a 6 membered unsatd. heterocycle containing 1-4 heteroatoms selected from N and O; R1 = H, OH, halo, alkylcarbonyl, (un)substituted 5-6 membered partially/saturated heterocycle containing 1-3 heteroatoms, etc.; R2 = H, OH, halo/alkyl, alkoxy, NH2 and derivs., (un)substituted 6 membered unsatd. heterocycle containing 1-4 heteroatoms selected from N and O, etc.; R4 = H, alkyl; and their pharmaceutically acceptable salts and their tautomers] were prepared as histone deacetylase (HDAC) inhibitors. Thus, reacting 2-((1S)-1-ammonio-7-oxooctyl)-5-phenyl-1H-imidazole-1-ium•2TFA (preparation given) with 2-[(chlorosulfonyl)(methyl)amino]-N,N-dimethylethanaminium chloride (preparation given) gave imidazole salt II•2TFA. I were tested for HDAC inhibitory activity and were found to have an IC50 value of < 10 μM. I are useful for treating cellular proliferative diseases, including cancer, as well as neurodegenerative diseases, schizophrenia and stroke, restenosis, and mental retardation (no data).

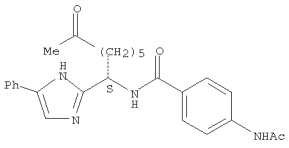
IT 891260-73-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

L3 ANSWER 118 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of heterocycle derivs. as histone deacetylase inhibitors)
RN 891260-73-4 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[(1S)-7-oxo-1-(5-phenyl-1H-imidazol-2-yl)octyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 891260-72-3
CMF C26 H30 N4 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

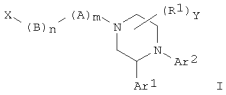
L3 ANSWER 119 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:542524 CAPLUS
DOCUMENT NUMBER: 145:46088
TITLE: Substituted piperazines as CB1 antagonists and their preparation, pharmaceutical compositions, and their use for treatment of metabolic disorders
INVENTOR(S): Gilbert, Eric J.; Miller, Michael W.; Scott, Jack D.; Stamford, Andrew W.; Greenlee, William J.; Weinstein, Jay
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: PCT Int. Appl., 383 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006060461	A1	20060608	WO 2005-US43281	20051201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005311930	A1	20060608	AU 2005-311930	20051201
CA 2589483	A1	20060608	CA 2005-2589483	20051201
US 20060241121	A1	20061026	US 2005-292264	20051201
EP 1819684	A1	20070822	EP 2005-852503	20051201
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008521910	T	20080626	JP 2007-544455	20051201
KR 2007090176	A	20070905	KR 2007-712554	20070602
MX 2007006695	A	20070814	MX 2007-6695	20070604
CN 101115726	A	20080130	CN 2005-80047747	20070803

PRIORITY APPLN. INFO.: US 2004-633106P P 20041203
WO 2005-US43281 W 20051201

OTHER SOURCE(S): CASREACT 145:46088; MARPAT 145:46088
GI

L3 ANSWER 119 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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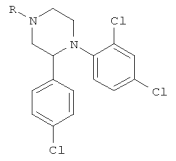
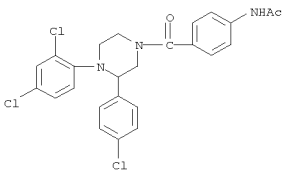


II

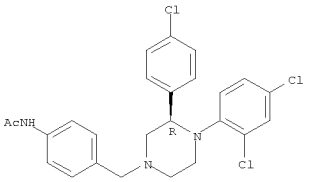
AB Compds. of formula I or pharmaceutically acceptable salts, solvates, or esters thereof, are useful in treating diseases or conditions mediated by CB1 receptors, such as metabolic syndrome and obesity, neuroinflammatory disorders, cognitive disorders and psychosis, addiction (e.g., smoking cessation), gastrointestinal disorders, and cardiovascular conditions. Compds. of formula I wherein Ar1 and Ar2 are independently (un)substituted (hetero)aryl; n and m are independently 0 or 1; A is CO, SO2, C(=NOH) and derivs., or (un)substituted C1-3 alkyl; B is NH and derivs., CO or (un)substituted C1-2 alkyl; X is H, alkyl, S-alkyl, SO2-(cyclo)alkyl, SO2-(hetero)aryl, benzo(hetero)cycloalkyl, benzoheterocycloalkenyl, (un)substituted vinyl(hetero)aryl, etc.; R1 is alkyl, haloalkyl, alkenyl-NH2 and derivs., alkylene-OH and derivs., alkylene-N3, alkylene-CN, or alkylene-OSO2-alkyl; or two adjacent R1 on the same ring carbon atom for a carbonyl group; y is 0, 1, 2, 3, or 4; and their pharmaceutically acceptable salts, solvates and esters thereof are claimed. Example compound II (R = Bn) was prepared by regioselective ring cleavage of 4-chlorostyrene oxide with N-methylaminoethanol; the resulting N-(2-hydroxyethyl)-N-methyl-1-(4-chlorophenyl)-2-amino-1-ethanol underwent chlorination to give N-(2-chloroethyl)-N-methyl-2-(4-chlorophenyl)-2-chloroethylamine which underwent cyclization with 2,4-dichloroaniline to give compound II (R = Me), which underwent demethylation to give II (R = H), which underwent reductive amination with benzaldehyde to give compound II (R = Bn). All the invention compds. were evaluated for their cannabinoid antagonistic activity.
IT 890031-66-0P 890034-73-8P 890035-97-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted piperazines as CB1 antagonists useful for treatment of metabolic disorders)

L3 ANSWER 119 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 890031-66-0 CAPLUS
CN Acetamide, N-[4-[[3-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-1-piperazinyl]carbonyl]phenyl]- (CA INDEX NAME)

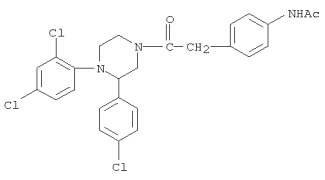


RN 890034-73-8 CAPLUS
CN Acetamide, N-[4-[[(3R)-3-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-1-piperazinyl]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 890035-97-9 CAPLUS
CN Acetamide, N-[4-[2-[3-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-1-piperazinyl]-2-oxoethyl]phenyl]- (CA INDEX NAME)



L3 ANSWER 119 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L3 ANSWER 120 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

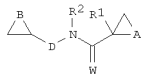
ACCESSION NUMBER: 2006:495991 CAPLUS
DOCUMENT NUMBER: 145:8161
TITLE: Preparation of heterocycle derivatives as selective androgen receptor modulators (SARMs)
INVENTOR(S): Zhang, Xuqing; Li, Xiaojie; Sui, Zhihua
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 234 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006055184	A2	20060526	WO 2005-US38292	20051025
WO 2006055184	A3	20070405		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2005307003	A1	20060526	AU 2005-307003	20051025
CA 2587678	A1	20060526	CA 2005-2587678	20051025
US 20060211756	A1	20060921	US 2005-258448	20051025
US 7465809	B2	20081216		
EP 1817292	A2	20070815	EP 2005-815801	20051025
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101103003	A	20080109	CN 2005-80046682	20051025
JP 2008520665	T	20080619	JP 2007-543066	20051025

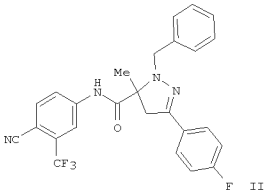
PRIORITY APPLN. INFO.: US 2004-628337P P 20041116
WO 2005-US38292 W 20051025

OTHER SOURCE(S): CASREACT 145:8161; MARPAT 145:8161
GI

L3 ANSWER 120 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

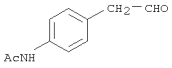


II

AB Title compds. I [W = O, S, NH and derivs.; R1 = halo/alkyl; R2 = H, carbonyl/halo/alkyl, etc.; D = (CH2)n; n = 0-1; B = (un)substituted Ph, pyridinyl, pyrazinyl, pyrimidinyl, pyridazinyl; A = (un)substituted 3,4-dihydro-2H-pyrazolyl, 4,5-dihydro-3H-pyrazolyl, 4,5-dihydrooxazol-5-yl, etc.; or pharmaceutically acceptable salts] were prepared as selective androgen receptor modulators (SARMs). Thus, reacting N-(4-cyano-3-trifluoromethylphenyl)-2-methylacrylamide with 4-fluoro-1-(phenylmethyl)benzenecarbohydrazonoyl chloride gave dihydropyrazole II. Selected I were active in the ventral prostate and levator ani weight and in the ventral prostate and seminal vesicle weight in vivo assays. Therefore, I and pharmaceutical compns. thereof are useful for the treatment of disorders and conditions modulated by androgen receptor, such as prostate carcinoma, benign prostatic hyperplasia and hirsutism.

IT 1085309-04-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heterocycle derivs. as selective androgen receptor modulators)

RN 1085309-04-1 CAPLUS
CN Acetamide, N-[4-(2-oxoethyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

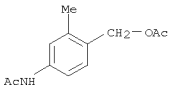
L3 ANSWER 120 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L3 ANSWER 121 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:349552 CAPLUS
DOCUMENT NUMBER: 145:47552
TITLE: Chemical Development of ZD9331: Synthesis of a Bromomethylquinazolinone Avoiding a Nonselective Radical Bromination
AUTHOR(S): Bentley, Dagmar; Godfrey, Andrew A.; Warren, Kenneth E. H.
CORPORATE SOURCE: Process Research and Development Department, AstraZeneca, Macclesfield, Cheshire, SK10 2NA, UK
SOURCE: Organic Process Research & Development (2006), 10(3), 553-555
CODEN: OPRDFK; ISSN: 1083-6160
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:47552

AB An efficient regiospecific synthesis of ZD9331 Pivaloyloxymethyl (POM) Bromide has been accomplished via ZD9331 Quinacetate HCl avoiding a nonselective bromination. The original route used a radical bromination on a substrate with three Me groups, which generated a range of bromomethyl derived compds. that carried through to the final active pharmaceutical ingredient (API). A strategy, based on the Zinin reaction, was developed to synthesize the required bromomethyl compound in a regioselective manner. This approach was successfully scaled to manufacture a ton of material.

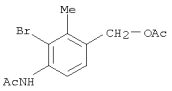
IT 890086-36-9P 890086-37-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(chemical development of ZD9331 via a bromomethylquinazolinone avoiding a nonselective radical bromination)

RN 890086-36-9 CAPLUS
CN Acetamide, N-[4-[(acetyloxy)methyl]-3-methylphenyl]- (CA INDEX NAME)



RN 890086-37-0 CAPLUS
CN Acetamide, N-[4-[(acetyloxy)methyl]-2-bromo-3-methylphenyl]- (CA INDEX NAME)

L3 ANSWER 121 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

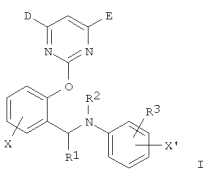


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 122 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:311677 CAPLUS
DOCUMENT NUMBER: 145:124582
TITLE: 2-Pyrimidinyloxy-N-aryl-7-cyano or phosphoric ester group benzylamine derivative, preparation and application thereof
INVENTOR(S): Lu, Long; Chen, Jie; Wang, Hua; Tang, Qinghong; Peng, Weili; Dong, Dezhen; Wang, Guochao; Lu, Qiang
PATENT ASSIGNEE(S): Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 43 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1746161	A	20060315	CN 2005-10029385	20050902
CN 100361978	C	20080116		
PRIORITY APPLN. INFO.:			CN 2005-10029385	20050902

OTHER SOURCE(S): MARPAT 145:124582
GI



I

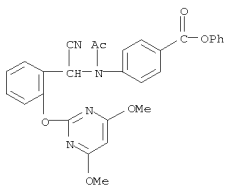
AB The 2-pyrimidinyloxy-N-aryl-7-cyano or phosphoric ester group benzylamine derivative I (D and/or E = halo, C1-4 alkoxy, or C1-4 haloalkyl; X = H, halo, or C1-4 alkoxy; R1 = CN or di(C1-4 alkoxy)phosphinyl; R2 = H or C1-4 acyl; R3 = H, ureido, halo, carboxy, C1-10 alkyl ester group, halophenyl ester group, amido, aminoacyl, sulfonamido; C1-4 alkoxy, Ph, or C1-4 alkylphenyl; and X' = H, C1-4 alkyl, or halo) is prepared by condensation aminobenzoic acid derivative with 2-pyrimidinyloxybenzaldehyde derivative and NaCN in solvent in the presence of NaHSO3 at room temperature-reflux temperature for 0.5-12 h and then esterification with alc. or phenol derivative in organic solvent in the presence of DCC condensing agent and 4-dimethylaminopyridine catalyst aid at room temperature for 6-24 h. The benzylamine derivative can be prepared by condensation reaction of salicylaldehyde with aniline derivative in organic solvent in the presence of

L3 ANSWER 122 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

catalyst (HCl, acetic acid, etc.) at room temp.-reflux temp. for 12-24 h, etherification with Me 4,6-dimethoxypyrimidinyl sulfone in org. solvent in the presence of base (K2CO3, NaHCO3, etc.) for 12-24 h, and addn. reaction with phosphonic acid di(C1-4 alkyl) ester at 100° for 3 h. The benzylamine deriv. can also be prepd. by condensation reaction of 2-pyrimidinyloxybenzaldehyde deriv. with aniline deriv. in org. solvent in the presence of catalyst (sulfonic acid, acetic acid, or HCl) for 12-24 h. The benzylamine deriv. can be used as herbicide.

IT 897035-53-9P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of pyrimidinyloxy benzylamine derivative as herbicide)

RN 897035-53-9 CAPLUS
CN Benzoic acid, 4-[acetyl[cyano[2-[(4,6-dimethoxy-2-pyrimidinyl)oxy]phenyl]methyl]amino]-, phenyl ester (CA INDEX NAME)



L3 ANSWER 123 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:273658 CAPLUS
DOCUMENT NUMBER: 144:331457
TITLE: Preparation of substituted pyrazolo[1,5-a]pyrimidines and methods of their use as antiproliferative agents
INVENTOR(S): Wang, Yanong Daniel; Gopalsamy, Ariamala; Honores, Erick Eduardo; Jennings, Lee Dalton; Johnson, Steven Lawrence; Powell, Dennis William; Sum, Fuk-Wah; Tsou, Hwei-Ru; Wu, Biqi; Zhang, Nan
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 83 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

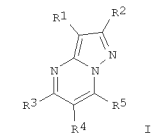
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060063784	A1	20060323	US 2005-221846	20050909
WO 2006033795	A2	20060330	WO 2005-US31087	20050901
WO 2006033795	A3	20060810		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-610550P P 20040917

OTHER SOURCE(S): CASREACT 144:331457; MARPAT 144:331457
GI

L3 ANSWER 123 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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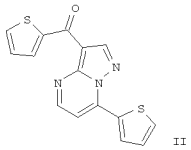


II

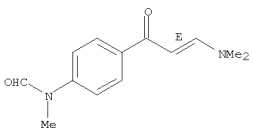
AB The invention is related to novel methods of use of pyrazolo[1,5-a]pyrimidines I [R1 = H, CN, halo, CHO, CO2H, etc.; R2-R4 = H, CF3, alkyl; R5 = (un)substituted hetero/aryl], and their therapeutically acceptable salts and prodrugs, as antiproliferative agents, particularly antitumor agents, in mammals, including humans. The use of pyrazolpyrimidines I in regulating the expression of p21 in cells, and the preparation of certain I are given. Thus, reacting (3-Amino-1H-pyrazol-4-yl)(thien-2-yl)methanone (preparation given) with 3-(Dimethylamino)-1-(2-thienyl)-2-propen-1-one (preparation given) gave pyrazolopyrimidine II. In a cytotoxicity test against 80S14 (p21-deficient) cells, II had an IC50 in the range of 1-10 μM.

IT 1056165-67-3 1056165-68-4 1056165-70-8
1056165-71-9 1056165-73-1
RL: PRPH (Prophetic)
(Preparation of substituted pyrazolo[1,5-a]pyrimidines and methods of their
use as antiproliferative agents)

RN 1056165-67-3 CAPLUS
CN Formamide, N-[4-[(2E)-3-(dimethylamino)-1-oxo-2-propen-1-yl]phenyl]-N-methyl- (CA INDEX NAME)

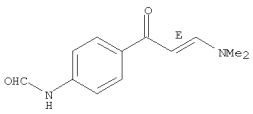
Double bond geometry as shown.

L3 ANSWER 123 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



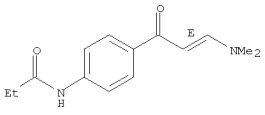
RN 1056165-68-4 CAPLUS
CN Formamide, N-[4-[(2E)-3-(dimethylamino)-1-oxo-2-propen-1-yl]phenyl]- (CA INDEX NAME)

Double bond geometry as shown.



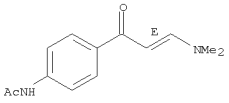
RN 1056165-70-8 CAPLUS
CN Propanamide, N-[4-[(2E)-3-(dimethylamino)-1-oxo-2-propen-1-yl]phenyl]- (CA INDEX NAME)

Double bond geometry as shown.



RN 1056165-71-9 CAPLUS
CN Acetamide, N-[4-[(2E)-3-(dimethylamino)-1-oxo-2-propen-1-yl]phenyl]- (CA INDEX NAME)

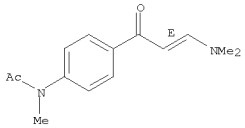
Double bond geometry as shown.



L3 ANSWER 123 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 1056165-73-1 CAPLUS
CN Acetamide, N-[4-[(2E)-3-(dimethylamino)-1-oxo-2-propen-1-yl]phenyl]-N-methyl- (CA INDEX NAME)

Double bond geometry as shown.



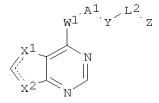
L3 ANSWER 124 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:149337 CAPLUS
DOCUMENT NUMBER: 144:212798
TITLE: Thienopyrimidines useful as Aurora kinase inhibitors and their preparation, pharmaceutical compositions, and their use for treatment of Aurora kinase-mediated diseases
INVENTOR(S): Lew, Willard; Baskaran, Subramanian; Oslob, Johan D.; Yoburn, Joshua C.; Zhong, Min
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 140 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060035908	A1	20060216	US 2005-182215	20050715
AU 2005290226	A1	20060406	AU 2005-290226	20050715
CA 2573999	A1	20060406	CA 2005-2573999	20050715
WO 2006036266	A1	20060406	WO 2005-US25340	20050715
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1768984	A1	20070404	EP 2005-772519	20050715
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008506714	T	20080306	JP 2007-521708	20050715
BR 2005013405	A	20080506	BR 2005-13405	20050715
MX 2007000631	A	20070330	MX 2007-631	20070116
IN 2007KN00381	A	20070706	IN 2007-KN381	20070202
KR 2007057792	A	20070607	KR 2007-703002	20070207
CN 101160316	A	20080409	CN 2005-80029828	20070306

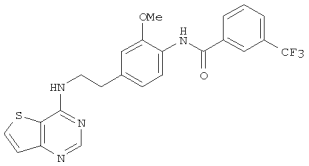
PRIORITY APPLN. INFO.:			
US 2004-588718P	P	20040716	
US 2004-632568P	P	20041201	
WO 2005-US25340	W	20050715	

OTHER SOURCE(S): CASREACT 144:212798; MARPAT 144:212798
GI

L3 ANSWER 124 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

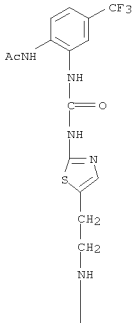


II

AB The invention provides compds. of formula I and pharmaceutical compns. thereof, which are useful as inhibitors of protein kinase (e.g., Aurora), and thus are useful, for example, for the treatment of Aurora mediated diseases. Compds. of formula I wherein one of X1 or X2 is S and the other CR1; R1 is H, halo, CN, NO2, or an (hetero)aliphatic, (hetero)acyclic, or (hetero)aromatic moiety; W1 is O, S, NH and derivs., or CONH and derivs.; A1 is (un)substituted C1-6akylene, or C2-4alkenylene, etc.; L2 is NH and derivs., NHCO and derivs., NHC(O)NH and derivs., or (un)substituted CH2CONH and derivs.; Y is (un)substituted Ph or thiazolyl; Z is (hetero)aliphatic, (hetero)acyclic, or (hetero)aromatic moiety; and their corresponding pharmaceutically acceptable salts are claimed in this invention. Example compound II was prepared by bromination of 3-methoxy-4-nitrobenzyl alc., and the resulting benzyl bromide underwent homologation with cyanide to give 3-methoxy-4-nitrophenylacetonitrile, which was hydrogenated and the crude amine was acylated with 3-trifluoromethylbenzoyl chloride to give N-(4-cyanomethyl-2-methoxyphenyl)-3-trifluoromethylbenzamide, which was hydrogenated and the resulting amine was coupled with 4-chlorothieno[3,2-d]pyrimidine to give compound II. The invention compds. were evaluated for their Aurora kinase inhibitory activity. From the assay it was determined that the invention compds. were Aurora kinase inhibitors with cell-IC50 ranges from ≤100 μM to ≤ 100 nM.
IT 1057250-51-7
RL: PRPH (Prophetic)
(Thienopyrimidines useful as Aurora kinase inhibitors and their

L3 ANSWER 124 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
preparation, pharmaceutical compositions, and their use for treatment of Aurora kinase-mediated diseases)
RN 1057250-51-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

PAGE 1-A



PAGE 2-A

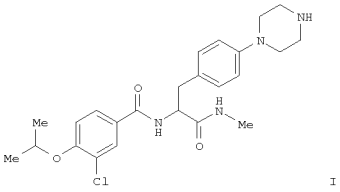
L3 ANSWER 125 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1220432 CAPLUS
DOCUMENT NUMBER: 143:478210
TITLE: Preparation of amino acid-related compounds for treating cellular proliferative diseases
INVENTOR(S): Qian, Xiangping; McDonald, Andrew I.; Zhou, Han-Jie; Ashcraft, Luke W.; Yao, Bing; Jiang, Hong; Huang, Jennifer Kuo Chen; Wang, Jianchao; Morgans, David J., Jr.; Morgan, Bradley P.; Bergnes, Gustave; Dhanak, Dashyant; Knight, Steven D.; Adams, Nicholas D.; Parrish, Cynthia A.
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA; Smithkline Beecham Corporation
SOURCE: PCT Int. Appl., 320 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005107762	A2	20051117	WO 2005-US15666	20050506
WO 2005107762	A3	20060817		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20060094708	A1	20060504	US 2005-121709	20050503
AU 2005240178	A1	20051117	AU 2005-240178	20050506
CA 2565695	A1	20051117	CA 2005-2565695	20050506
EP 1742907	A2	20070117	EP 2005-762665	20050506
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 101023057	A	20070822	CN 2005-80021899	20050506
BR 2005010663	A	20071204	BR 2005-10663	20050506
JP 2007537163	T	20071220	JP 2007-511593	20050506
IN 2006KN03220	A	20070608	IN 2006-KN3220	20061103
MX 2006012796	A	20070509	MX 2006-12796	20061106
NO 2006005504	A	20070130	NO 2006-5504	20061129
KR 2007057708	A	20070607	KR 2006-725290	20061130

PRIORITY APPLN. INFO.:			
US 2004-569510P	P	20040506	
US 2005-121709	A	20050503	
WO 2005-US15666	W	20050506	

OTHER SOURCE(S): CASREACT 143:478210; MARPAT 143:478210
GI

L3 ANSWER 125 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

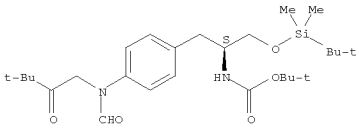
AB The invention relates to compds. R1-X-NR2-WR3-CHR5R6 [R1 is (un)substituted aryl, heterocyclyl or heteroaryl; X is CO or SO2; R2 is H or (un)substituted alkyl; W is CR4, CH2CR4 or N (R4 is a group defined for R2); R3 is H, acyl, cyano, (un)substituted alkyl, heterocyclyl, sulfonyl or aryl; R5 is H, OH, (un)substituted amino, heterocyclyl or alkyl; R6 is H, (un)substituted alkyl, alkoxy, aryloxy, heteroaryloxy, alkoxycarbonyl, aminocarbonyl, aryl, heteroaryl, heterocyclyl or aralkyl (with provisos)] and their pharmaceutically-acceptable salts, prodrugs, etc., which are useful for treating cellular proliferative diseases and disorders by modulating the activity of one or more mitotic kinesins. Ninety-eight synthetic and four biol. examples are given. Thus, compound I was prepared by acylation of 4-bromophenylalanine with 3-chloro-4-isopropoxybenzoic acid pentafluorophenyl ester (preparation given), followed by methylamidation and reaction with piperazine.

IT 943297-04-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid-related compds. for treating cellular proliferative diseases)

RN 943297-04-9 CAPLUS

CN Carbamic acid, N-[(1S)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-[[4-[(3,3-dimethyl-2-oxobutyl)formylamino]phenyl]methyl]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 125 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L3 ANSWER 126 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1170489 CAPLUS

DOCUMENT NUMBER: 143:440438

TITLE: Preparation of bicyclic heterocycles as CCR-1 and MIP1α antagonists useful against inflammatory diseases and as radiolabeled markers for neuroimaging

INVENTOR(S): Heng, Richard; Revesz, Laszlo; Schlapbach, Achim; Waelchli, Rudolf

PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH

SOURCE: PCT Int. Appl., 205 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

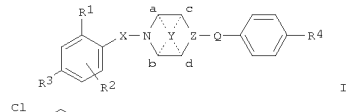
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005103054	A2	20051103	WO 2005-EP4422	20050425
WO 2005103054	A3	20070208		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005235724	A1	20051103	AU 2005-235724	20050425
AU 2005235724	B2	20081030		
CA 2559917	A1	20051103	CA 2005-2559917	20050425
EP 1794164	A2	20070613	EP 2005-737794	20050425
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
BR 2005010313	A	20071016	BR 2005-10313	20050425
JP 2007534678	T	20071129	JP 2007-508868	20050425
US 20070196270	A1	20070823	US 2006-599819	20061011
KR 20070014154	A	20070131	KR 2006-722181	20061025
KR 845356	B1	20080709		
MX 2006012380	A	20070117	MX 2006-12380	20061026
IN 2006CN03917	A	20070615	IN 2006-CN3917	20061026
CN 101238131	A	20080806	CN 2005-80013239	20061026
KR 2008015151	A	20080218	KR 2008-702184	20080128

PRIORITY APPLN. INFO.: GB 2004-9236 A 20040426
WO 2005-EP4422 W 20050425
KR 2006-722181 A3 20061025

OTHER SOURCE(S): MARPAT 143:440438

GI

L3 ANSWER 126 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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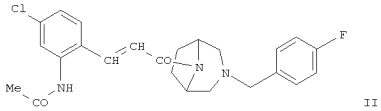
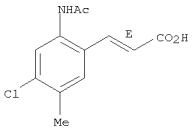


II

AB Bicyclic heterocycles (shown as I; variables defined below; e.g. (E)-N-[5-Chloro-2-[3-[3-(4-fluorobenzyl)-3,8-diazabicyclo[3.2.1]oct-8-yl]-3-oxopropenyl]phenyl]ethanamide (shown as II)) or a pharmaceutically acceptable salt or ester thereof, were prepared and found to be antagonists of CCR-1 and MIP1α and claimed useful for treatment of diseases and conditions in which CCR-11 is implicated, e.g. inflammatory diseases. Compds. I are also claimed useful as radiolabeled markers for neuroimaging, e.g. for diagnosis of Alzheimer's disease. Methods of preparation are claimed and .apprx.160 example prepns. are included. For example, II was prepared in 6 steps (94, 87, 46, 68, 100 and 56 % yields) starting from (E)-3-(2-amino-4-chlorophenyl)-2-propenoic acid Me ester and involving intermediates (E)-3-[2-[(tert-butoxycarbonyl)amino]-4-chlorophenyl]-2-propenoic acid Me ester, (E)-3-[2-[(tert-butoxycarbonyl)amino]-4-chlorophenyl]-2-propenoic acid, 3-(4-fluorobenzyl)-3,8-diazabicyclo[3.2.1]octane/8-(4-fluorobenzyl)-3,8-diazabicyclo[3.2.1]octane, (E)-[5-chloro-2-[3-[3-(4-fluorobenzyl)-3,8-diazabicyclo[3.2.1]oct-8-yl]-3-oxopropenyl]phenyl]carbamic acid tert-Bu ester, and (E)-3-(2-amino-4-chlorophenyl)-1-[3-(4-fluorobenzyl)-3,8-diazabicyclo[3.2.1]oct-8-yl]prop-2-enone. For I: R1, R2 and R3 = H, cyano, halo, nitro or (un)substituted oxy, C1-7 alkyl, C2-7 alkenyl, C2-7 alkynyl, carbonyl, amino, S, cycloalkyl, heterocycloalkyl, aryl, heteroaryl or a substituent forming a bicyclic ring system of which the Ph ring to which it is attached forms part of the bicycle for example butadiene forming naphthyl, or heterobutadiene forming quinolinyl, quinoxalinyl or isoquinolinyl. R4 = H, cyano, halo, nitro or (un)substituted oxy, C1-7 alkyl, C2-7 alkenyl, C2-7 alkynyl, carbonyl, amino, S, cycloalkyl, heterocycloalkyl, aryl, heteroaryl or a substituent forming a bicyclic ring system of which the Ph ring to which it is attached forms part of the bicycle for example butadiene forming naphthyl, or heterobutadiene forming quinolinyl, quinoxalinyl or isoquinolinyl. X is -CH:CHCO-; Y is -(CH2)n- where n = 1-6, -CH2OCH2- or -CH2NRCH2- and is bonded to two of the ring C atoms, bonding being to either the ring C atoms a and b or the ring C atoms c and d; wherein R = H, (un)substituted: C1-7 alkyl, carbonyl, acyl, acetyl or sulfonyl; Z is N or CH-; Q is -CH2-,

L3 ANSWER 126 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
-NH- or -O-; addnl. details including provisos are given in the claims.
IT 1046117-85-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclic heterocycles as CCR-1 antagonists)
RN 1046117-85-4 CAPLUS
CN 2-Propenoic acid, 3-[2-(acetylamino)-4-chloro-5-methylphenyl]-, (2E)-
(CA
INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

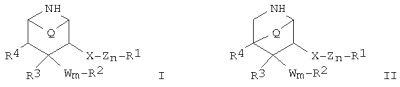
L3 ANSWER 127 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:588898 CAPLUS
DOCUMENT NUMBER: 143:115449
TITLE: Preparation of piperidines as renin inhibitors useful against hypertension and other disorders
INVENTOR(S): Herold, Peter; Mah, Robert; Stutz, Stefan; Stojanovic,
Aleksandar; Tschinke, Vincenzo; Jotterand, Nathalie
PATENT ASSIGNEE(S): Speedel Experimenta A.-G., Switz.
SOURCE: PCT Int. Appl., 252 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

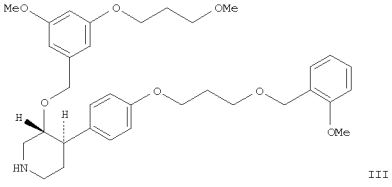
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061457	A1	20050707	WO 2004-EP52389	20040930
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1670760	A1	20060621	EP 2004-820600	20040930
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
EP 1961752	A2	20080827	EP 2008-100929	20040930
EP 1961752	A3	20081119		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 20070010511	A1	20070111	US 2006-574108	20060331
US 20090012055	A1	20090108	US 2008-68443	20080206

PRIORITY APPLN. INFO.:
CH 2003-1669 A 20031001
CH 2004-343 A 20040227
EP 2004-820600 A3 20040930
WO 2004-EP52389 W 20040930
US 2006-574108 A3 20060331

OTHER SOURCE(S): MARPAT 143:115449
GI

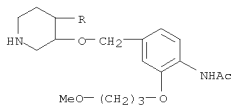
L3 ANSWER 127 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

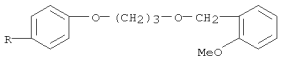




AB Novel substituted piperidines (shown as I and II; variables defined below;
e.g.
trans-4-[4-[3-(2-methoxybenzyloxy)propoxy]phenyl]-3-[[3-methoxy-5-(3-methoxypropoxy)benzyl]oxy]piperidine (shown as III)) are described. The compds. are suitable in particular as renin inhibitors and are highly potent. A test that measures the formation of angiotensin I in human plasma revealed that I exhibit inhibiting actions in the in vitro systems at min. concns. of .apprx.10-6 to .apprx.10-10 mol/L. Compds. I effectively reduce blood pressure in an in vivo test involving normotensive marmosets at doses of .apprx.0.003 to .apprx.0.3 mg/kg i.v. and at doses of .apprx.0.3 to .apprx.30 mg/kg p.o. For I: R1 is (un)substituted oxazolyl, indolyl, pyrrolyl, pyrazolyl, triazinyl, 2-oxodihydrobenzo[d][1,3]oxazinyl, 4-oxodihydroimidazolyl, 5-oxo-4H-[1,2,4]triazinyl, 3-oxo-4H-benzo[1,4]thiazinyl, tetrahydroquinoxalinyl, 1,1,3-trioxodihydro-2H-1λ6-benzo[1,4]thiazinyl, 1-oxopyridyl, dihydro-2H-benzo[1,4]oxazinyl, 2-oxotetrahydrobenzo[e][1,4]diazepinyl, etc. For II: R1 is aryl or heteroaryl. For I and II: R2 is (un)substituted Ph, naphthyl, acenaphthyl, cyclohexyl, pyridyl, pyrimidinyl, pyrazinyl, oxopyridinyl, diazinyl, triazolyl, thienyl, oxazolyl, oxadiazolyl, thiazolyl, pyrrolyl, furyl, tetrazolyl or imidazolyl;. R3 is H, hydroxy, C1-6-alkoxy or C2-6-alkenyloxy; R4 is H, C1-6-alkyl, C2-6-alkenyl, C1-6-alkoxy, hydroxy-C1-6-alkyl, C1-6-alkoxy-C1-6-alkyl, benzyl, oxo, etc.; or R3 and R4 in I together are a bond. Q is ethylene or is absent for I or is ethylene or methylene for II; X is a bond, O or S, or is a >CHR11, >CHOR9,
-OCO-, >CO, >C:NOR10, -OCHR11- or -OCHR11-CO-NR9- group and the bond starting from an O or S atom leads to a saturated C atom of the Z group or to
R1; W is O or S; Z is C1-6-alkylene, C2-6-alkenylene, hydroxy-C1-6-alkylidene, -O-, -S-, -O-alk-, -S-alk-, -alk-O-, -alk-S- or -alk-NR9-, where alk is C1-6-alkylene; n = 0-1; m = 0-1; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, example prepns. and/or characterization data for

L3 ANSWER 127 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
360 I and II are included. For example, III was prepd. from by deprotection of tert-Bu 4-[4-(3-benzyloxypropoxy)phenyl]-3-[[[3-(3-methoxypropoxy)phenyl]methyl]oxy]piperidine-1-carboxylate, which was prepd. by ether formation between tert-Bu 3-hydroxy-4-[4-[3-(2-methoxybenzyloxy)propoxy]phenyl]piperidine-1-carboxylate and 1-chloromethyl-3-methoxy-5-(3-methoxypropoxy)benzene
using
NaH in DMF.
IT 1044673-66-6
RL: PRPH (Prophetic)
(Preparation of piperidines as renin inhibitors useful against hypertension and other disorders)
RN 1044673-66-6 CAPLUS
CN Acetamide, N-[4-[[[4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]oxy]methyl]-2-(3-methoxypropoxy)phenyl]- (CA INDEX NAME)





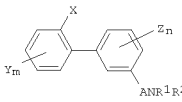
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L3 ANSWER 128 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:423697 CAPLUS
DOCUMENT NUMBER: 142:458554
TITLE: Preparation of biphenyl derivative agrochem. fungicide and bactericide
INVENTOR(S): Mitani, Shigeru; Nakayama, Hitoshi; Sugimoto, Koji; Ogawa, Munekazu
PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Ltd., Japan
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005044007	A1	20050519	WO 2004-JP17034	20041110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2005225860	A	20050825	JP 2004-307848	20041022
AU 2004287332	A1	20050519	AU 2004-287332	20041110
EP 1681924	A1	20060726	EP 2004-799711	20041110
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				
CN 1878466	A	20061213	CN 2004-80033054	20041110
BR 2004016396	A	20070403	BR 2004-16396	20041110
IN 2006KN01017	A	20070420	IN 2006-KN1017	20060420
US 20070135497	A1	20070614	US 2006-578778	20060509
KR 2006113920	A	20061103	KR 2006-709044	20060510
PRIORITY APPLN. INFO.:			JP 2003-381152 A	20031111
			WO 2004-JP17034 W	20041110

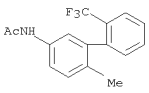
OTHER SOURCE(S): CASREACT 142:458554; MARPAT 142:458554
GI

L3 ANSWER 128 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

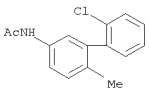


I

AB Biphenyl derivative agrochem. fungicides and bactericides are prepared The biphenyl derivative is I or its salt, wherein X, Y and Z are each independently a halogen, a hydroxyl group, a formyl group, an alkyl group which may be substituted, an alkoxy group which may be substituted, an alkylthio group, an alkylsulfonyl group, an alkylsulfinyl group, or the like, A is a carbonyl group, a thiocarbonyl group, an alkylene group, or a single bond, R1 and R2 are each independently a hydrogen, an alkyl group which may be substituted, an alkenyl group which may be substituted, an alkynyl group which may be substituted, an aryl group which may be substituted, a formyl group, an alkylcarbonyl group, a cyano group, or the like, and m and n are each independently 0, 1, 2, 3 or 4.
IT 1043934-16-2 1043934-53-7
RL: PRPH (Prophetic)
(Preparation of biphenyl derivative agrochem. fungicide and bactericide)
RN 1043934-16-2 CAPLUS
CN Acetamide, N-[6-methyl-2'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]- (CA INDEX NAME)



RN 1043934-53-7 CAPLUS
CN Acetamide, N-(2'-chloro-6-methyl[1,1'-biphenyl]-3-yl)- (CA INDEX NAME)



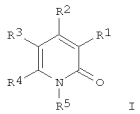
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 129 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:177838 CAPLUS
DOCUMENT NUMBER: 142:280057
TITLE: Preparation of substituted pyridinones as modulators of p38 MAP kinase
INVENTOR(S): Devadas, Balekudru; Walker, John; Selness, Shaun R.; Boehm, Terri L.; Durley, Richard C.; Devraj, Rajesh; Hickory, Brian S.; Rucker, Paul V.; Jerome, Kevin D.; Madsen, Heather M.; Alvira, Edgardo; Promo, Michele A.; Blevis-Bal, Radhika M.; Marrufo, Laura D.; Hitchcock, Jeff; Owen, Thomas; Naing, Win; Xing, Li; Shieh, Huey S.; Sambandam, Aruna; Liu, Shuang; Scott, Ian L.; Mcgee, Kevin F.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 968 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005018557	A2	20050303	WO 2004-US26193	20040813
WO 2005018557	A3	20050804		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
NL 1026826	A1	20050216	NL 2004-1026826	20040812
NL 1026826	C2	20070104		
US 20050176775	A1	20050811	US 2004-918826	20040813
PRIORITY APPLN. INFO.:			US 2003-494959P P	20030813

OTHER SOURCE(S): CASREACT 142:280057; MARPAT 142:280057
GI

L3 ANSWER 129 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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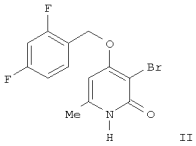


II

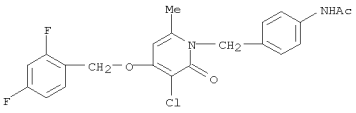
AB Disclosed are title compds. I and their pharmaceutically acceptable salts [R1 H, halo, NO2, CHO, CN, (un)substituted hydroxy/dihydroxy/aryl/alkyl, etc.; R2 = H, OH, halo, (un)substituted alkyl, alkoxy, etc.; R3 = H, halo, (un)substituted aryl/alkoxycarbonyl, arylalkyl, arylthio, etc.; R4 = H, (un)substituted alkyl; R5 = H, aryl, arylalkyl, etc.]. These compds. are useful for treating diseases and conditions caused or exacerbated by unregulated p38 MAP Kinase and/or TNF activity. Pharmaceutical compns. containing the compds., methods of preparing the compds. and methods of treatment using the compds. are also disclosed. For example, II was prepared, in 3 steps, reacting 4-hydroxy-6-methylpyrone with NH4OH, followed by O-alkylation with 2,4-difluorobenzyl chloride, and bromination with Br2 in AcOH/H2O. Selected I inhibited MKK6-activated human p38α kinase phosphorylation of a biotinylated substrate or human p38α-induced phosphorylation of EGFRP (epidermal growth factor receptor peptide) with an IC50 in the range of 1 μM to 25 μM.

IT 1044956-56-0
RL: PRPH (Prophetic)
(Preparation of substituted pyridinones as modulators of p38 MAP kinase)

RN 1044956-56-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

L3 ANSWER 129 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



L3 ANSWER 130 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:34603 CAPLUS

DOCUMENT NUMBER: 142:134589

TITLE: Preparation of indazole derivatives for treating or preventing diseases associated with protein kinases

INVENTOR(S): Bhagwat, Shripad S.; Satoh, Yoshitaka; Sakata, Steven T.; Buhr, Chris A.; Albers, Ronald; Sapienza, John; Plantevin, Veronique; Chao, Qi; Sahasrabudhe, Kiran; Ferri, Rachel; Narla, Rama K.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 240 pp., Cont.-in-part of U.S. Ser. No. 414,839.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

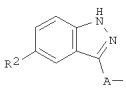
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20050009876	A1	20050113	US 2003-718185		20031119
US 20020103229	A1	20020801	US 2001-910950		20010723
US 6897231	B2	20050524			
US 20040127536	A1	20040701	US 2003-414839		20030416
US 7211594	B2	20070501			
US 20070060616	A1	20070315	US 2006-512836		20060830
PRIORITY APPLN. INFO.:			US 2000-221799P	P	20000731
			US 2001-910950	A2	20010723
			US 2003-414839	A2	20030416
			US 2003-718185	A1	20031119

OTHER SOURCE(S): MARPAT 142:134589

GI



I

AB Methods of treating or preventing diseases associated with protein kinases, including tyrosine kinases, such as proliferative diseases, inflammatory diseases, abnormal angiogenesis and diseases related thereto, atherosclerosis, macular degeneration, diabetes, obesity, pain and others, comprising administering to a patient in need thereof an effective amount of the title indazole I [A = a direct bond, (CH2)a, (CH2)bCH:CH(CH2)c, or (CH2)bC.tplbond.C(CH2)c; R1 = (un)substituted aryl, heteroaryl or heterocycle fused to Ph; R2 = R3, R4, (CH2)bC(O)R5, (CH2)bC(:O)OR5, (CH2)bC(O)NR5R6, (CH2)bC(O)NR5(CH2)cC(O)R6, (CH2)bNR5C(O)R6, (CH2)bNR5C(O)NR6R7, (CH2)bNR5R6, (CH2)bOR5, (CH2)bSOdR5 or (CH2)bSO2NR5R6;

L3 ANSWER 130 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

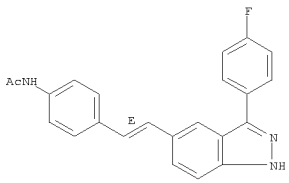
a = 1-6; b, c = 0-4; d = 0-2; R3 = halo, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, etc.; R4 = (un)substituted alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, or R4 = halo or OH; R5-R7 = H, (un)substituted alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl], are disclosed. Many of the claimed compds. I have IC50 values ≤0.5 μM in the JNK2 assay, e.g. 5-[3-(4-fluorophenyl)-1H-indazol-5-yl]-2H-1,2,3,4-tetrazole. Although the methods of prepn. are not claimed, >400 example prepns. are included.

IT 1057134-62-9
RL: PRPH (Prophetic)
(Preparation of indazole derivatives for treating or preventing diseases associated with protein kinases)

RN 1057134-62-9 CAPLUS

CN Acetamide, N-[4-[(1E)-2-[3-(4-fluorophenyl)-1H-indazol-5-yl]ethenyl]phenyl]- (CA INDEX NAME)

Double bond geometry as shown.



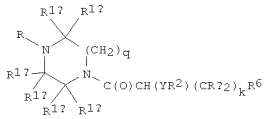
L3 ANSWER 131 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:97304 CAPLUS
DOCUMENT NUMBER: 138:137330
TITLE: Preparation of substituted piperazines as agonists of melanocortin receptors useful against obesity and diabetes
INVENTOR(S): Fotsch, Christopher H.; Arasasingham, Premilla; Bo, Yunxin; Chen, Ning; Goldberg, Martin H.; Han, Nianhe; Hsieh, Feng-Yin; Kelly, Michael G.; Liu, Qingyian; Norman, Mark H.; Smith, Duncan M.; Stec, Markian; Tamayo, Nuria; Xi, Ning; Xu, Shimin
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 331 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003009850	A1	20030206	WO 2002-US23926	20020725
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20030220324	A1	20031127	US 2002-202823	20020724
US 7115607	B2	20061003		
CA 2454903	A1	20030206	CA 2002-2454903	20020725
AU 2002326469	A1	20030217	AU 2002-326469	20020725
AU 2002326469	B2	20060330		
EP 1417190	A1	20040512	EP 2002-761189	20020725
EP 1417190	B1	20081022		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005503369	T	20050203	JP 2003-515242	20020725
AT 411985	T	20081115	AT 2002-761189	20020725
MX 2004000761	A	20040708	MX 2004-761	20040123
US 20070265248	A1	20071115	US 2005-116759	20050427

PRIORITY APPLN. INFO.: US 2001-307831P P 20010725
US 2002-202823 A 20020724
WO 2002-US23926 W 20020725

OTHER SOURCE(S): MARPAT 138:137330
GI

L3 ANSWER 131 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



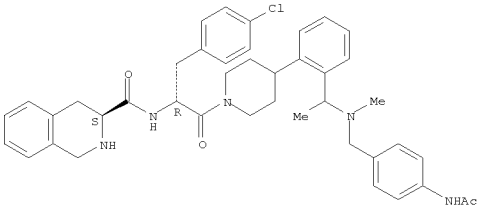
I

AB Selected substituted piperazine compds. (shown as I; variables defined below; e.g. (3S)-N-[(1S)-1-[(4-chlorophenyl)methyl]-2-[4-[2-[(methylsulfonyl)amino]phenyl]piperazinyl]-2-oxoethyl]-1,2,3,4-tetrahydroisoquinoline-3-carboxamide) are effective for prophylaxis and treatment of diseases, such as obesity and the like. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable salts thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving activation of the melanocortin receptor. The subject invention also relates to processes for making such compds. as well as to intermediates useful in such processes. For I: Y is -NH-, -CH2-, or -O-; R = alkyl, -(CH2)n-cycloalkyl, -(CH2)n-aryl, and -(CH2)n-heterocyclyl; R1a, R1b, R1c, R1d, R1e, and R1f = R4; or R1a and R1b or R1d and R1c form oxo; or wherein R1e and R1c form an alkylenyl or alkenylenyl bridge; or R1a, R1b, R1c, R1d together with the piperazine ring forms an optionally substituted 1,2,3,4-tetrahydroquinoxalinyl ring. R2 = alkyl, -(CH2)n-cycloalkyl, -(CH2)n-aryl, -(CH2)n-heterocyclyl, -SO2R8, -C(O)R8; R4 = H, alkyl, -(CH2)n-cycloalkyl, -(CH2)n-aryl, -(CH2)n-heterocyclyl, halo, -(CH2)n-OR9, -NR9SO2R7, -[C(R7)2]pNR9SO2R7, -[C(R7)2]pNR9C(O)R7, -N(R9)2, -C(O)NR9R9, -NR9C(O)R7, -NR9CO2R7, cyano, -COOR9, -(CH2)n-C:OR7, -(CH2)n-C(S)R7, -(CH2)n-C(:NR9)R7, -NR9C(:NR7)N(R9)2, -[C(R7)2]pN(R9)2, nitro, -SO2N(R9)2, -S(O)mR7, -C(R7)2SO2CF3, hydroxyalkyl, haloalkyl and haloalkoxy. R6 = aryl and heteroaryl; Ra = H, and alkyl or the two Ra's together form cycloalkyl; k is 0 or 1; m is 0, 1 or 2; n is 0, 1, 2, 3 or 4; p is 1 or 2; and q is 1 or 2; provisos and addnl. definitions are provided. In measurements of fast-induced food intake in mice, 6 examples of I caused a reduction in feeding at concns. ≤30 mg/kg. Although the methods of preparation are not claimed, 24 example preprns. of intermediates and >400 of I are included.

IT 1064450-18-5
RL: PRPH (Prophetic)
(Preparation of substituted piperazines as agonists of melanocortin receptors useful against obesity and diabetes)
RN 1064450-18-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

L3 ANSWER 131 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

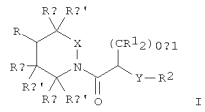
L3 ANSWER 132 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:97301 CAPLUS
DOCUMENT NUMBER: 138:137597
TITLE: Substituted piperidines as modulators of the melanocortin receptor
INVENTOR(S): Fotsch, Christopher H.; Croghan, Michael; Doherty, Elizabeth M.; Kelly, Michael G.; Norman, Mark H.; Smith, Duncan M.; Tamayo, Nuria; Xi, Ning; Xu, Shimin
PATENT ASSIGNEE(S): Amgem, Inc., USA
SOURCE: PCT Int. Appl., 239 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003009847	A1	20030206	WO 2002-US23616	20020725
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20040006067	A1	20040108	US 2002-205649	20020724
US 6977264	B2	20051220		
CA 2452328	A1	20030206	CA 2002-2452328	20020725
AU 2002319695	A1	20030217	AU 2002-319695	20020725
AU 2002319695	B2	20060302		
EP 1416933	A1	20040512	EP 2002-750299	20020725
EP 1416933	B1	20080102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005504028	T	20050210	JP 2003-515239	20020725
AT 382353	T	20080115	AT 2002-750299	20020725
ES 2296968	T3	20080501	ES 2002-750299	20020725
MX 2004000625	A	20040420	MX 2004-625	20040120

PRIORITY APPLN. INFO.: US 2001-307733P P 20010725
US 2002-205649 A 20020724
WO 2002-US23616 W 20020725

OTHER SOURCE(S): MARPAT 138:137597
GI

L3 ANSWER 132 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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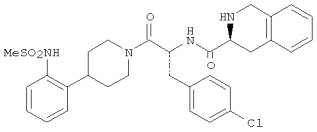
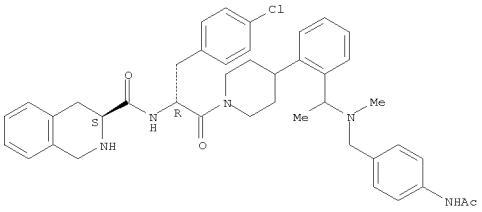


II

AB Amino acid derivs. I [X = CH2 or CH2CH2; Y = NH, CH2, or O; R = (un)substituted alkyl, (CH2)0-4-cycloalkyl, -aryl, or -heterocyclyl; R1 = H or alkyl or CR12 = cycloalkyl; R2 = any group given for R, an acyl or sulfonyl group; R3 = (un)substituted (hetero)aryl; Ra, Ra', Rb, Rb', Rc, Rc' = H, any group given for R, halo, sulfonylamino, acylamino, cyano, carboxy, nitro, etc. or RaRa' or RbRb' = oxo or combine to form benzo, Rb and Rc form an alkylenyl or alkenylenyl bridge] or their pharmaceutically-acceptable salts were prepared for the treatment of diseases such as obesity and diabetes. Thus, compound II was prepared via
peptide coupling reactions in solution
IT 1064450-18-5 1064452-80-7
RL: PRPH (Prophetic)
(Substituted piperidines as modulators of the melanocortin receptor)
RN 1064450-18-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

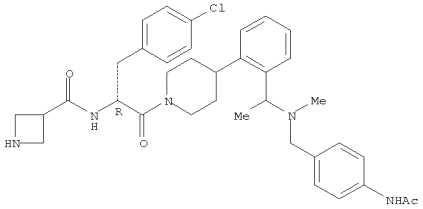
Absolute stereochemistry.

L3 ANSWER 132 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1064452-80-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

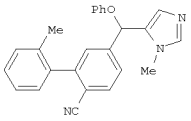


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 133 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:123617 CAPLUS
DOCUMENT NUMBER: 136:183819
TITLE: Preparation of (imidazolylalkyl)biphenylcarbonitriles and analogs as farnesyltransferase inhibitors
INVENTOR(S): Wang, Wei-Bo; Curtin, Michael L.; Fakhoury, Stephen A.; Gwaltney, Stephen L.; Hasvold, Lisa A.; Hutchins, Charles W.; Li, Qun; Lin, Nan-Horng; Nelson, Lissa Taka Jennings; O'Connor, Steve; Sham, Hing L.; Sullivan, Gerard M.; Wang, Gary T.; Wang, Xilu
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 189 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020019527	A1	20020214	US 2001-842391	20010425
PRIORITY APPLN. INFO.:			US 2000-200165P P	20000427

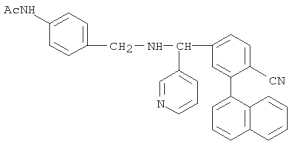
OTHER SOURCE(S): MARPAT 136:183819
GI



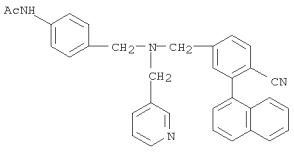
II

AB Title compds. (I) were prepared Thus, 2-MeC6H4C6H3(CN)(CHO)-2,5 was condensed with 1-methyl-2-triethylsilyl-1H-imidazole (preparation each given)
and the product O-arylated to give title compound II. Data for biol. activity of I were given.
IT 1102365-35-4 1102366-75-5 1102368-04-6
1102369-27-6
RL: PRPH (Prophetic)
(Preparation of (imidazolylalkyl)biphenylcarbonitriles and analogs as farnesyltransferase inhibitors)
RN 1102365-35-4 CAPLUS
CN Acetamide, N-[4-[[[4-cyano-3-(1-naphthalenyl)phenyl]-3-pyridinylmethyl]amino]methyl]phenyl]- (CA INDEX NAME)

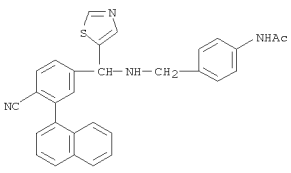
L3 ANSWER 133 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1102366-75-5 CAPLUS
CN Acetamide, N-[4-[[[4-cyano-3-(1-naphthalenyl)phenyl]methyl](3-pyridinylmethyl)amino]methyl]phenyl]- (CA INDEX NAME)

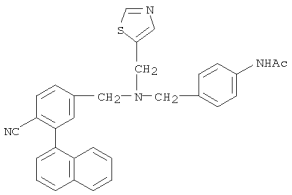


RN 1102368-04-6 CAPLUS
CN Acetamide, N-[4-[[[4-cyano-3-(1-naphthalenyl)phenyl]-5-thiazolylmethyl]amino]methyl]phenyl]- (CA INDEX NAME)



RN 1102369-27-6 CAPLUS
CN Acetamide, N-[4-[[[4-cyano-3-(1-naphthalenyl)phenyl]methyl](5-thiazolylmethyl)amino]methyl]phenyl]- (CA INDEX NAME)

L3 ANSWER 133 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



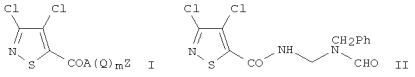
L3 ANSWER 134 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:565019 CAPLUS
DOCUMENT NUMBER: 135:152797
TITLE: Preparation of isothiazolecarboxylic acid derivatives and their use as microbicides
INVENTOR(S): Kitagawa, Yoshinori; Ishikawa, Koichi; Sawada, Haruko;
Araki, Yasuo; Assmann, Lutz
PATENT ASSIGNEE(S): Nihon Bayer Agrochem K. K., Japan
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055124	A1	20010802	WO 2001-EP682	20010123
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2001213869	A	20010807	JP 2000-19920	20000128
EP 1261592	A1	20021204	EP 2001-907477	20010123
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001007886	A	20040106	BR 2001-7886	20010123
JP 2004505010	T	20040219	JP 2001-560983	20010123
US 20030176477	A1	20030918	US 2002-182248	20020725

PRIORITY APPLN. INFO.: JP 2000-19920 A 20000128
WO 2001-EP682 W 20010123

OTHER SOURCE(S): MARPAT 135:152797
GI



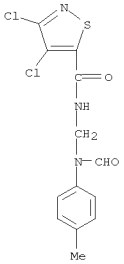
AB Title compds. [I; A = S, NR1; R1 = C1-4-alkyl, C3-6-cycloalkyl, Ph, HOCH2CH2; Q = CHR2, NHCH:CR3, C:NR3; R2 = H, C1-4alkyl, C1-4haloalkyl, C7-9-aralkyl, phenoxymethyl; R3 = aryl, C1-4-alkyl, C1-4-haloalkyl,

L3 ANSWER 134 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

C1-4alkoxy, phenoxy, benzyloxy, cyano, oxydimethylene, naphthyl; m = 0, 1; Z = heterocycle comprising 1-4 nitrogen, or one nitrogen and one oxygen, or at least one nitrogen and one sulfur, NR4R5, OR6, S(O)n, P(:O)(OR8)2; R4 = H, C1-4alkyl, benzyl, Ph, tetrazol-5-yl-thiomethyl; R5 = formyl C1-4-alkylcarbonyl, C1-4-alkylsulfonyl, phenylsulfonyl; R6 = H, C1-4-alkyl, C1-4--haloalkyl, benzyl; R7 = C1-4alkyl, benzyl, Ph, tetrazol-5-yl, benzoyl; n = 0, 1, 2; R8 = C1-4alkyl,] are prepd. as microbicides. Title compds. are mixed with extenders and/or surface-active agents in microbicidal compns. and are applied to the microorganisms and/or to their habitat. Thus, the title compd. II was prepd. and biol. tested for spray effect against Pyricularia oryzae in seedling of paddy rice.

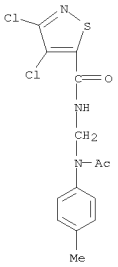
IT 1098925-11-1 1098925-74-6 1098926-65-8
RL: PRPH (Prophetic)
(Preparation of isothiazolecarboxylic acid derivatives and their use as microbicides)

RN 1098925-11-1 CAPLUS
CN 5-Isothiazolecarboxamide, 3,4-dichloro-N-[[formyl(4-methylphenyl)amino]methyl]- (CA INDEX NAME)

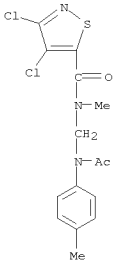


RN 1098925-74-6 CAPLUS
CN 5-Isothiazolecarboxamide, N-[[acetyl(4-methylphenyl)amino]methyl]-3,4-dichloro- (CA INDEX NAME)

L3 ANSWER 134 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1098926-65-8 CAPLUS
CN 5-Isothiazolecarboxamide, N-[[acetyl(4-methylphenyl)amino]methyl]-3,4-dichloro-N-methyl- (CA INDEX NAME)

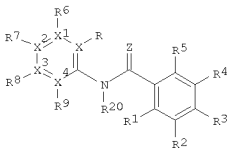


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

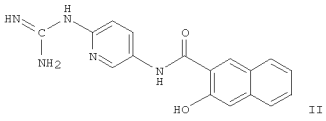
L3 ANSWER 135 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:453001 CAPLUS
DOCUMENT NUMBER: 135:46002
TITLE: Synthesis and use of amidino/guanidino-arylamino salicylamides as serine protease inhibitors for treatment of cancer related disorders
INVENTOR(S): Allen, Darin Arthur; McGee, Danny Peter Claude; Spencer, Jeffrey R.
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044172	A1	20010621	WO 2000-US34211	20001214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2394639	A1	20010621	CA 2000-2394639	20001214
AU 2001021086	A	20010625	AU 2001-21086	20001214
US 20020052343	A1	20020502	US 2000-737687	20001214
EP 1242366	A1	20020925	EP 2000-984472	20001214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 20030232789	A1	20031218	US 2002-149864	20021024
PRIORITY APPLN. INFO.:			US 1999-170916P P	19991215
			WO 2000-US34211 W	20001214

OTHER SOURCE(S): MARPAT 135:46002
GI



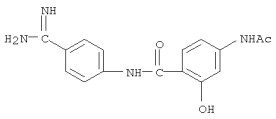
I



II

AB Compds. I and a process for their synthesis are claimed [wherein; R1 = OH, CO2H, ester, CH2O-, (O)SO3H, sulfonate ester or OP(O)(OH)2 or esters thereof; R2-5 = H, SH, O-, halo, ester, amide, (substituted)aryl, heterocyclyl, etc.; R, R6, R9 = H, halo, CN, (halo)alkyl, NO2, O-aryl/alkyl or R, R6 taken together form (un)saturated (un)substituted C4; R7, R8 = OH, CF3, H, CO2H, NO2, (O)alkyl/aryl, halo, cyano, (substituted)guanidino/amidino, imidazolin-2-yl, N-amidino(morpholine/piperidine), etc.; X includes C; X1-4 = C or N; R20 = H or OH; Z = O, S, CH2, N-, H(CO2H), H(CH2OH), etc.; with the proviso that at least 2 of X1-4 = C and when any of X1-4 = N the corresponding substituent does not exist]. Data for over 40 synthetic examples is provided. The process claimed involves a selective acylation of an amino group and is exemplified by the synthesis of II. 3-Acetoxy-2-chlorocarbonylnaphthalene was prepared from the corresponding carboxylic acid and coupled, in the presence of N,N-dimethylacetamide (or other selected acetamides), to N-(5-aminopyridin-2-yl)guanidine hydrochloride to give the acetoxy derivative of II. The acetoxy derivative was treated with 1M HCl for 2 h to provide II, isolated as the HCl salt. Compds. of the invention are inhibitors of serine proteases, urokinase (uPA), factor Xa (FXa) and/or factor VIIa (FVIIa). Guanidine II had Ki = 0.326 μM for urokinase and Ki = 130 μM for FXa. Compds. I are anticancer agents and/or anticoagulants and also used for the treatment or prevention of thromboembolic disorders in mammals.
IT 1100855-05-7

L3 ANSWER 135 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RL: PRPH (Prophetic)
(Synthesis and use of amidino/guanidino-arylamino salicylamides as serine protease inhibitors for treatment of cancer related disorders)
RN 1100855-05-7 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[4-(aminoiminomethyl)phenyl]-2-hydroxy- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:819755 CAPLUS
DOCUMENT NUMBER: 131:359734
TITLE: Organic nitrile derivatives and their use as pesticides
INVENTOR(S): Hall, Roger Graham; Steiger, Arthur; Huter, Ottmar Franz; Pascual, Alfons; Kriz, Miroslav; Trah, Stephan
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937603 A1		19990729	WO 1999-XB363	19990120
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG				
PRIORITY APPLN. INFO.:			CH 1998-149	19980122
			CH 1998-963	19980429

AB Nitriles A1NR2N:CA2CN (I; A1, A2 = aryl, heteroaryl; A1 is substituted with (R3a)n1 and A2 is substituted with (R3b)n2; n1, n2 = 1-4; R3a, R3b = H, halo, alkyl, haloalkyl, NO2, cyano, etc.), having agricultural pesticidal activity, were prepared E.g., ovicidal effect of I on Heliothis virescens was determined E.g., 4-(1-[(2,6-dichloro-4-trifluoromethylphenyl)hydrazono]-2-nitriloethyl]nitrobenzene was prepared [This abstract record is one of 3 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]
IT 1102639-72-4 1102639-73-5 1102639-74-6
1102639-75-7 1102639-76-8 1102639-77-9
1102639-78-0 1102639-79-1 1102639-80-4
1102640-29-8 1102640-30-1 1102640-31-2
1102640-32-3 1102640-33-4 1102640-34-5
1102640-35-6 1102640-36-7 1102640-37-8
1102640-38-9 1102640-39-0 1102640-40-3
1102640-41-4 1102640-42-5 1102640-43-6
1102640-44-7 1102640-45-8 1102640-46-9
1102640-47-0 1102640-48-1 1102640-49-2
1102640-50-5 1102640-51-6 1102640-53-8
1102640-54-9 1102640-55-0 1102640-56-1
1102640-57-2 1102640-58-3 1102658-27-4
1102658-28-5 1102658-29-6 1102658-30-9
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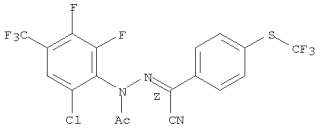
1102658-34-3 1102658-35-4 1102658-36-5
1102658-37-6 1102658-38-7 1102658-39-8
1102658-40-1 1102658-41-2 1102658-42-3
1102658-43-4 1102658-44-5 1102658-45-6
1102658-46-7 1102658-47-8 1102658-48-9
1102658-49-0 1102658-50-3 1102658-51-4
1102658-52-5 1102658-53-6 1102658-54-7

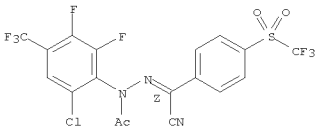
L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
1102658-55-8 1102658-56-9 1102658-57-0
1102658-58-1 1102658-60-5 1102658-61-6
1102658-62-7 1102658-63-8 1102658-64-9
1102658-66-1
RL: PRPH (Prophetic)
(Organic nitrile derivatives and their use as pesticides)
RN 1102639-72-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



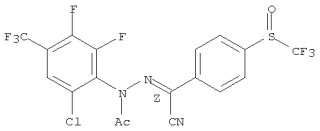
RN 1102639-73-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102639-74-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

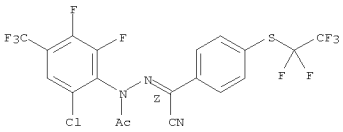
Double bond geometry as shown.



RN 1102639-75-7 CAPLUS

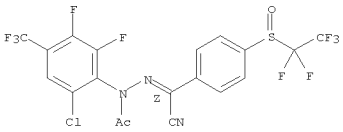
L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



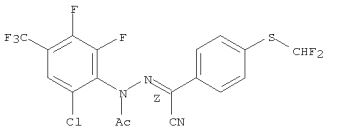
RN 1102639-76-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102639-77-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

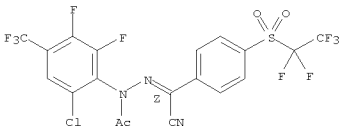
Double bond geometry as shown.



RN 1102639-78-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

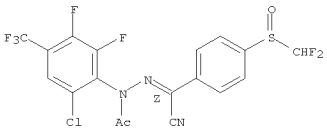
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



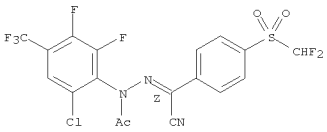
RN 1102639-79-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



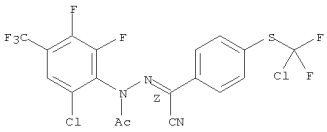
RN 1102639-80-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102640-29-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

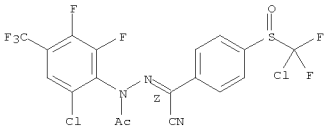
Double bond geometry as shown.



L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

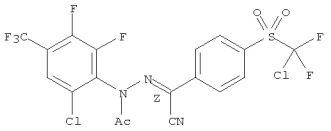
RN 1102640-30-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



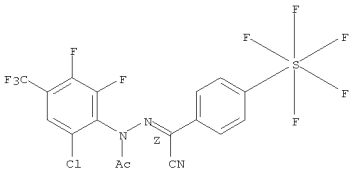
RN 1102640-31-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102640-32-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

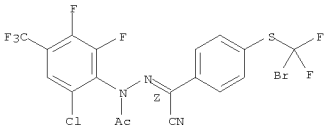
Double bond geometry as shown.



RN 1102640-33-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

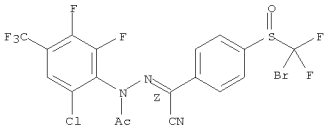
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



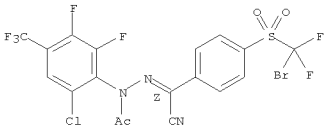
RN 1102640-34-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102640-35-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

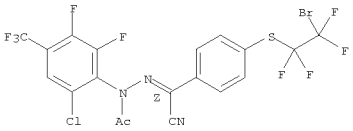
Double bond geometry as shown.



RN 1102640-36-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

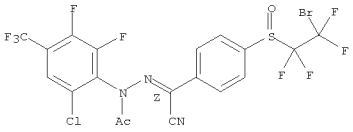
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



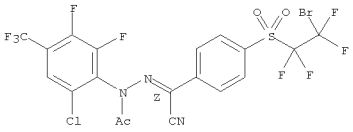
RN 1102640-37-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102640-38-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

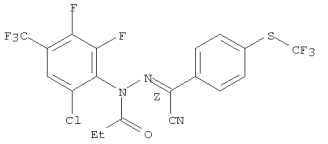
Double bond geometry as shown.



RN 1102640-39-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

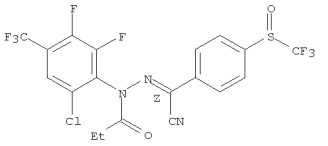
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



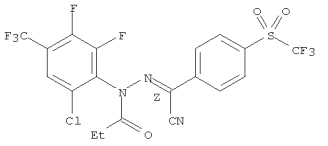
RN 1102640-40-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102640-41-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

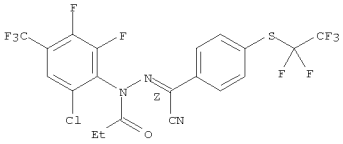
Double bond geometry as shown.



RN 1102640-42-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

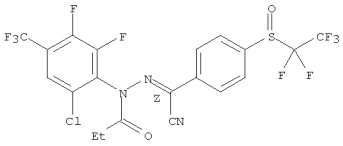
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



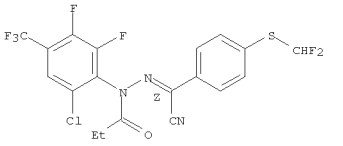
RN 1102640-43-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102640-44-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

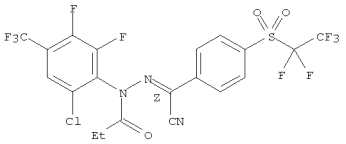
Double bond geometry as shown.



RN 1102640-45-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

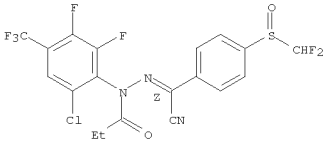
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



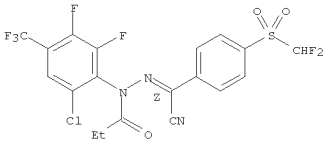
RN 1102640-46-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102640-47-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

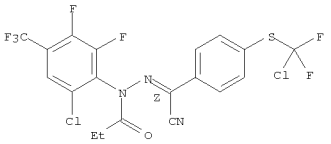
Double bond geometry as shown.



RN 1102640-48-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

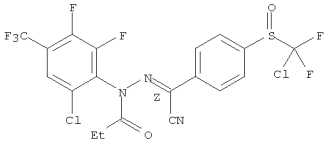
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



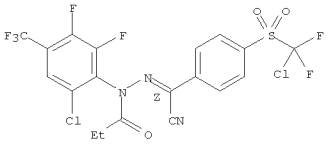
RN 1102640-49-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102640-50-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

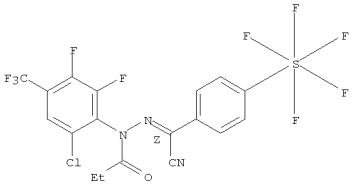
Double bond geometry as shown.



RN 1102640-51-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

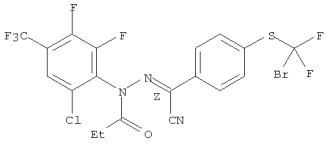
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



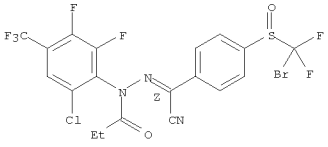
RN 1102640-53-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102640-54-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

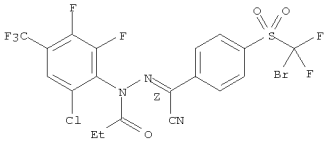
Double bond geometry as shown.



RN 1102640-55-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

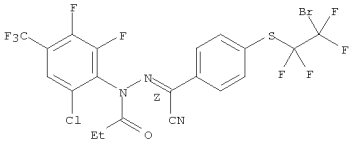
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



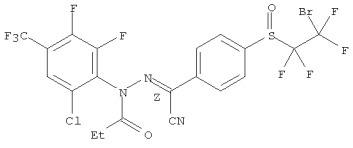
RN 1102640-56-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102640-57-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

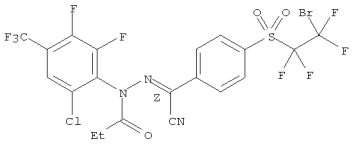
Double bond geometry as shown.



RN 1102640-58-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

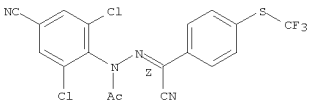
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



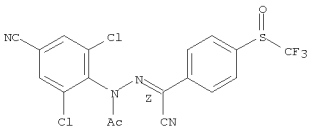
RN 1102658-27-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102658-28-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

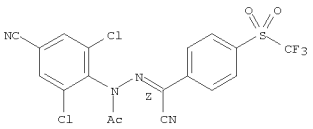
Double bond geometry as shown.



RN 1102658-29-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

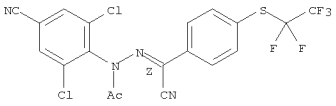
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



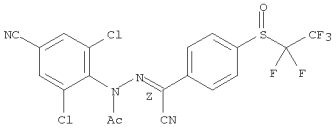
RN 1102658-30-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102658-31-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

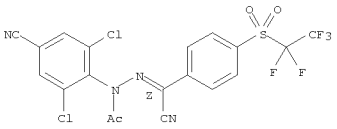
Double bond geometry as shown.



RN 1102658-32-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

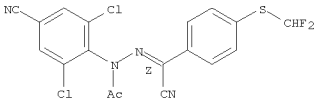
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



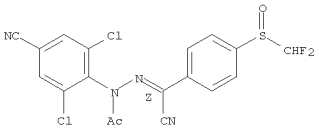
RN 1102658-33-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



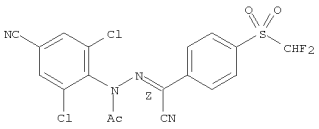
RN 1102658-34-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102658-35-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

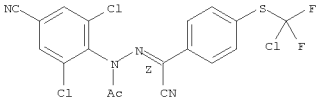
Double bond geometry as shown.



RN 1102658-36-5 CAPLUS

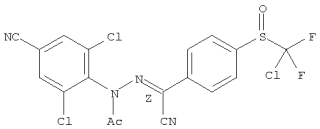
L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



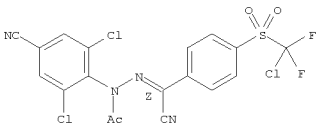
RN 1102658-37-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102658-38-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

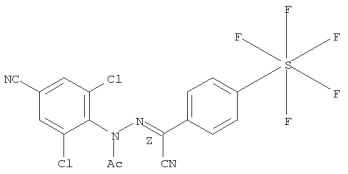
Double bond geometry as shown.



RN 1102658-39-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

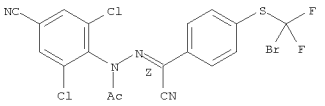
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



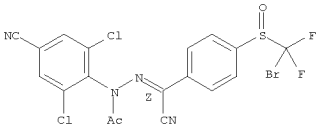
RN 1102658-40-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102658-41-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

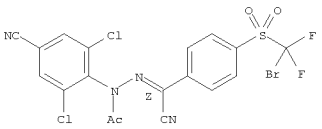
Double bond geometry as shown.



RN 1102658-42-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

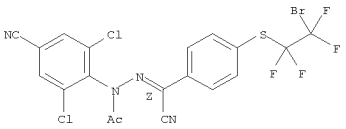
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



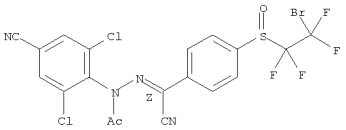
RN 1102658-43-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102658-44-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

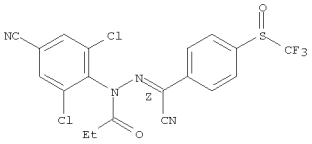
Double bond geometry as shown.



RN 1102658-45-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

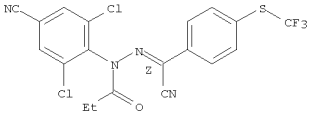
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



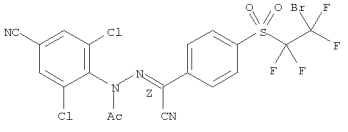
RN 1102658-46-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102658-47-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

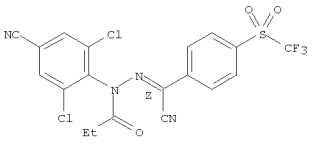
Double bond geometry as shown.



RN 1102658-48-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

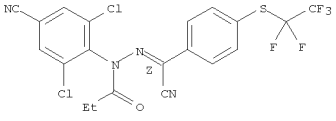
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



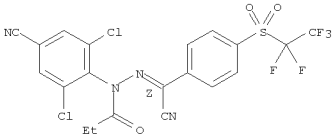
RN 1102658-49-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102658-50-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

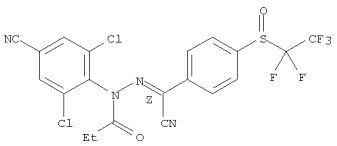
Double bond geometry as shown.



RN 1102658-51-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

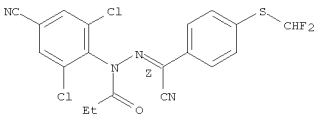
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



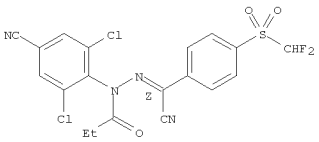
RN 1102658-52-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102658-53-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

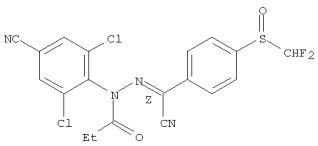
Double bond geometry as shown.



RN 1102658-54-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

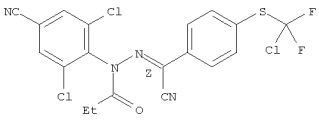
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



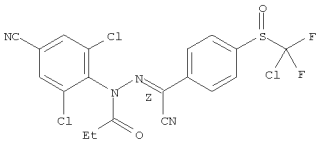
RN 1102658-55-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102658-56-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

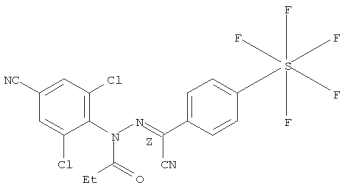
Double bond geometry as shown.



RN 1102658-57-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

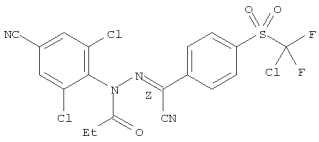
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



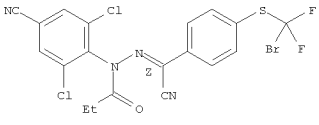
RN 1102658-58-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102658-60-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

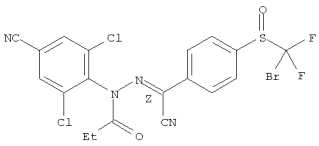
Double bond geometry as shown.



RN 1102658-61-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

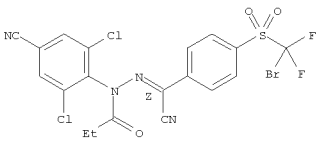
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



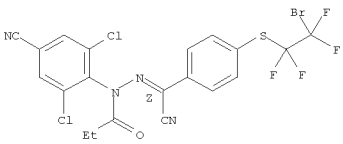
RN 1102658-62-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102658-63-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

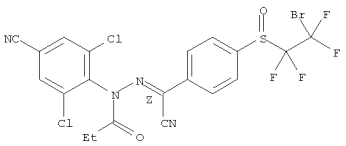
Double bond geometry as shown.



RN 1102658-64-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

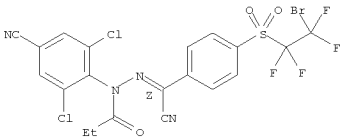
Double bond geometry as shown.

L3 ANSWER 136 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1102658-66-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:819754 CAPLUS
DOCUMENT NUMBER: 131:359733
TITLE: Organic nitrile derivatives and their use as pesticides
INVENTOR(S): Hall, Roger Graham; Steiger, Arthur; Huter, Ottmar Franz; Pascual, Alfons; Kriz, Miroslav; Trah, Stephan
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937603 A1		19990729	WO 1999-XA363	19990120

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG

PRIORITY APPLN. INFO.:	CH 1998-149	19980122
	CH 1998-963	19980429

AB Nitriles A1NR2N:CA2CN (I; A1, A2 = aryl, heteroaryl; A1 is substituted with (R3a)n1 and A2 is substituted with (R3b)n2; n1, n2 = 1-4; R3a, R3b = H, halo, alkyl, haloalkyl, NO2, cyano, etc.), having agricultural pesticidal activity, were prepared E.g., ovicidal effect of I on Heliothis virescens was determined E.g., 4-{1-[(2,6-dichloro-4-trifluoromethylphenyl)hydrazono]-2-nitriloethyl}nitrobenzene was prepared [This abstract record is one of 3 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

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1102548-67-3 1102559-70-5 1102559-71-6
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L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
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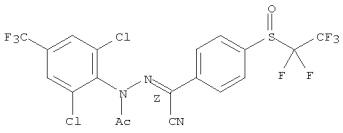
1102599-75-6 1102599-76-7 1102601-05-7
RL: PRPH (Prophetic)

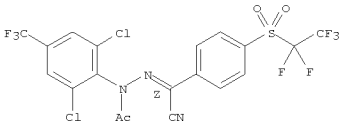
L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(Organic nitrile derivatives and their use as pesticides)
RN 1102548-11-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



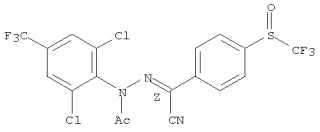
RN 1102548-12-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102548-23-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

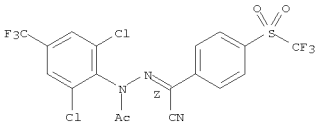
Double bond geometry as shown.



RN 1102548-29-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

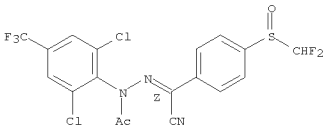
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



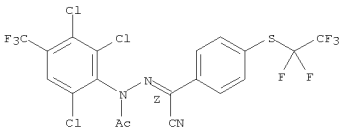
RN 1102548-53-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102548-64-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

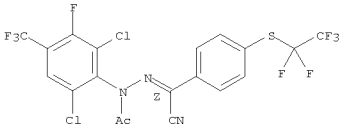
Double bond geometry as shown.



RN 1102548-67-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

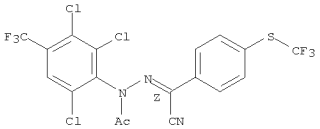
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



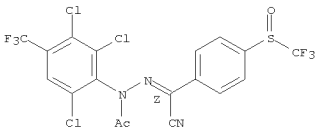
RN 1102559-70-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102559-71-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

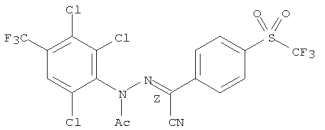
Double bond geometry as shown.



RN 1102559-72-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

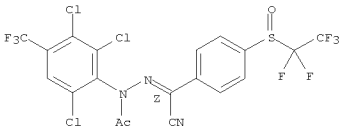
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



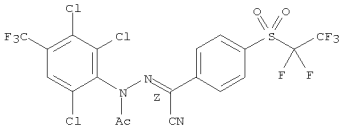
RN 1102562-44-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



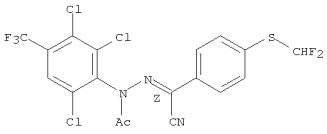
RN 1102562-45-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102562-46-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

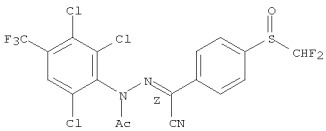
Double bond geometry as shown.



L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

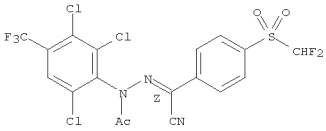
RN 1102562-47-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



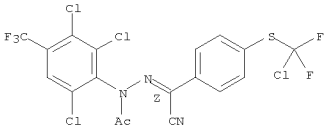
RN 1102562-48-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102562-49-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

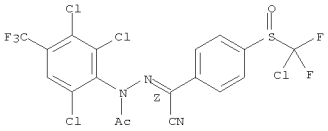
Double bond geometry as shown.



RN 1102562-50-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

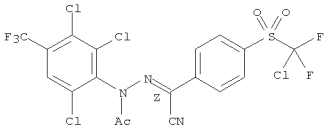
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



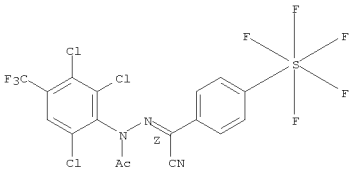
RN 1102562-51-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102562-52-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

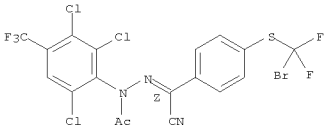
Double bond geometry as shown.



RN 1102562-53-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

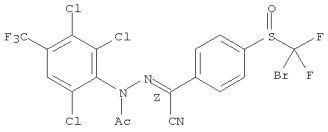
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



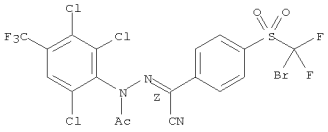
RN 1102562-54-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102562-55-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

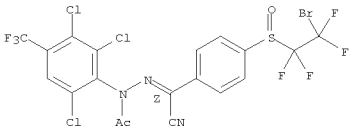
Double bond geometry as shown.



RN 1102562-56-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

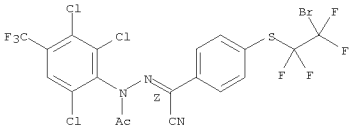
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



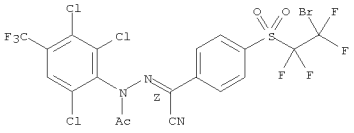
RN 1102562-57-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102562-58-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

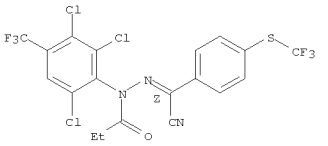
Double bond geometry as shown.



RN 1102562-59-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

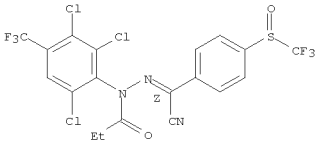
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



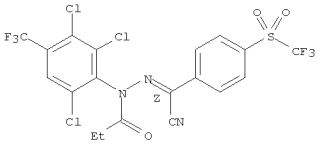
RN 1102562-60-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102562-61-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

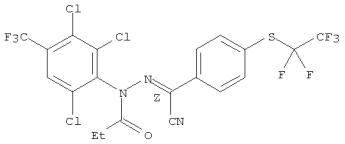
Double bond geometry as shown.



RN 1102562-62-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

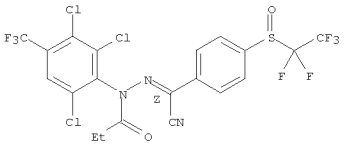
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



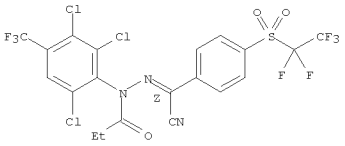
RN 1102562-63-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102562-64-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

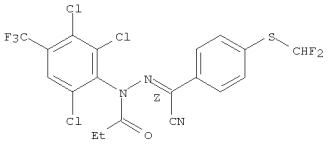
Double bond geometry as shown.



RN 1102562-65-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

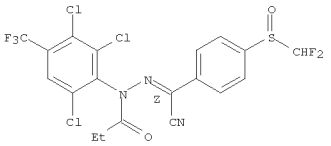
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



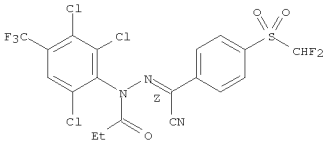
RN 1102562-66-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102562-67-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

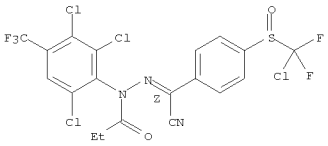
Double bond geometry as shown.



RN 1102562-68-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

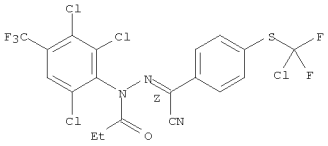
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



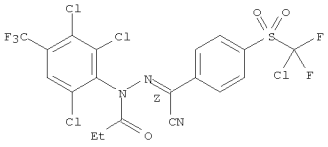
RN 1102562-69-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102562-70-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

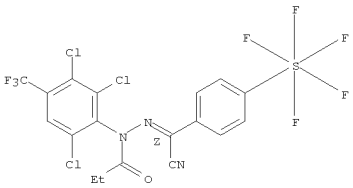
Double bond geometry as shown.



RN 1102562-71-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

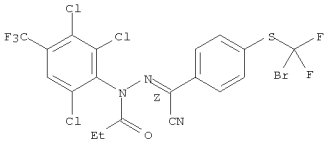
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



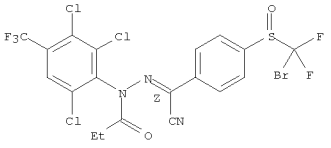
RN 1102562-72-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102562-74-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

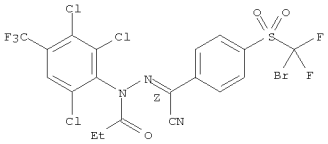
Double bond geometry as shown.



RN 1102562-75-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

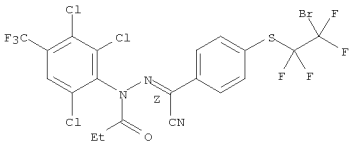
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



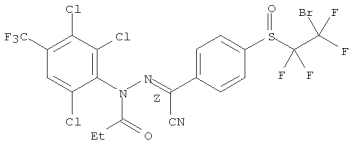
RN 1102562-76-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102562-77-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

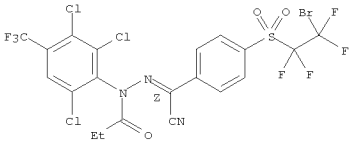
Double bond geometry as shown.



RN 1102564-03-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

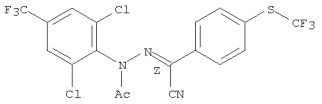
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



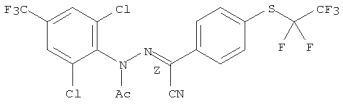
RN 1102565-79-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



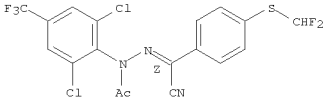
RN 1102565-80-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



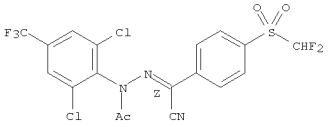
RN 1102565-81-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



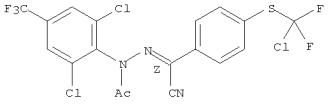
L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 1102565-82-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



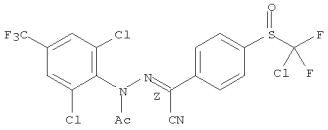
RN 1102565-83-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102565-84-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

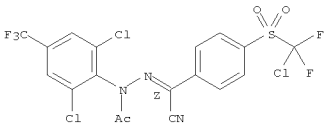
Double bond geometry as shown.



RN 1102568-10-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

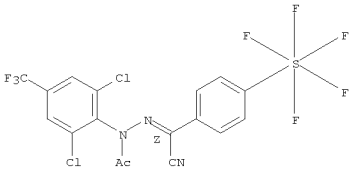
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



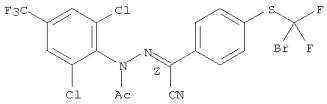
RN 1102568-11-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102568-12-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

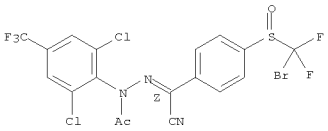
Double bond geometry as shown.



RN 1102568-13-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

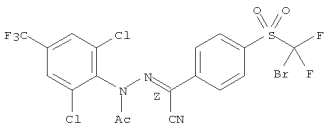
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



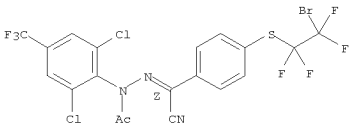
RN 1102568-14-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102568-15-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

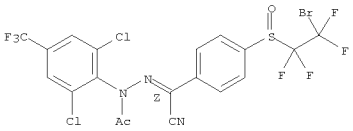
Double bond geometry as shown.



RN 1102568-16-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

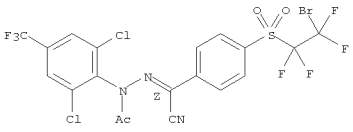
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



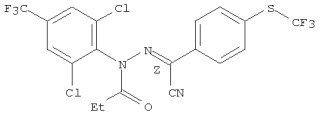
RN 1102568-17-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102568-18-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

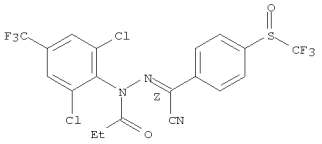
Double bond geometry as shown.



RN 1102568-19-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

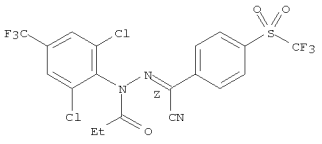
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



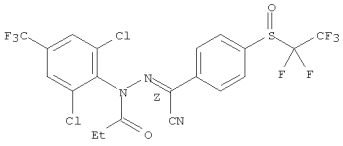
RN 1102568-20-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102568-21-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

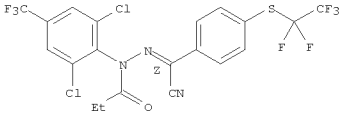
Double bond geometry as shown.



RN 1102568-22-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

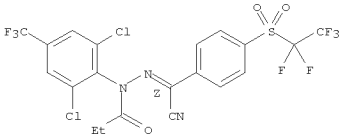
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



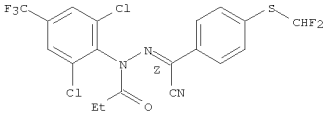
RN 1102568-23-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



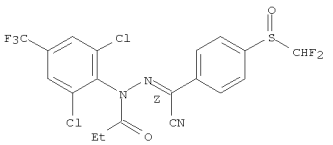
RN 1102568-24-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102568-25-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

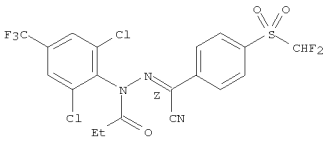
Double bond geometry as shown.



L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

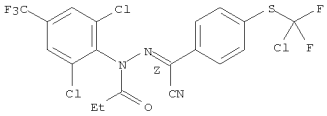
RN 1102568-26-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



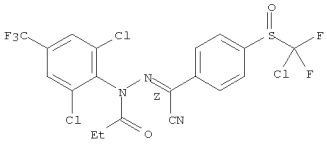
RN 1102568-27-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102568-28-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

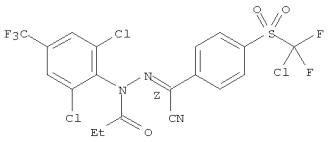
Double bond geometry as shown.



RN 1102568-29-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

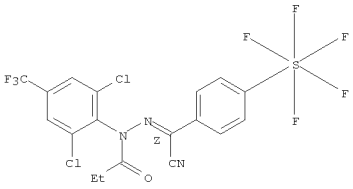
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



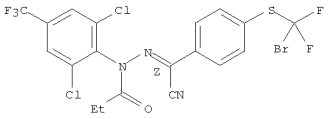
RN 1102568-30-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102568-32-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

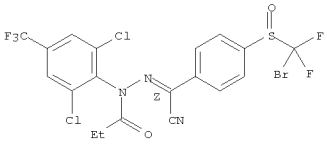
Double bond geometry as shown.



RN 1102568-33-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

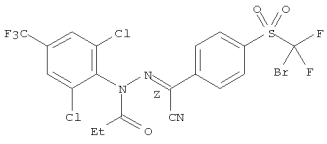
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



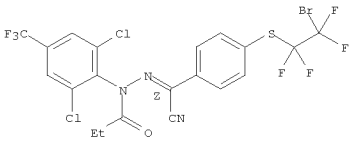
RN 1102568-34-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102568-35-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

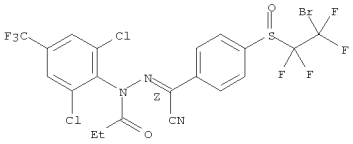
Double bond geometry as shown.



RN 1102568-36-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

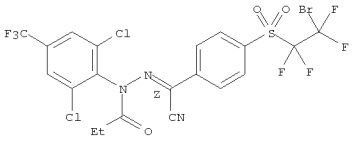
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



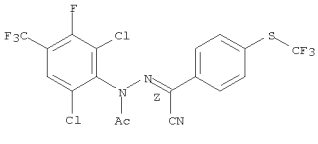
RN 1102568-37-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102579-38-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

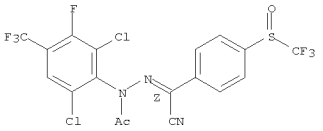
Double bond geometry as shown.



RN 1102579-39-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

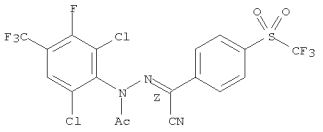
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



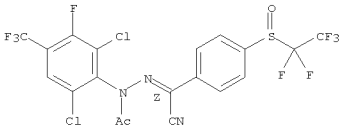
RN 1102579-40-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102579-41-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

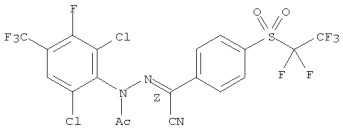
Double bond geometry as shown.



RN 1102579-42-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

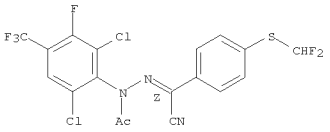
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



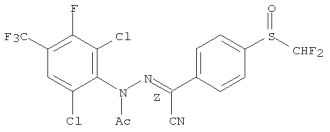
RN 1102579-43-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102579-44-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

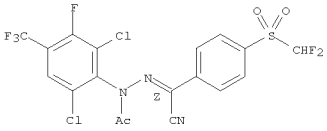
Double bond geometry as shown.



RN 1102579-45-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

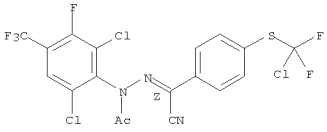
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



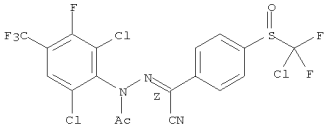
RN 1102579-46-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



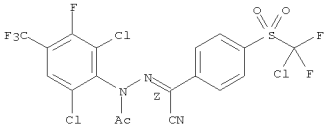
RN 1102579-47-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102579-48-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

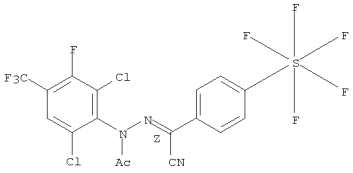
Double bond geometry as shown.



L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

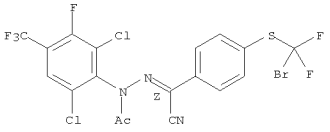
RN 1102579-49-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



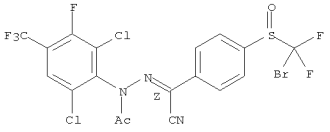
RN 1102579-50-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102579-51-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

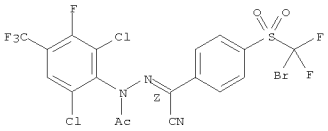
Double bond geometry as shown.



RN 1102579-52-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

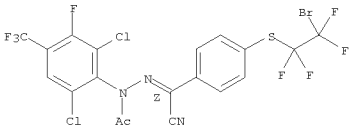
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



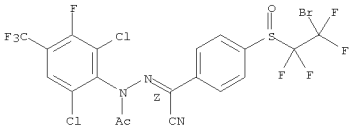
RN 1102579-53-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102579-54-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

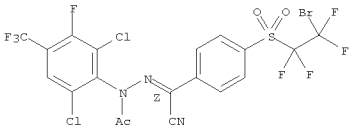
Double bond geometry as shown.



RN 1102579-55-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

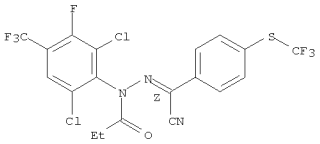
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



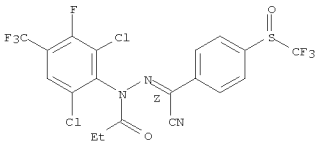
RN 1102579-56-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102579-57-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

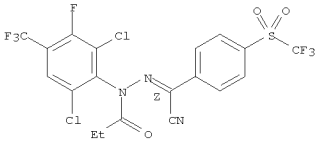
Double bond geometry as shown.



RN 1102579-58-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

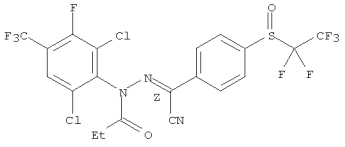
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



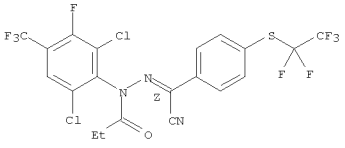
RN 1102579-59-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102579-60-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

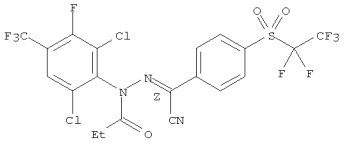
Double bond geometry as shown.



RN 1102579-61-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

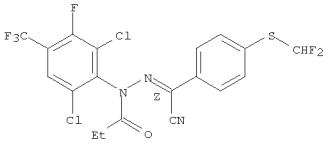
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



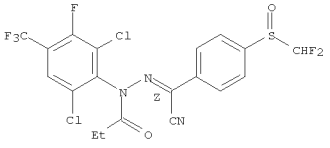
RN 1102579-62-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102579-63-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

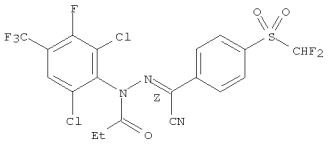
Double bond geometry as shown.



RN 1102579-64-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

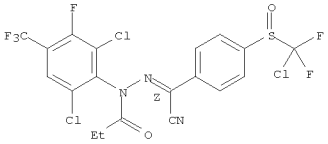
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



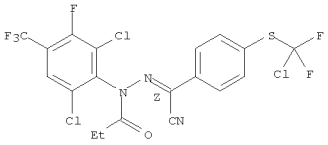
RN 1102579-65-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102579-66-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

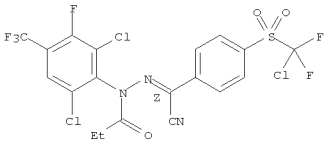
Double bond geometry as shown.



RN 1102579-67-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

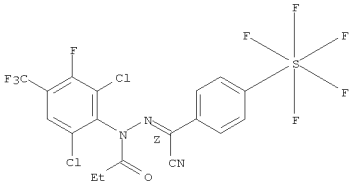
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



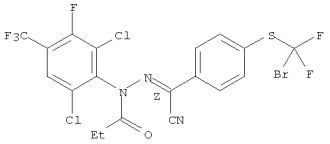
RN 1102579-69-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102579-70-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

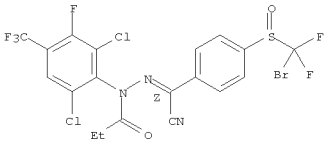
Double bond geometry as shown.



RN 1102579-71-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

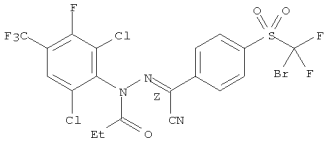
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



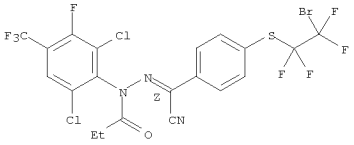
RN 1102579-72-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102579-73-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

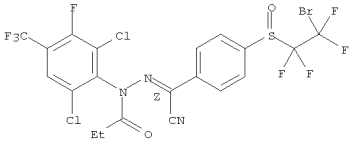
Double bond geometry as shown.



RN 1102581-02-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

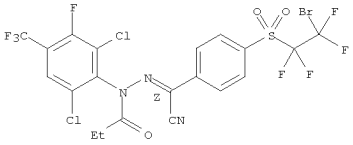
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



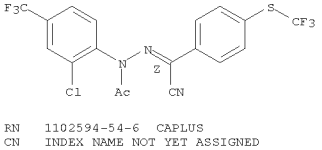
RN 1102581-03-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



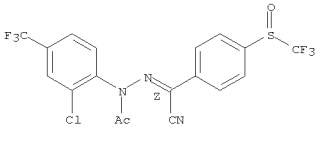
RN 1102594-53-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102594-54-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

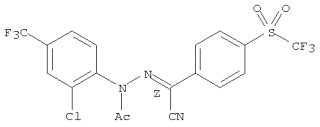
Double bond geometry as shown.



L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

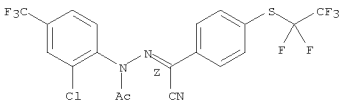
RN 1102594-55-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



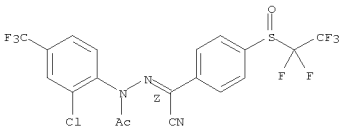
RN 1102594-56-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102594-57-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

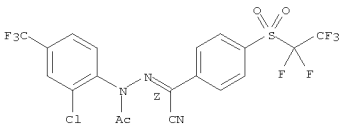
Double bond geometry as shown.



RN 1102594-58-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

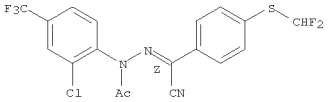
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



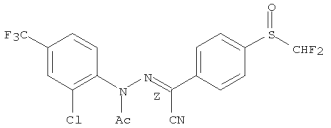
RN 1102594-59-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102594-60-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

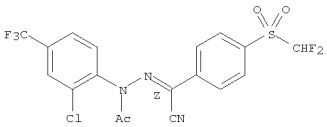
Double bond geometry as shown.



RN 1102594-61-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

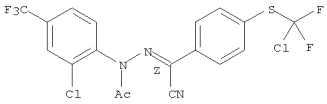
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



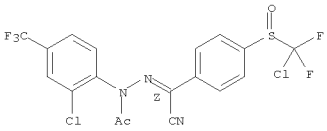
RN 1102594-62-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



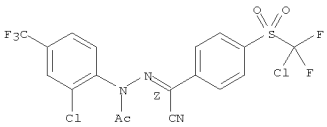
RN 1102594-63-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102594-64-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

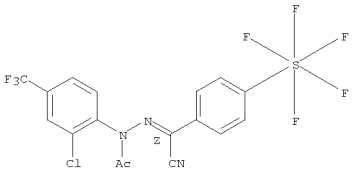
Double bond geometry as shown.



RN 1102594-65-9 CAPLUS

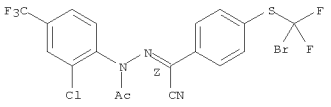
L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



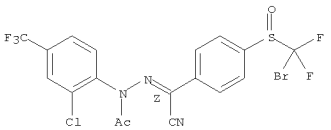
RN 1102594-66-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102594-67-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

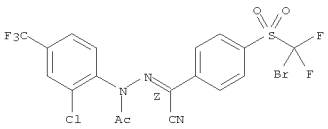
Double bond geometry as shown.



RN 1102594-68-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

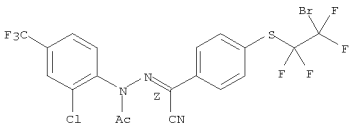
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



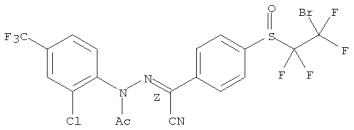
RN 1102594-69-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102594-70-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

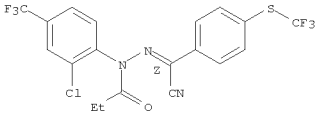
Double bond geometry as shown.



RN 1102594-71-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

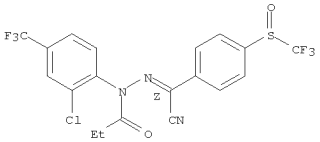
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



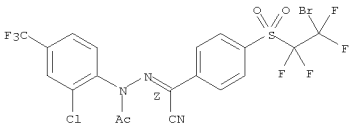
RN 1102594-72-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102594-73-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

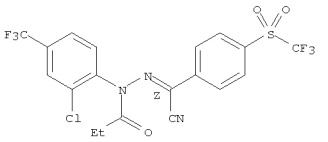
Double bond geometry as shown.



RN 1102594-74-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

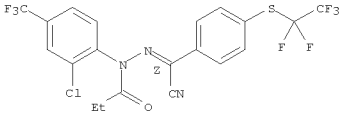
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



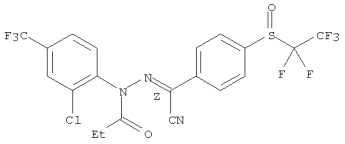
RN 1102594-75-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102594-76-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

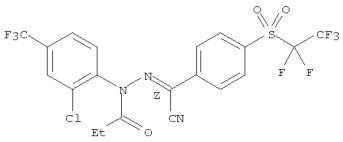
Double bond geometry as shown.



RN 1102594-77-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

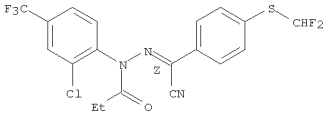
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



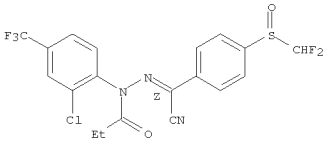
RN 1102594-78-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102594-79-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

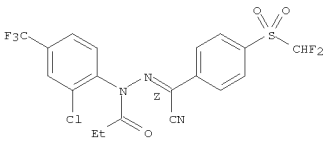
Double bond geometry as shown.



RN 1102594-80-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

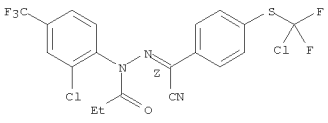
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



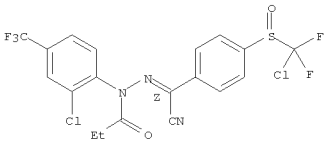
RN 1102594-81-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102594-82-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

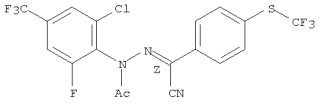
Double bond geometry as shown.



RN 1102595-40-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

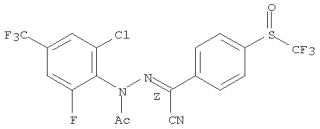
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



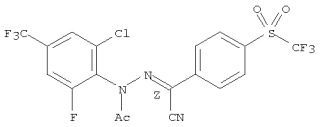
RN 1102595-41-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



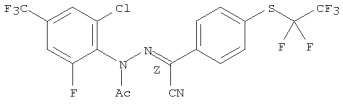
RN 1102595-42-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102595-43-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

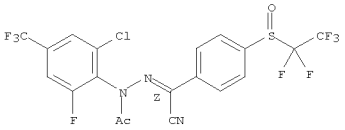
Double bond geometry as shown.



L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

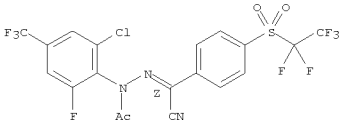
RN 1102595-44-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



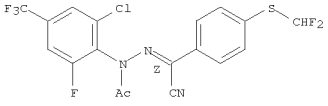
RN 1102595-45-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102595-46-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

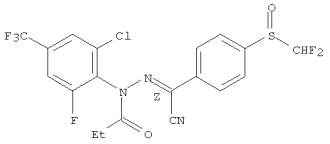
Double bond geometry as shown.



RN 1102595-66-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

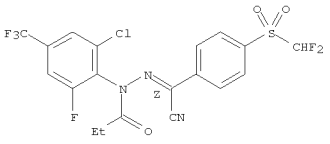
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



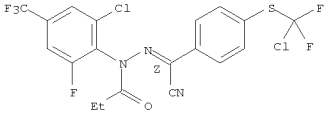
RN 1102595-67-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102595-68-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

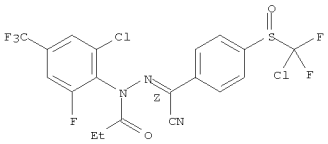
Double bond geometry as shown.



RN 1102595-69-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

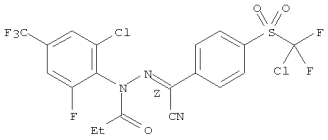
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



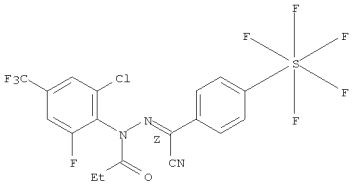
RN 1102595-70-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102595-71-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

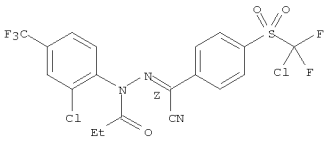
Double bond geometry as shown.



RN 1102596-11-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

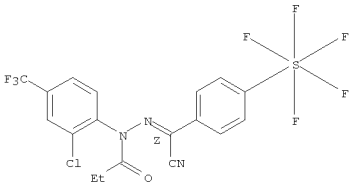
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



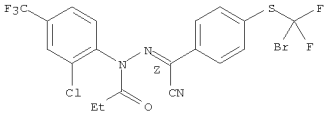
RN 1102596-12-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102596-14-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

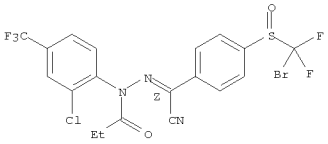
Double bond geometry as shown.



RN 1102596-15-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

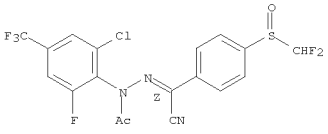
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



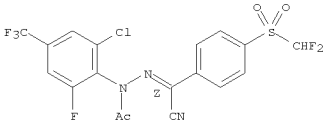
RN 1102596-75-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



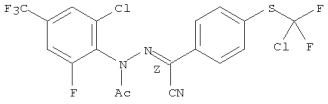
RN 1102596-76-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



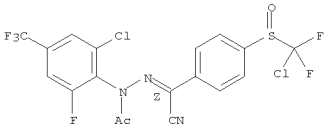
RN 1102596-77-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



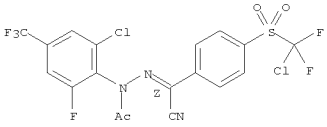
L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 1102596-78-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



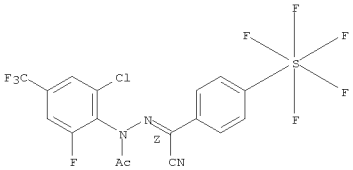
RN 1102596-79-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102596-80-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

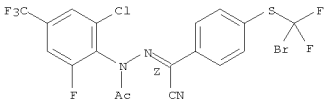
Double bond geometry as shown.



RN 1102596-81-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

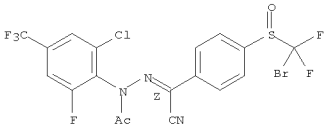
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



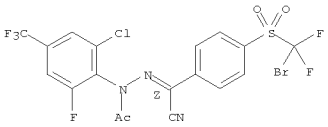
RN 1102596-82-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102596-83-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

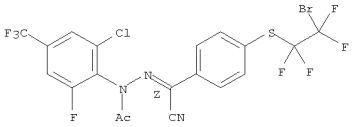
Double bond geometry as shown.



RN 1102596-84-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

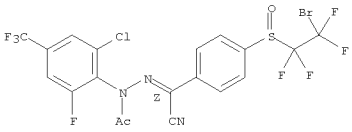
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



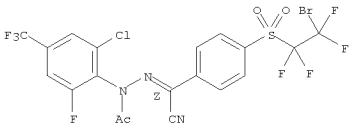
RN 1102596-85-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102596-86-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

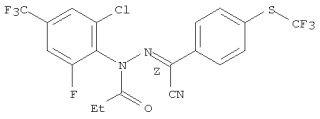
Double bond geometry as shown.



RN 1102596-87-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

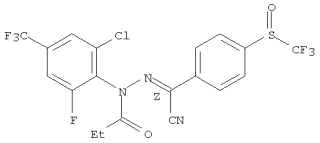
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



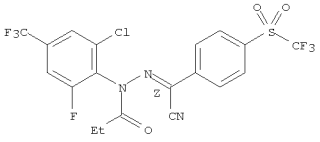
RN 1102596-88-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102596-89-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

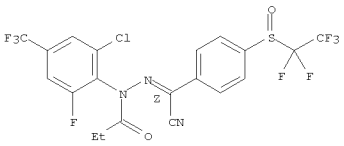
Double bond geometry as shown.



RN 1102596-90-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

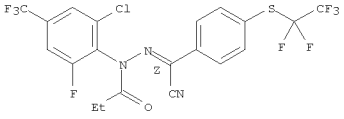
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



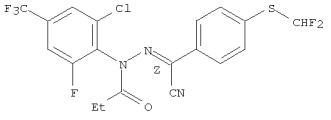
RN 1102596-91-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



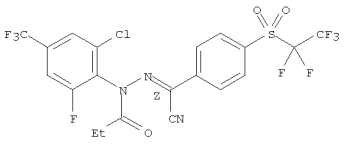
RN 1102596-92-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102596-93-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

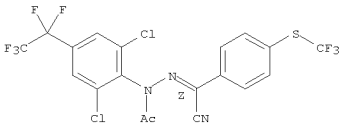
Double bond geometry as shown.



L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

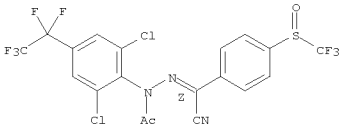
RN 1102597-15-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



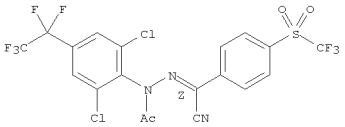
RN 1102597-16-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102597-17-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

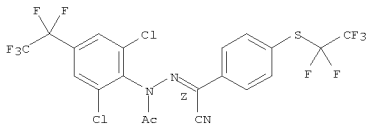
Double bond geometry as shown.



RN 1102597-18-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

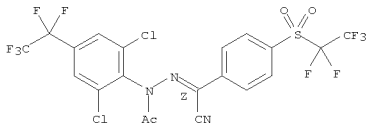
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



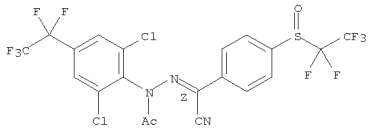
RN 1102597-19-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102597-20-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

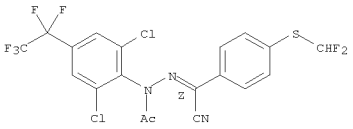
Double bond geometry as shown.



RN 1102597-21-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

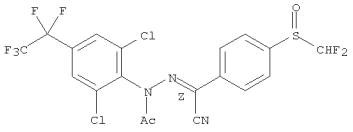
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



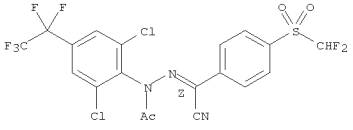
RN 1102597-22-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



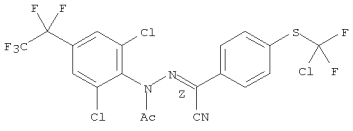
RN 1102597-23-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102597-24-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

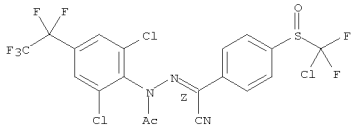
Double bond geometry as shown.



L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

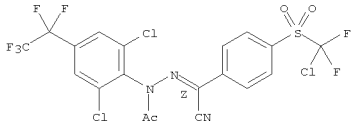
RN 1102597-25-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



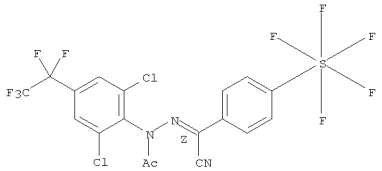
RN 1102597-26-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102597-27-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

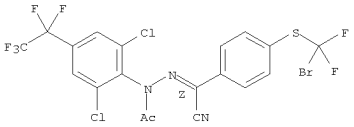
Double bond geometry as shown.



RN 1102597-28-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

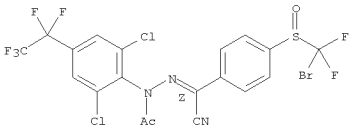
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



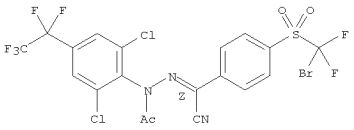
RN 1102597-29-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102597-30-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

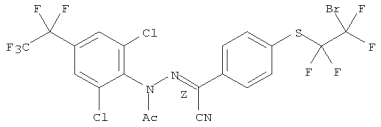
Double bond geometry as shown.



RN 1102597-31-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

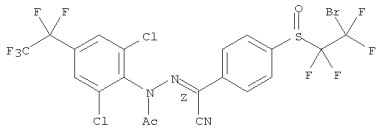
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



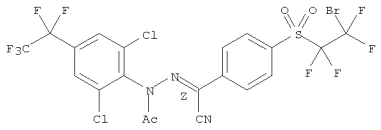
RN 1102597-32-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102597-33-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

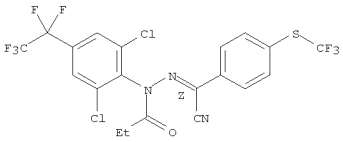
Double bond geometry as shown.



RN 1102597-34-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

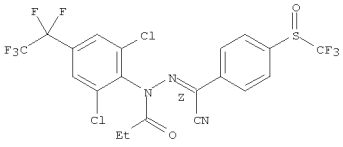
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



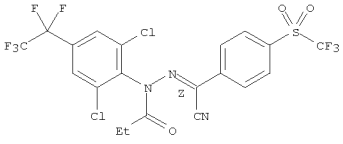
RN 1102597-35-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102597-36-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

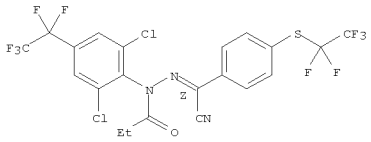
Double bond geometry as shown.



RN 1102597-37-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

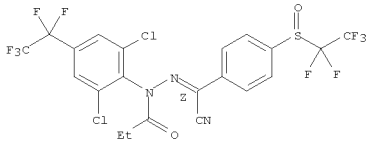
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



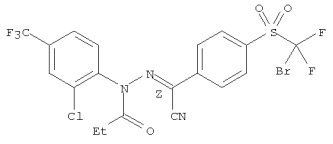
RN 1102597-38-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102597-90-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

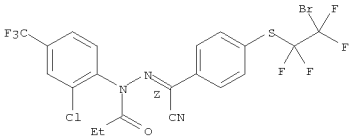
Double bond geometry as shown.



RN 1102597-91-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

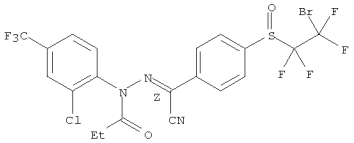
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



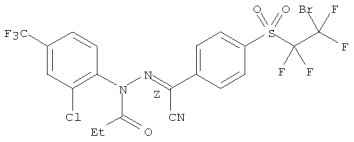
RN 1102597-92-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102597-93-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

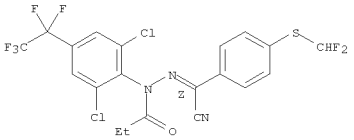
Double bond geometry as shown.



RN 1102597-99-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

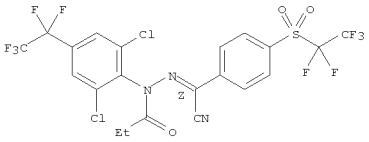
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



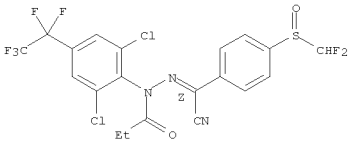
RN 1102598-00-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102598-01-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

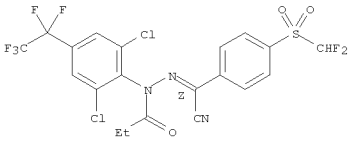
Double bond geometry as shown.



RN 1102598-02-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

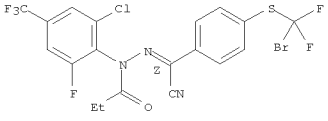
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



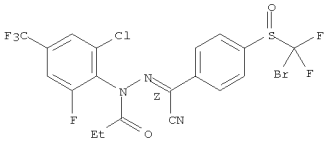
RN 1102599-27-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102599-28-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

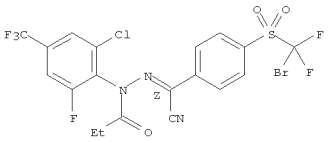
Double bond geometry as shown.



RN 1102599-29-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

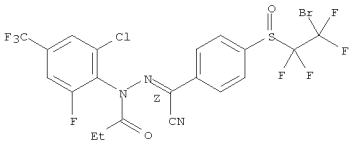
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



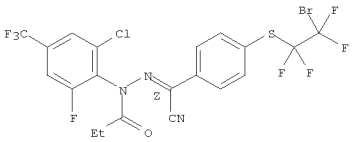
RN 1102599-30-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102599-31-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

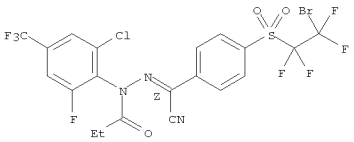
Double bond geometry as shown.



RN 1102599-32-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

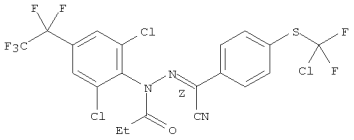
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



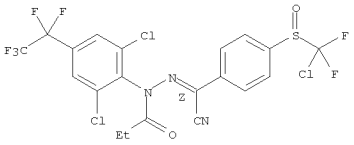
RN 1102599-67-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102599-68-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

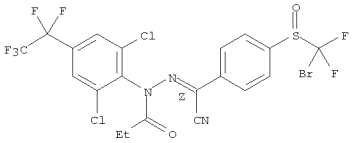
Double bond geometry as shown.



RN 1102599-69-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

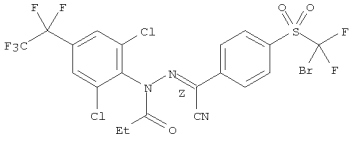
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



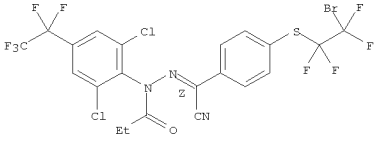
RN 1102599-74-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102599-75-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

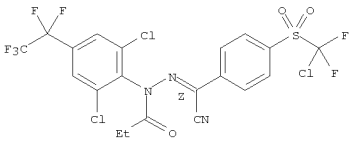
Double bond geometry as shown.



RN 1102599-76-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

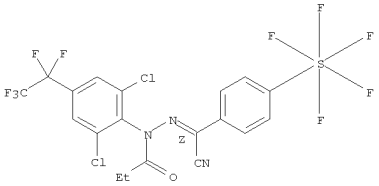
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



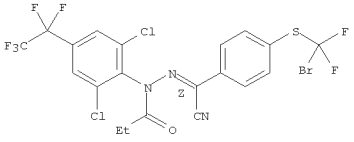
RN 1102599-70-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



RN 1102599-72-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

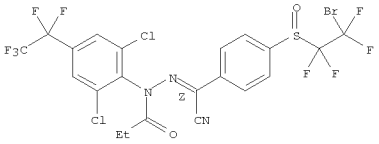
Double bond geometry as shown.



RN 1102599-73-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

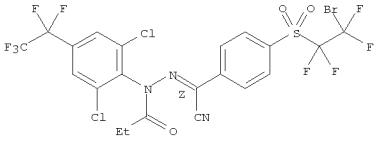
Double bond geometry as shown.

L3 ANSWER 137 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 1102601-05-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.

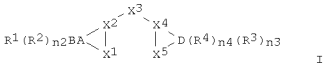


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L3 ANSWER 138 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:354488 CAPLUS
DOCUMENT NUMBER: 131:19005
TITLE: Preparation of amidinobenzimidazolylheterocycles as anticoagulants.
INVENTOR(S): Fatheree, Paul R.; Jenkins, Thomas E.; Li, Yong; Linsell, Martin S.; Rai, Roopa; Shrader, William D.; Trapp, Sean G.; Young, Wendy B.
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9926932	A1	19990603	WO 1998-US25216	19981125
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9916071	A	19990615	AU 1999-16071	19981125
PRIORITY APPLN. INFO.:			US 1997-72654 P	19971126
			WO 1998-US25216 W	19981125

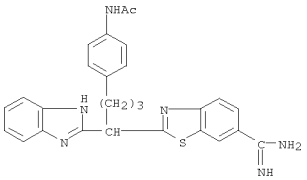
OTHER SOURCE(S): MARPAT 131:19005
GI



I

AB Title compds. [I; AB = atoms to form a fused heterobicyclyl; X1, X5 = N, NR5, O, S; R5 = R6, X6R6; X6 = linking group; R6 = H, aryl, cycloalkyl, heteroaryl, heterocycloalkyl, heteropolycycloaryl, polycycloaryl; D = atoms to form a heterocyclyl, heteropolycyclyl; X3 = O, S, CO, NR7, SiR7R8, CR7R8; R7 = H, alkyl, OH; R8 = R6, X6R6; R7 and/or R8 = atoms to form alkylene; R1 = amidino; R2 = H, alkyl, alkoxy, alkylsulfonyl, alkylthio, CO2H, halo, heteroalkyl, OH, SH, NO2; X2, X4 undefined; R3 = H, cyano, halo, NO2, perhaloalkyl, perhaloalkoxy; R4 = R6, X6R6; n2 = 1-3; n3 = 1-4; n4 = 1, 2], were prepared Thus, 3,4-diaminobenzamidine, Et 5,6-difluoro-1H-benzimidazol-2-ylacetate, and polyphosphoric acid were

L3 ANSWER 138 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
heated for 2.5 h at 165° to give 91% 2-(5,6-difluoro-1H-benzimidazol-2-ylmethyl)-1H-benzimidazole-5-carboxamidine. The latter inhibited human Factor Xa with Ki = 0.0008 μM.
IT 1101274-07-0
RL: PRPH (Prophetic)
(Preparation of amidinobenzimidazolylheterocycles as anticoagulants.)
RN 1101274-07-0 CAPLUS
CN Acetamide, N-[4-[4-[6-(aminoiminomethyl)-2-benzothiazolyl]-4-(1H-benzimidazol-2-yl)butyl]phenyl]- (CA INDEX NAME)

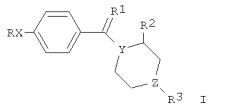


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

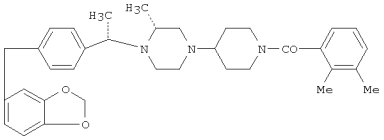
L3 ANSWER 139 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:212795 CAPLUS
DOCUMENT NUMBER: 130:267454
TITLE: Preparation of muscarinic antagonists
INVENTOR(S): Lowe, Derek B.; Chang, Wei K.; Kozlowski, Joseph A.; Berger, Joel G.; Mcquade, Robert; Barnett, Allen; Sherlock, Margaret; Tom, Wing; Dugar, Sundeep; Chen, Lian-yong; Clader, John W.; Chackalamannil, Samuel; Wang, Yuguang; McCombie, Stuart W.; Tagat, Jayaram R.; Vice, Susan F.; Vaccaro, Wayne; Green, Michael J.; Browne, Margaret E.; Asberom, Theodros; Boyle, Craig D.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 64 pp., Cont.-in-part of U.S. Ser. No. 602,403.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5889006	A	19990330	US 1996-700628	19960808
US 5883096	A	19990316	US 1996-602403	19960216
ZA 9601293	A	19960819	ZA 1996-1293	19960219
ZA 9707011	A	19980206	ZA 1997-7011	19970806
CA 2261725	A1	19980212	CA 1997-2261725	19970806
CA 2261725	C	20051025		
WO 9805292	A2	19980212	WO 1997-US13383	19970806
WO 9805292	A3	19980402		
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9738999	A	19980225	AU 1997-38999	19970806
AU 724001	B2	20000907		
EP 938483	A2	19990901	EP 1997-936296	19970806
EP 938483	B1	20030226		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO				
CN 1232462	A	19991020	CN 1997-198479	19970806
CN 1084743	C	20020515		
BR 9711119	A	19991123	BR 1997-11119	19970806
JP 2000501117	T	20000202	JP 1998-508038	19970806
JP 3748894	B2	20060222		
NZ 333801	A	20000428	NZ 1997-333801	19970806
HU 9902827	A2	20000828	HU 1999-2827	19970806
HU 9902827	A3	20010328		
AT 233260	T	20030315	AT 1997-936296	19970806
ES 2193391	T3	20031101	ES 1997-936296	19970806
IN 1997MA01760	A	20050304	IN 1997-MA1760	19970806
NO 9900551	A	19990407	NO 1999-551	19990205
KR 2000029947	A	20000525	KR 1999-701175	19990208
US 6043255	A	20000328	US 1999-266079	19990310
HK 1018776	A1	20030829	HK 1999-103789	19990902
JP 2008024714	A	20080207	JP 2007-233458	20070907
PRIORITY APPLN. INFO.:			US 1995-392697 B2	19950223
			US 1995-457712 B2	19950602
			US 1996-602403 A2	19960216
			JP 1996-525703 A3	19960216
			US 1996-700628 A	19960808
			WO 1997-US13383 W	19970806

OTHER SOURCE(S): MARPAT 130:267454
GI



I

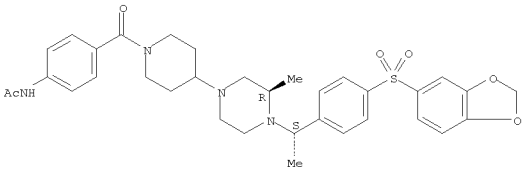


II

AB Di-N-substituted piperazine or 1,4 di-substituted piperadine compds. [I; Y = CH, N, C6H5C, CH3C, (CH3)2CHC, etc.; Z = N; X = O, S, SO2, NMe, CO, CH2; R = (un)substituted phenyl; R1 = O, H2, Me and H, spiroheterocyclic; R2 = Me, H; R3 = 2-MeC6H4CO, COOEt, SO2CH2CH2CH3, COCF2CF3, etc.] (including all isomers, salts, esters, and solvates) are prepared as muscarinic antagonists useful for treating cognitive disorders such as Alzheimer's disease. Pharmaceutical compns. and methods of preparation are also disclosed.
Also disclosed are synergistic combinations of compds. of the above formula with acetylcholinesterase inhibitors. Thus, compound II was prepared from (S)-α-methylbenzylamine and trifluoroacetic anhydride via 12 steps.
IT 1100422-72-7
RL: PRPH (Prophetic)
(Preparation of muscarinic antagonists)
RN 1100422-72-7 CAPLUS

L3 ANSWER 139 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN Acetamide, N-[4-[[4-[(3R)-4-[(1S)-1-[4-(1,3-benzodioxol-5-ylsulfonyl)phenyl]ethyl]-3-methyl-1-piperazinyl]-1-piperidinyl]carbonyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



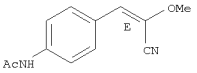
REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 140 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1963:8892 CAPLUS
DOCUMENT NUMBER: 58:8892
ORIGINAL REFERENCE NO.: 58:1474d-f
TITLE: 5-Phenylcytosines
PATENT ASSIGNEE(S): Spofa, Sdruzeni Podniku pro Zdravotnickou Vyrobu
SOURCE: 11 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 615430		19620413	BE	
GB 1003788			GB	
PRIORITY APPLN. INFO.:			CS	19610324

GI For diagram(s), see printed CA Issue.
AB Compds. I can be used in the treatment of pneumonia and grippe. p-AcNHC6H4CH2CN (8.7 g.) in 11.1 g. HCO2Et is added to 1.15 g. Na in 120 ml. EtOH at 0-20°, the mixture heated to 50°, cooled, poured into H2O containing HOAc, and the precipitate crystallized to give 9.2 g. p-AcNHC6H4CH(CHO)CN (II), m. 229° (EtOH). II (8.1 g.) is suspended in 100 ml. absolute ether at 0°, the suspension added to 1.7 g. CH2N2 in 150 ml. absolute ether, and the mixture agitated 10 hrs.; the precipitate gives 6.1 g. p-AcNHC6H4CH:C(OMe)CN (III), m. 145° (EtOH). III (2.6 g.) and 0.85 g. (H2N)2CO are added to 0.32 g. Na in 10 ml. absolute BuOH, the mixture heated 3 hrs., the alc. evaporated in vacuo, the residue dissolved in 2N H2SO4, the solution neutralized with 5N NaOH, and the precipitate crystallized to give I (R = H, A = NH2), m. 327° (decomposition). Similarly prepared are the following I (R, A, m.p. given): Me, NH2, --; Pr, NH2, 298° (decomposition); Bu, NH2, 265° (decomposition); H, NO2, (H2O) 325° (decomposition) (H2O); Me, NO2, 320° (decomposition) (H2O); and Pr, NO2, 350° (decomposition) (absolute EtOH).
IT 1089288-90-3P
RL: SPN (Synthetic preparation); PRP (Properties); PREP (Preparation)
(5-Phenylcytosines)
RN 1089288-90-3 CAPLUS
CN Acetamide, N-[4-[(1E)-2-cyano-2-methoxyethenyl]phenyl]- (CA INDEX NAME)

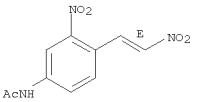
Double bond geometry as shown.



L3 ANSWER 141 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1959:45191 CAPLUS
DOCUMENT NUMBER: 53:45191
ORIGINAL REFERENCE NO.: 53:8131i,8132a-i,8133a
TITLE: 2-Nitro-4-aminobenzaldehyde and thiocoumarin derivatives. I
AUTHOR(S): Ricci, Adolfo
CORPORATE SOURCE: Univ. Perugia, Italy
SOURCE: Annali di Chimica (Rome, Italy) (1958), 48, 985-96
CODEN: ANCRAI; ISSN: 0003-4592
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB cf. C.A. 51, 16454i. Preparation of derivs. of 2,4-O2N(H2N)C6H8CHO (I) is described; these are to be tested for bacteriostatic properties. Cyclization of 2,4-HS(H2N)C6H3CH:CHCO2H (II) gives 7-aminothiacoumarin (III) from which a series of fluorescent thiacoumarins are prepared These are being tested for photo-dynamic activity and action against paramecium. 2,4-O2N(AcNH)C6H3Me (10 g.) in 80 cc. Ac2O and 100 cc. AcOH cooled to 0°, treated slowly with 11 cc. H2SO4 below 10° then with 14 g. CrO3 in 80 cc. Ac2O at 15-20°, kept 1 hr., and drowned in ice H2O ppts. 50% 2,4-O2N(AcNH)C6H3CH(OAC)2, m. 146-7°, hydrolyzed by HCl in aqueous EtOH to 85% I, m. 140-1°. A high-melting, insol. polymer of I is precipitated at the same time and during recrystn. of I. I (5 g.) and 2 g. MeNO2 in EtOH at -5° is treated with 3.5 g. KOH in 6.5 cc. H2O and 65 cc. EtOH, kept 15 min. at -5°, then filtered to give 2,4-O2N(H2N)C6H3CH(OH)CH2NO2, m. 138-45° (unstable), boiled 5 min. with 2 g. NaOAc and 20 cc. Ac2O then drowned in H2O to give 2,4-O2N(AcNH)C6H3CH:CHNO2, m. 187-8° (decomposition). I (10 g.) added to 8 g. barbituric acid in 80 cc. H2O gives a black precipitate, insol. in most solvents, extracted with dioxane to leave yellow 5-(2-nitro-4-aminobenzylidene)barbituric acid, not m. 360°. I forms a thiosemicarbazone (IV), m. 255-6°. IV (2 g.) is refluxed several hrs. with 0.9 g. succinic anhydride in xylene, cooled, filtered, the precipitate dissolved in hot Na2CO3, and cooled to precipitate the Na salt of 2-nitro-4-(succinylamino)-benzaldehyde thiosemicarbazone; the free acid, m. 228° (decomposition). IV (2 g.) refluxed 12 hrs. in EtOH with 0.8 g. ClCH2CO2H and 1.6 g. NaHCO3, concentrated, diluted with H2O, and acidified ppts. 2,4-O2N(HO2CCH2NH)C6H3CH:NNHCSNH2, m. 279° (decomposition). I (5 g.) in 20 cc. HCO2H is treated with 8 ml. concentrated HCl, diazotized at 0° with 2.1 g. NaNO2 in H2O, the solution poured into 3.6 g. CuSCN and 17.5 g. KSCN in a min. of H2O, heated to complete the reaction, diluted with 10 vols. H2O, and filtered to give 2,4-O2N(NCS)C6H3CHO, m. 108°. Reduction of 5 g. I in hot aqueous EtOH by 60 g. FeSO4 and 30 ml. NH4OH at 60-70° gives 35-40% 2,4-(H2N)2C6H3CHO, m. 152° (thiosemicarbazone, m. 225-6°). I (10 g.) and 10 g. CH2(CO2H)2 in 25 cc. EtOH is refluxed 4 hrs. with 1 ml. pyridine, filtered, and the filtrate concentrated to give a 2nd crop of 2,4-O2N(H2N)C6H3CH:CHCO2H, m. 255-6° (decomposition); Ac derivative, m. 280-1° (decomposition). This (2 g.) in 6 cc. HCl is reduced at 60-70° by 3.4 g. Sn to

L3 ANSWER 141 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
7-aminocarbostyril (V), m 290-1°. Reduction of 10 g. 2,4-O2N(AcNH)C6H3CH:CHCO2H by FeSO4-NH4OH gives 2,4-H2N(AcNH)C6H3CH:CHCO2H (VI), m. 228° (decompn.), hydrolyzed by acid to V. VI (10 g.) in 50 cc. HCO2H (d. 1.20) is treated with 11.5 cc. HCl (HCl salt pptd.), diazotized, and poured into a soln. of 6 g. CuSCN and 27 g. KSCN to give 2,4-NCS(AcNH)C6H3CH:CHCO2H, m. 207-8°. This (5 g.) is treated with 1.7 g. NaHCO3 in a little H2O, then with 5 g. Na2S, heated 1 hr. at 50-60°, then cooled, and acidified to ppt. II, m. 210-12°. II (5 g.) and 10 g. NaOAc is heated 1 hr. in 25 cc. Ac2O, dild. with H2O, kept several hrs., filtered, the ppt. washed with warm aq. Na2CO3 and H2O, dissolved in boiling dil. HCl, the soln. concd., and cooled to ppt. III.-HCl, filtered off, dissolved in H2O, and treated with NaHCO3 to ppt. III, m. 176-7°, volatile in steam. III (2 g.) dissolved in hot H2O contg. 3 cc. concd. HCl, cooled, diazotized, poured into 1.2 g. CuCl in concd. HCl, dild. and heated, then made alk., and steam distd. gives 7-chlorothiacoumarin, m. 136.5°. Similarly are prepd. 7-iodo-(m. 141-2°) and 7-cyanothiacoumarin (m. 231-2°). III (2 g.) in 4 cc. HCO2H is treated with 1 cc. concd. H2SO4, diazotized, poured into 1.6 g. CuBr in concd. HBr, dild., heated, and filtered to give 7-bromothiacoumarin, m. 105-6°. 7-Thiocyanothiacoumarin, m. 154-5°, is prepd. similarly. III (2 g.) is dissolved in 2 cc. concd. H2SO4 in 100 cc. hot H2O, cooled, diazotized, heated slowly to 70-80° and finally refluxed then cooled to ppt. 7-hydroxythiacoumarin, m. 231-2°. This is methylated by MeI in 2N KOH to 7-methoxythiacoumarin, m. 108° (30% unchanged compd. recovered). III (2 g.) in 10 cc. AcOH is treated with 2.3 g. powd. KSCN then dropwise with 0.6 ml. Br in 10 ml. AcOH, kept 30 min., then poured into 200 ml. H2O. The ppt. (a mixt. of 6(?)-thiocyano-7-aminothiacoumarin and VII) is boiled with 2N HCl, concd., and made alk. with Na2CO3 to ppt. VII, m. 293-4°.
IT 1081513-35-0P 1081514-51-3P
RL: SPN (Synthetic preparation); PRP (Properties); PREP (Preparation)
(2-Nitro-4-aminobenzaldehyde and thiocoumarin derivatives. I)
RN 1081513-35-0 CAPLUS
CN Acetamide, N-[3-nitro-4-[(1E)-2-nitroethenyl]phenyl]- (CA INDEX NAME)

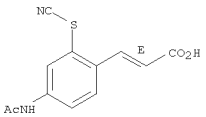
Double bond geometry as shown.



RN 1081514-51-3 CAPLUS
CN 2-Propenoic acid, 3-[4-(acetylamino)-2-thiocyanatophenyl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

L3 ANSWER 141 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



L3 ANSWER 142 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1951:6200 CAPLUS
DOCUMENT NUMBER: 45:6200
ORIGINAL REFERENCE NO.: 45:1118a-i,1119a-b
TITLE: Preparation of benzimidazoles and benzoxazoles from Schiff's bases. II
AUTHOR(S): Stephens, F. F.; Bower, J. D.
CORPORATE SOURCE: Fisons Ltd. Research Labs., Loughborough, UK
SOURCE: Journal of the Chemical Society (1950) 1722-6
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 45:6200

AB cf. C.A. 44, 3974d. The Schiff bases following were prepared by the general method described previously (loc. cit), or by using 50% AcOH in place of EtOH (Schiff's base, crystallization solvent, color, m.p., and % yield): N-(p-nitrobenzylidene)-4-methyl-o-phenylenediamine, EtOH, maroon, 137°, 64; N-(p-acetamidobenzylidene)-4-methoxy-o-phenylenediamine, EtOH, greenish yellow, 174°, 70; terephthalylidenebis(o-phenylenediamine), pyridine, orange, 212-14°, 95; 5-chloro-2-(p-cyanobenzylideneamino)phenol, AcOH, yellow, 202-4°, 82; 4-nitro-2-(benzylideneamino)phenol (cf. C.A. 39, 2977.3), EtOH, yellow, 195°, 82; 4-nitro-2-(p-nitrobenzylideneamino)phenol, EtOH, yellow, 239-40°, 88; 4-nitro-2-(p-acetamidobenzylideneamino)phenol, PhCN, yellow, 249-50°, 98; 5-nitro-2-(p-nitrobenzylideneamino)phenol, PhCN, brown, 261-3°, 90; 5-nitro-2-(p-cyanobenzylideneamino)phenol, PhCN, yellow, 236-7°, 98; 4,6-dinitro-2-(p-nitrobenzylideneamino)phenol, PhCN, pale yellow, 228-9°, 80; 2-(p-nitrobenzylideneamino)-4-cyanophenol, EtOH, deep yellow, 232-3°, 90; 2-(p-cyanobenzylideneamino)-4-cyanophenol, aqueous AcOH, biscuit, 216°, 68; 2-(p-nitrobenzylideneamino)-4-carbomethoxyphenol, EtOH, yellow, 211-12°, 71; 2-(p-nitrosalicylideneamino)-4-carbomethoxyphenol, EtOH, deep red, 248-9°, 82; 2-(p-nitrobenzylideneamino)-p-cresol, EtOH, golden yellow, 202-3°, 82; 2-(p-nitrobenzylideneamino)-4-sulfonamidophenol, PhCN, yellow, 256°, 90; 2-(p-acetamidobenzylideneamino)-4-carbomethoxyphenol, dioxane, biscuit, 232-3°, 65; 2-(p-acetamidobenzylideneamino)-4-sulfonamidophenol, aqueous AcOH, pale yellow, 193°, 90; terephthalylidenebis(o-aminophenol) [p-C6H4(CH:NC6H4OH2)2] (cf. Levi, C.A. 24, 351), BuOH, yellow, 220-21°, 95. The following benzimidazoles were obtained by the general Pb(OAc)4 dehydrogenation of Schiff bases as previously outlined (with yields in parentheses): (56) 5(6)-cyano-2-(p-nitrophenyl), yellow, m. 348° (from PhCN); (41) 5(6)-cyano-2-(p-cyanophenyl), pale yellow, m. 346-7° (from PhNO2); (86) 2-(p-nitrophenyl)-5(6)-methyl, orange, m. 205° (from aqueous EtOH); (47) 2-(p-nitrophenyl)-1-methyl, pale yellow, m. 214° (from EtOH) [methochloride, colorless, m. 255° (from H2O)]; (25) 2,2'-(p-phenylene)bis(1-methyl), white, m. 288-9° (from dioxane). By the usual method the following benzoxazoles were prepared from the appropriate Schiff bases: (95) 6-chloro-2-(p-cyanophenyl), white, m. 194-5° (from AcOH); (61) 6-chloro-2-(p-chlorophenyl), white, m. 148-9° (from EtOH); (98) 6-bromo-2-(p-cyanophenyl), pink, m.

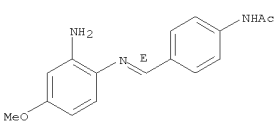
L3 ANSWER 142 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

215° (from AcOH); (90) 5-nitro-2-phenyl, cream, m. 172° (from EtOH); (70) 5-nitro-2-(p-nitrophenyl), buff, m. 257-8° (from PhCN); (85) 5-nitro-2-(p-acetamidophenyl), pale yellow, m. 259-60° (from dioxane); (10) 6-nitro-2-(p-nitrophenyl), pale yellow, m. 221° (from PhCN) [prepd. in boiling glacial AcOH with excess Pb(OAc)2]; (60) 6-nitro-2-(p-cyanophenyl), yellow, m. 205° (from AcOH); (80) 5,7-dinitro-2-(p-nitrophenyl), pale yellow, m. 208-9° (from MeNO2); (55) 5-cyano-2-(p-nitrophenyl), yellow, 296-8° (from AcOH); (70) 5-cyano-2-(p-cyanophenyl), white, m. 277-8° (from xylene); (80) 5-carbomethoxy-2-(p-nitrophenyl), pink, m. 198-9° (from AcOH); (85) 5-carbomethoxy-2-(2-hydroxy-4-nitrophenyl), yellow, m. 242-3° (from dioxane); (95) 5-carbomethoxy-2-(p-acetamidophenyl), salmon, m. 274° (from AcOH), giving on hydrolysis the corresponding 5-carboxylic acid, C14H10O3N2, decomp. > 300°; (80) 5-carbomethoxy-2-(2-pyridyl), buff, m. 168-9° (from BuOH); (90) 5-methyl-2-(p-nitrophenyl), pale yellow, m. 209° (from EtOH); (90) 5-sulfonamido-2-(p-nitrophenyl), pale yellow, m. 254-5° (from AcOH); (86) 5-sulfonamido-2-(p-acetamidophenyl), pink, m. 327-9° (from PhNO2) [giving on hydrolysis the amino analog, m. 290-1°; HCl salt, plates with 1 H2O, m. 242° (decompn.)]; (86) 5-sulfonamido-(2-pyridyl), brown (from H2O) m. about 230° (varying with the rate of heating); (84), 2,2'-(p-phenylene)bis, yellow, m. 354° (from BzOEt); (26) 2-(o-HOC6H4), pale yellow, m. 123-4° (from aq. AcOH); (60) 2-Cl3C, white, m. 57° (from aq. alc.) (prepd. from o-Cl3CCH:NC6H4OH, m. 101°); and (70) 2-(2-furyl), pale yellow, m. 82-4° (from aq. EtOH). 6-Cyano-2,3-diphenylquinoxaline (I), needles, m. 184°, was formed by the following steps from known compds: p-AcNHC6H4CH:NOH, m. 210°→Ac2O AcNHC6H4CN, m. 206.5°→ H2SO4+KNO3 atO° (followed by hydrolysis) 3,4-O2N(H2N)C6H3CN, m. 163°→catalytic hydrogenation 3,4-(H2N)2C6H3CN (cf. Bogert and Wise, C.A. 5, 82)→benzil I. o-(p-O2NC6H4CH:N)C6H4OH (II) (1 g.) and chloranil refluxed in xylene gave 0.72 g. 2-(p-nitrophenyl)benzoxazole (III), m. 268°; II (1 g.) and Bz2O2 in CHCl3 give 0.5 g. III; II (1 g.) and (CH2CO)2NBr in CCl4 gave 0.4 g. III; II (1 g.) and SO2Cl2 in C6H6 also gave 0.4 g. III. A mechanism for benzoxazole formation is postulated.

IT 1082719-51-4P
RL: SPN (Synthetic preparation); PRP (Properties); PREP (Preparation)
(Preparation of benzimidazoles and benzoxazoles from Schiff's bases. II)

RN 1082719-51-4 CAPLUS
CN Acetamide, N-[4-[(E)-[(2-amino-4-methoxyphenyl)imino]methyl]phenyl]- (CA INDEX NAME)

Double bond geometry as shown.



L3 ANSWER 142 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

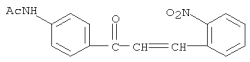
L3 ANSWER 143 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1938:11743 CAPLUS
DOCUMENT NUMBER: 32:11743
ORIGINAL REFERENCE NO.: 32:1674a-h
TITLE: o-Nitrochalcones
AUTHOR(S): Tanasescu, I.; Baciu, A.
SOURCE: Bulletin de la Societe Chimique de France, Memoires (1937), 4, 1742-59
CODEN: BSCMAF; ISSN: 0366-3132
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB To study the effects of alkali, acid light and reduction on this type of chalcone, a large number of o-nitro-substituted chalcones have been prepared
They contain the polymorphophoric group, Ph.C:C.C:O, and can exist in several polymorphic forms. In general, the condensation of o-O2NC6H4CHO with various acetophenones was effected in alc. in the presence of alc. alkalies or HCl. A mixture of 3 g. o-O2NC6H4CHO and 2.4 g. AcPh in 20 cc.
alc. was treated with 8 cc. of alc. NaOH (prepared from 1 cc. of 25% NaOH and 25 cc. of 95% alc.). The mixture was shaken and, on cooling, crystallized
out, giving an almost quant. yield of 2-nitrochalcone, m. 124°.
Similarly were prepared the following 2-nitrochalcones: C15H10ClNO3, m. 148°; 4'-bromo, C15H10BrNO3, m. 137°; 4'-Me, C16H13NO3, m. 111°; 3',4'-dimethyl, C17H15NO3, m. 128°; 2',4'-dimethyl (I), m. 93°; 2',5'-dimethyl (II), m. 102°; 2'-nitro, C15H10N2O5, m. 152-3°; 4'-nitro, m. 179°; 4'-cyano , C16H10N2O3, m. 186-7°; 4'-carboxy, C16H11NO5, m. 245-6° (Me ester, C17H13NO5, m. 173-4°); 3'-methyl-6'-chloro, C16H12ClNO3, m. 117°; 3'-nitro-4'-Me, C16H12N2O5, m. 195°; 3'-nitro-4'-bromo, C15H9BrN2O5, m. 202-3°; 4'-amino (III), C15H12N2O3, m. 178-81° (yellow unstable isomer of III, m. 82°); 4'-acetylamino (IV), C17H10N2O4, m. 234° (blue isomer, m. 230-1°); 4'-benzoylamino, C22H16N2O4, m. 182-3°; 3'-acetylamino (V), m. 182° (phenylhydrazone, m. 98°); 3'-nitro-4'-amino, C15H11N3O5, green form, m. 243-4° (yellow isomer, m. 240-1°); 3',5'-dibromo-4'-amino, C15H10Br2N2O3, m. 208-9° 4'-Methyl-α-acetonaphthone (3.6 g.) and 3 g. o-O2NC6H4CHO in 40 cc. of 95% alc. were saturated in the cold with HCl and
refluxed for 25 min. After the addition of 20 cc. concentrated HCl, the mixture was
again refluxed for 30 min. A current of HCl was passed through as the solution was cooled down. Recrystn. of the crystalline product from 95% alc. gave
yellow needles of 2-nitro-4-methylbenzylidene-α-acetonaphthone, C20H15NO3, m. 111-12°. In the presence of Na3PO4 the preparation of I and II led to polymorphic forms, m. 91.2° and 98°, resp.
The hydrolysis of IV with HCl gave a crystalline HCl salt, m. 207-10°, which, on boiling with 0.5% NaOAc for 5 min., gave a 3rd isomer of III as orange-red needles, m. 184°. III was converted into the semicarbazone, C16H15N5O3, m. 203-4°, and, on methylation with Me2SO4, gave a mixture of the 4'-dimethylamino and 4'-methylamino derivs.,
C17H16N2O3 and C16H14N2O3, m. 110-11° and 153-4°, resp.

L3 ANSWER 143 OF 143 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
Treatment of V with alc. HCl under reflux for 20 min. produced 2-nitro-3'-aminochalcone-HCl, C15H13ClN2O3, m. 195-9° (decompn.), converted by boiling with 0.5% NaOAc into 2-nitro-3'-aminochalcone, C15H12N2O3, m. 142°. On boiling with dil. alc. alkali all the above chalcones give red solns. which, in turn, yield indigo on the addn. of a large excess of concd. HCl. This formation of indigo is favored by the presence of electroneg. substituents. On solar irradn., either in soln. or in solid form, the chalcones undergo a profound transformation. Several chalcones have been obtained in 2 or more forms, due probably to stereoisomerism. The formation of these polychrome isomers is favored by the presence of electropos. substituents.

IT 1087739-28-3P
RL: SPN (Synthetic preparation); PRP (Properties); PREP (Preparation)
(o-Nitrochalcones)

RN 1087739-28-3 CAPLUS
CN Acetamide, N-[4-[3-(2-nitrophenyl)-1-oxo-2-propen-1-yl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

10/562,112

```
=> d his

     (FILE 'HOME' ENTERED AT 10:17:36 ON 02 APR 2009)

     FILE 'REGISTRY' ENTERED AT 10:17:49 ON 02 APR 2009
L1             STRUCTURE UPLOADED
L2         19574 S L1 FULL

     FILE 'CAPLUS' ENTERED AT 10:18:35 ON 02 APR 2009
L3           143 S L2 AND (BROMINATION OR CYANIDE OR CYANO)

=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                 XXXXXXXXXXXXXXXXX

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                  -117.26    -117.26

STN INTERNATIONAL LOGOFF AT 10:20:56 ON 02 APR 2009
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